

C.A.S.

2/28/02

09/939,872

=&gt; d ibib abs hitstr 1-41

L4 ANSWER 1 OF 41 CAPLUS . COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:816444 CAPLUS

DOCUMENT NUMBER: 135:352829

TITLE: Combination therapeutic compositions containing benzene compounds

INVENTOR(S): Jaen, Juan C.; Chen, Jin-Long

PATENT ASSIGNEE(S): Tularik Inc., USA

SOURCE: PCT Int. Appl., 57 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001082916	A2	20011108	WO 2001-US14393	20010502

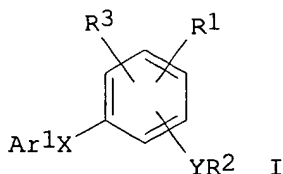
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-201613 P 20000503

OTHER SOURCE(S): MARPAT 135:352829

GI



AB The present invention provides pharmaceutical compns. and methods for the treatment of diabetes mellitus using combination therapy. The compns. relate to a benzene compd. and an antidiabetic agent such as sulfonylureas, biguanides, glitazones, .alpha.-glucosidase inhibitors, potassium channel antagonists, aldose reductase inhibitors, glucagon antagonists, activators of RXR, insulin therapy or other anti-obesity agent. The methods include the administration of the combination of benzene compd. with antidiabetic agent where the two components are delivered in a simultaneous manner, where the benzene compd. is administered first, followed by the antidiabetic agent, as well as wherein the antidiabetic agent is delivered first followed by the benzene compd. For example, the benzene compd. (I) was synthesized using a 5-amino-2-(3-chloro-5-pyridyloxy)benzonitrile (0.457 g) in methylene chloride to which was added 2,4-dichlorobenzenesulfonyl chloride (0.456 g), followed by pyridine (150 .mu.L). The reaction progress was monitored by TLC, and upon completion the solvent was removed under vacuum. The resulting residue was partitioned between methylene chloride and water. The org. layer was drawn off and concd. The residue was triturated with ether to provide 0.447 g of I as a white solid, m.p. 154-156.degree..

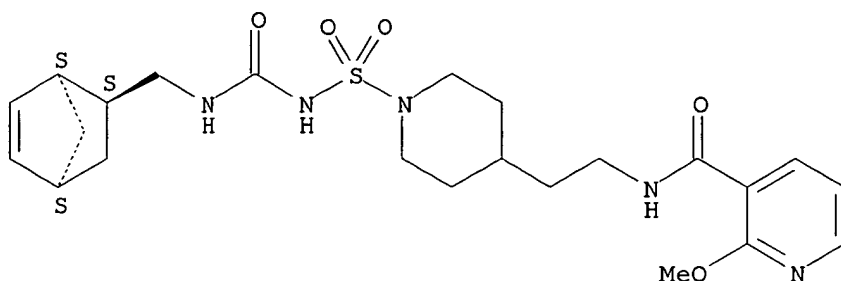
IT 51876-98-3, Gliamilide

RL: BAC (Biological activity or effector, except adverse); THU  
(Therapeutic use); BIOL (Biological study); USES (Uses)  
(benzene compds. in combination therapy for diabetes and  
diabetes-related disorders)

RN 51876-98-3 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-[[[[(1R,2R,4R)-bicyclo[2.2.1]hept-5-en-2-ylmethyl]amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-,  
rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 2 OF 41 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:713343 CAPLUS

DOCUMENT NUMBER: 135:272894

TITLE: Preparation of .beta.-amino acid derivatives as  
inhibitors of matrix metalloproteases and TNF-.alpha.

INVENTOR(S): Duan, Jingwu; King, Bryan W.; Decicco, Carl;  
Maduskuie, Thomas P., Jr.; Voss, Matthew E.

PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA

SOURCE: PCT Int. Appl., 483 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001070734	A2	20010927	WO 2001-US8336	20010315
W: AT, AU, BR, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, HU, IL, IN, JP, KR, LT, LU, LV, NZ, PL, PT, RO, SE, SG, SI, SK, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
US 2002013341	A1	20020131	US 2001-811116	20010316
PRIORITY APPLN. INFO.:			US 2000-190183	P 20000317
			US 2000-235467	P 20000926
			US 2000-252062	P 20001120

OTHER SOURCE(S): MARPAT 135:272894

AB Novel .beta.-amino acid derivs. A-CR3R4aCR2R4NR1CO-X-Z-Ua-Xa-Ya-Za [A = CO2H, SH, CH2SH, S(O)Ra:NH (Ra = H, alkyl), P(O)(OH)2, etc.; X, Xa is absent or alkylene, alkenylene or alkynylene; Z is absent or substituted C3-13 carbocycle or 5-14 membered heterocycle; Ua is absent or O, NRal [Ral = H, (un)substituted alkyl, alkenyl or alkynyl; Ra and Ral may form a ring], CO, CO2, O2C, CONRal, S(O)p (p = 0-2), etc.; Ya is absent or O, NRal, S(O)p or CO; Za is H, substituted C3-13 carbocycle or 5-14 membered heterocycle; R1 is H, alkyl, Ph, benzyl; R2 is Q (Q is H, substituted

carbocycle or heterocycle), alkylene-Q, (CRaRa1)r1O(CRaRa1)r-Q (r, r1 = 0-4), (CRaRa1)r1NRa(CRaRa1)r-Q, etc.; R3 = Q1 (Q1 is any group given for Q), alkylene-Q1, (CRaRa1)r1O(CRaRa1)r-Q1, (CRaRa1)r1NRa(CRaRa1)r-Q1, etc.; R4, R4a = H, substituted alkyl, alkenyl or alkynyl; alternatively R1 and R2, R1 and R3, R3 and R4a may form rings (with provisos) or a stereoisomer or pharmaceutically acceptable salt were prepd. as metalloprotease and TNF-.alpha. inhibitors. Thus, N-hydroxy-1-[[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]acetyl]-3-azetidinecarboxamide was prepd. by a multistep procedure involving reactions of Me 4-hydroxyphenylacetate, 2-methyl-4-quinolinylmethanol, and 3-azetidinecarboxylic acid Me ester.

IT 362697-57-2P 362699-54-5P 362699-55-6P

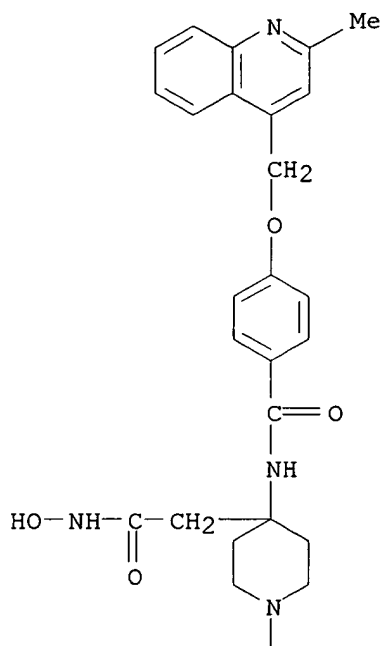
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of .beta.-amino acid derivs. as inhibitors of matrix metalloproteases and TNF-.alpha.)

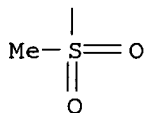
RN 362697-57-2 CAPLUS

CN 4-Piperidineacetamide, N-hydroxy-4-[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



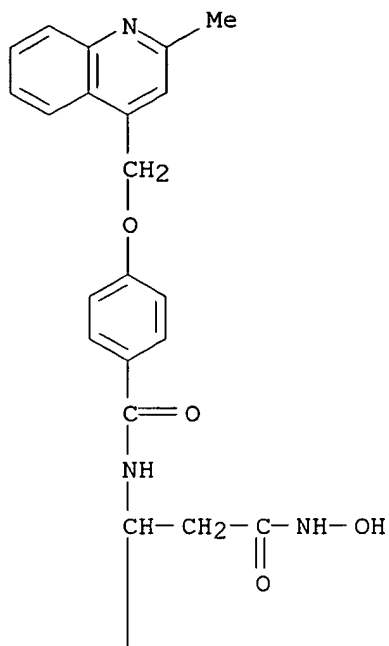
RN 362699-54-5 CAPLUS

CN 4-Piperidinepropanamide, N-hydroxy-.beta.-[[4-[(2-methyl-4-

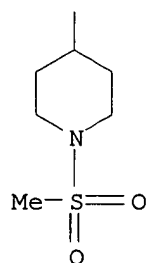
09/939,872

quinolinyl)methoxy]benzoyl]amino]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



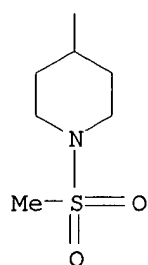
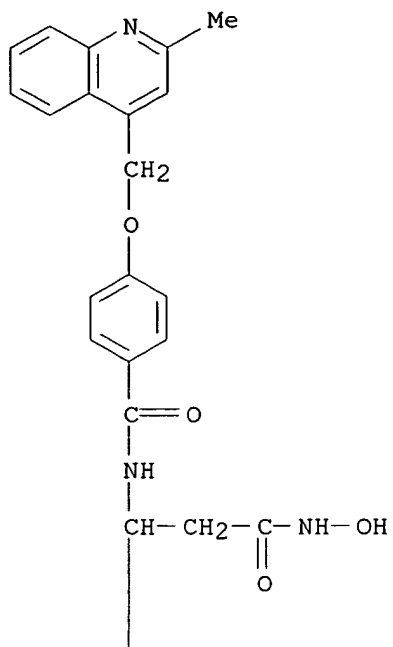
PAGE 2-A



RN 362699-55-6 CAPLUS  
CN 4-Piperidinepropanamide, N-hydroxy-.beta.-[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]-1-(methylsulfonyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

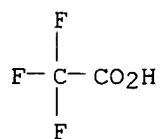
CRN 362699-54-5  
CMF C27 H32 N4 O6 S



CM 2

CRN 76-05-1

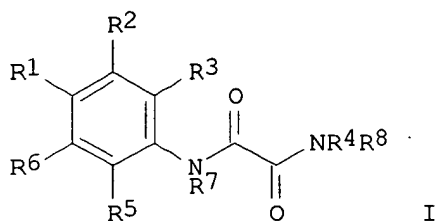
CMF C2 H F3 O2



monophosphate dehydrogenase (IMPDH) inhibitors  
**INVENTOR(S):** Broadhurst, Michael John; Hill, Christopher Huw;  
 Hurst, David Nigel; Jones, Philip Stephen; Kay, Paul  
 Brittain; Kilford, Ian Reginald; Mckinnell, Robert  
 Murray  
**PATENT ASSIGNEE(S):** F. Hoffmann-La Roche A.-G., Switz.  
**SOURCE:** Eur. Pat. Appl., 256 pp.  
 CODEN: EPXXDW  
**DOCUMENT TYPE:** Patent  
**LANGUAGE:** English  
**FAMILY ACC. NUM. COUNT:** 1  
**PATENT INFORMATION:**

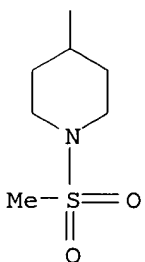
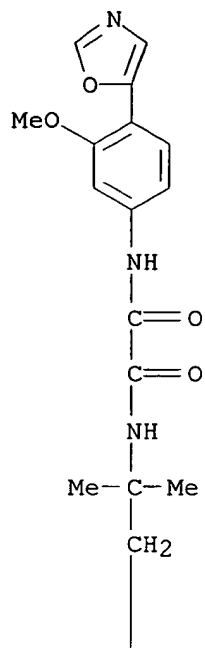
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1127883	A2	20010829	EP 2001-103521	20010216
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
NO 2001000900	A	20010827	NO 2001-900	20010222
CN 1310179	A	20010829	CN 2001-104906	20010223
JP 2001261663	A2	20010926	JP 2001-51064	20010226
<b>PRIORITY APPLN. INFO.:</b>			GB 2000-4392	A 20000224
			GB 2000-15877	A 20000628
			GB 2000-20322	A 20000817
<b>OTHER SOURCE(S):</b>			MARPAT 135:195556	

GI

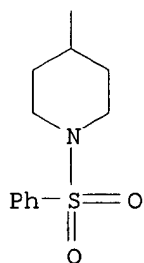
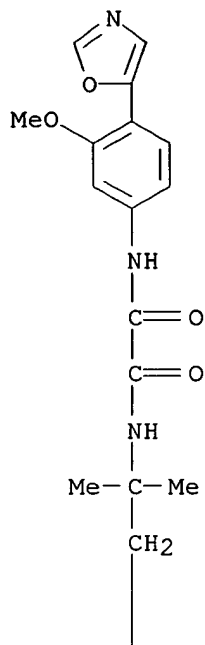


**AB** Title compds. (I; R1 = heterocyclyl; R2 = H, alkyl, alkoxy, halo, OH, cyano; R3 = H, alkyl, alkoxy, halo, cyano; R4 = H, alkyl, cycloalkyl, aryl, heterocyclyl; R5 = H, alkyl, alkoxy, halo, cyano; R6 = H, alkyl, alkoxy, halo, cyano; R7, R8 = H, alkyl; R4R8N = heterocyclyl), were prepd. Thus, 1,1-dimethyl-3-(4-nitrophenoxy)propylamine (prepn. given) was coupled with N-[3-methoxy-4-(5-oxazolyl)phenyl]oxamic acid in the presence of 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide and 1-hydroxy-7-azabenzotriazole to give N-[3-methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(4-nitrophenoxy)propyl]oxalamide. Tested I inhibited IMPDH with IC50 = 0.010-0.277 .mu.M. I can be used for treating immune mediated conditions or diseases, viral diseases, bacterial diseases, parasitic diseases, inflammation, inflammatory diseases, hyperproliferative vascular diseases, tumors, and cancer.

**IT 357183-05-2P 357183-06-3P**  
 RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of azolylphenyl oxamides as inosine monophosphate dehydrogenase (IMPDH) inhibitors)  
**RN 357183-05-2 CAPLUS**  
**CN** Ethanediamide, N-[1,1-dimethyl-2-[1-(methylsulfonyl)-4-piperidinyl]ethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)



RN 357183-06-3 CAPLUS  
 CN Ethanedi- amide, N-[1,1-dimethyl-2-[1-(phenylsulfonyl)-4-piperidinyl]ethyl]-  
 N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 41 CAPLUS COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 2001:618004 CAPLUS  
DOCUMENT NUMBER: 135:195571  
TITLE: Preparation of pyrrolopyrimidinones as cGMP PDE V inhibitors  
INVENTOR(S): Kim, Dae-Kee; Lee, Ju Young; Ryu, Do Hyun; Lee, Nam Kyu; Lee, Suk Ho; Kim, Nam-Ho; Kim, Jae-Sun; Ryu, Je Ho; Choi, Jin-Young; Im, Guang-Jin; Choi, Won-Son; Kim, Tae Kon; Cha, Hoon  
PATENT ASSIGNEE(S): SK Chemicals Co., Ltd., S. Korea; In2Gen Co., Ltd.  
SOURCE: PCT Int. Appl., 190 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

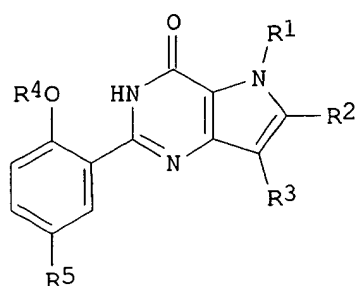
PATENT NO.

KIND DATE

APPLICATION NO. DATE



-----  
 WO 2001060825      A1      20010823      WO 2001-KR227      20010215  
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,  
 CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,  
 HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU,  
 LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD,  
 SE, SG, SI  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 PRIORITY APPLN. INFO.:      KR 2000-7625      A 20000217  
 OTHER SOURCE(S):      MARPAT 135:195571  
 GI



I

AB The title compds. [I; R1 = H, alkyl optionally substituted with one or more F atoms, cycloalkyl; R2 = H, halo, alkyl optionally substituted with OH, etc.; R3 = H, alkyl optionally substituted with OH, alkoxy, etc.; R4 = alkyl optionally substituted with cycloalkyl or with one or more F atoms, alkenyl, alkynyl, cycloalkyl; R5 = SO2NR6R7, NHSO2NR6R7, NHSO2R8, etc.; R6, R7 = H, alkyl optionally substituted with OH, CO2H, etc.; NR6R7 = pyrrolidino, morpholino, piperazino, etc.; R8 = alkyl optionally substituted with one or more F atoms, cycloalkyl] and their pharmaceutically acceptable salts, useful as cGMP PDE V inhibitors, were prepd. and formulated. E.g., a 4-step synthesis of I [R1 = Me; R2 = H; R3 = Pr; R4 = Et; R5 = 4-methylpiperazinylsulfonyl] which showed IC50 of 2.90 nM against cGMP PDE V, was given.

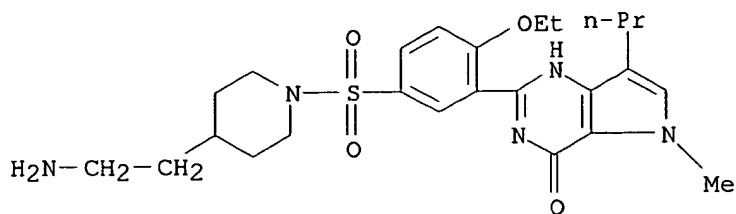
IT **356044-43-4P 356044-44-5P 356044-45-6P**  
**356044-46-7P 356044-48-9P 356044-55-8P**  
**356044-56-9P 356044-57-0P 356044-58-1P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of pyrrolopyrimidinones as cGMP PDE V inhibitors)

RN 356044-43-4 CAPLUS

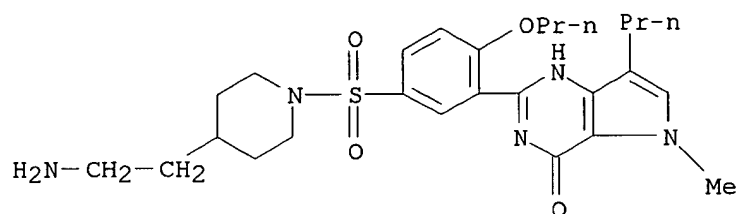
CN 4-Piperidineethanamine, 1-[[3-(4,5-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[3,2-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]- (9CI) (CA INDEX NAME)

09/939,872



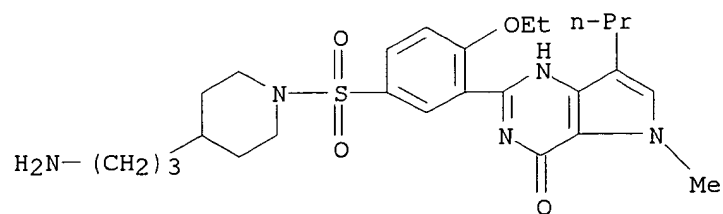
RN 356044-44-5 CAPLUS

CN 4-Piperidineethanamine, 1-[[3-(4,5-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[3,2-d]pyrimidin-2-yl)-4-propoxyphenyl]sulfonyl]- (9CI) (CA INDEX NAME)



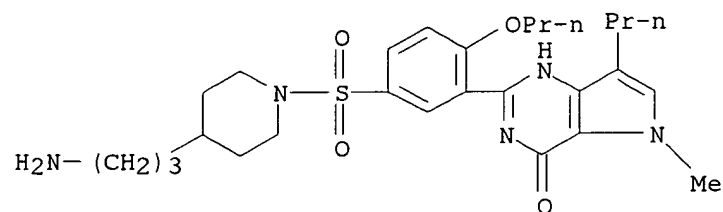
RN 356044-45-6 CAPLUS

CN 4-Piperidinepropanamine, 1-[[3-(4,5-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[3,2-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 356044-46-7 CAPLUS

CN 4-Piperidinepropanamine, 1-[[3-(4,5-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[3,2-d]pyrimidin-2-yl)-4-propoxyphenyl]sulfonyl]- (9CI) (CA INDEX NAME)

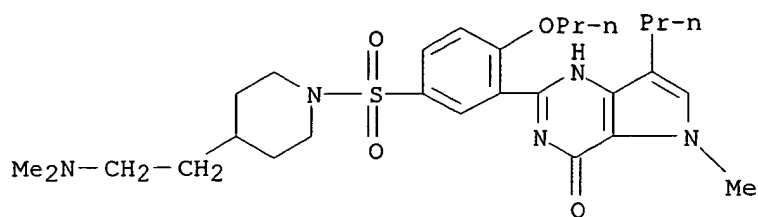


RN 356044-48-9 CAPLUS

CN 4-Piperidineethanamine, 1-[[3-(4,5-dihydro-5-methyl-4-oxo-7-propyl-1H-

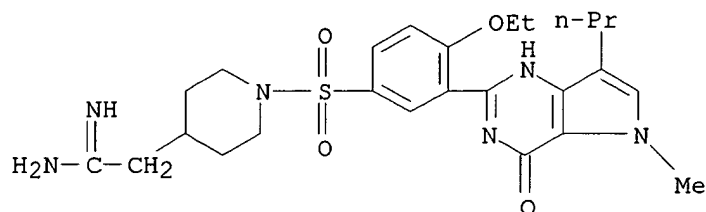
09/939,872

pyrrolo[3,2-d]pyrimidin-2-yl)-4-propoxyphenyl]sulfonyl]-N,N-dimethyl-  
(9CI) (CA INDEX NAME)



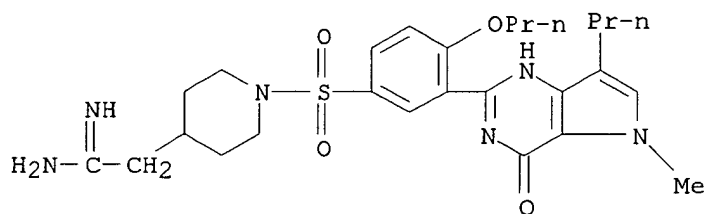
RN 356044-55-8 CAPLUS

CN 4-Piperidineethanimidamide, 1-[[3-(4,5-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[3,2-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]- (9CI) (CA INDEX NAME)



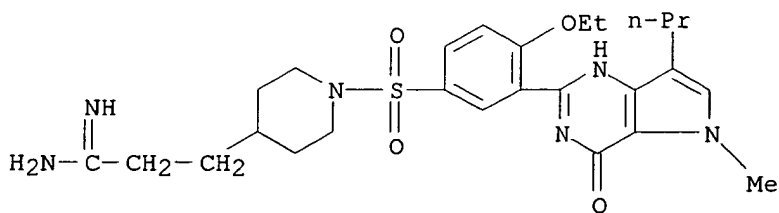
RN 356044-56-9 CAPLUS

CN 4-Piperidineethanimidamide, 1-[[3-(4,5-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[3,2-d]pyrimidin-2-yl)-4-propoxyphenyl]sulfonyl]- (9CI) (CA INDEX NAME)

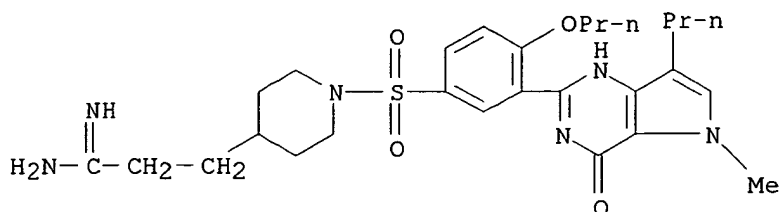


RN 356044-57-0 CAPLUS

CN 4-Piperidinepropanimidamide, 1-[[3-(4,5-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[3,2-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]- (9CI) (CA INDEX NAME)



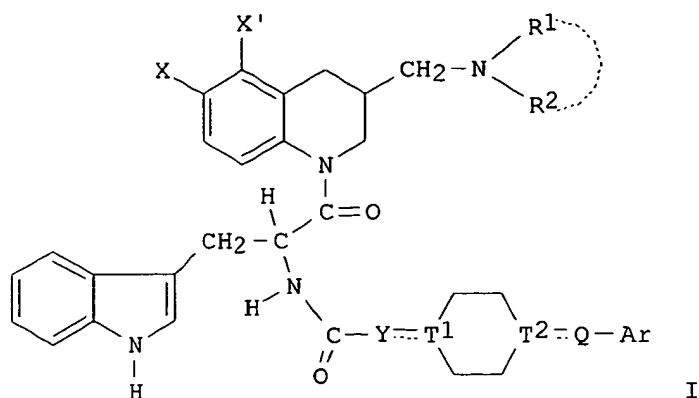
RN 356044-58-1 CAPLUS  
 CN 4-Piperidinepropanimidamide, 1-[[3-(4,5-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[3,2-d]pyrimidin-2-yl)-4-propoxyphenyl]sulfonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 41 CAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 2001:265411 CAPLUS  
 DOCUMENT NUMBER: 134:295840  
 TITLE: Preparation of indolylpropanoyltetrahydroquinoline derivatives which inhibit binding of somatostatin receptors  
 INVENTOR(S): Kato, Kaneyoshi; Terauchi, Jun; Suzuki, Nobuhiro; Takekawa, Shiro  
 PATENT ASSIGNEE(S): Tadeka Chemical Industries, Ltd., Japan  
 SOURCE: PCT Int. Appl., 220 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001025228	A1	20010412	WO 2000-JP6937	20001005
W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			JP 1999-286939	A 19991007
			JP 2000-215837	A 20000711
OTHER SOURCE(S):			MARPAT 134:295840	
GI				



AB The title compds. I [X and X' are the same or different and each represents hydrogen, fluorine, etc., provided that at least one of X and X' represents fluorine, chlorine, etc.; R1 and R2 represents each hydrogen or optionally substituted C1-6 alkyl, or R1 and R2 form together with the nitrogen atom adjacent thereto an optionally substituted nitrogen-contg. heterocycle; Y and Q are the same or different and each represents a bond or a spacer having 1 to 6 atoms in the main chain; the dotted line represents a single or double bond; T1 and T2 represent each C(R9) (wherein R9 represents hydrogen, hydroxy, etc.), N, etc.; and Ar represents an optionally substituted arom. group, hydrogen, etc.; a provision is given] are prepd. In an in vitro test for inhibition of binding to the somatostatin receptor type 2, several compds. of this invention showed IC50 of 0.6 to 2 nM. Formulations are given.

IT **333953-49-4P**

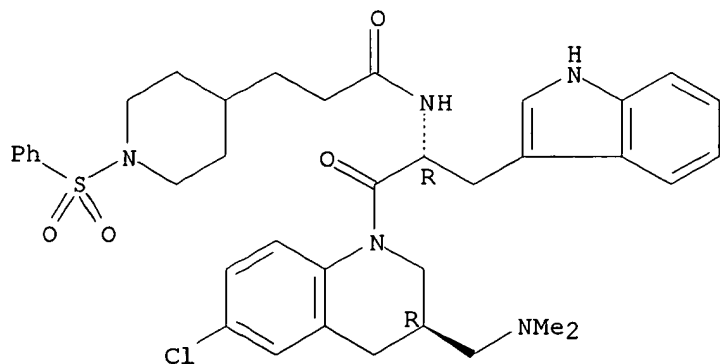
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of indolylpropanoyltetrahydroquinoline derivs. which inhibit binding of somatostatin receptors)

RN 333953-49-4 CAPLUS

CN 4-Piperidinepropanamide, N-[(1R)-2-[(3R)-6-chloro-3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

14

THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 41 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:235566 CAPLUS

DOCUMENT NUMBER: 134:266203

TITLE: Preparation and application of benzopyranone derivatives

INVENTOR(S): Kato, Susumu; Fujisawa, Akitaka; Nanayama, Toyomichi

PATENT ASSIGNEE(S): Japan Tobacco, Inc., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 65 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

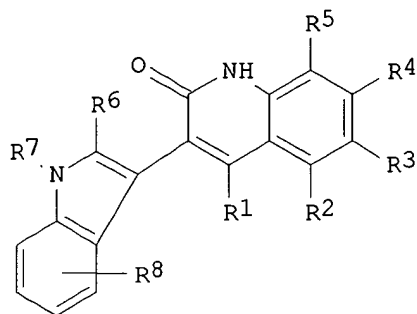
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

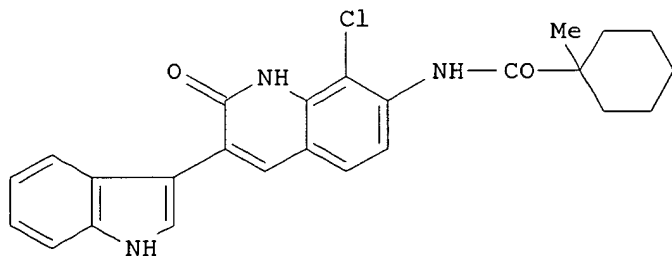
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001089471	A2	20010403	JP 2000-214857	20000714
PRIORITY APPLN. INFO.:			JP 1999-206924 A	19990721
OTHER SOURCE(S):		MARPAT 134:266203		

GI



I



II

AB Title compds. [I; R1, R2 and R3, as for R4 and R5 equality or differing, the hydrogen atom, the halogen atom, the hydroxyl group and nitro group, the amino base, a low-grade alkyl group, and a low-grade alkoxy group et cetera; R6 is a hydrogen atom or a halogen atom; R7 the hydrogen atom or a low-grade alkyl group; R8 the hydrogen atom, the halogen atom and the low-grade alkyl group, a hydroxyl group, a carboxyl group and an amino base;etc.] and salts are prepd. and is useful in medicine, by inhibiting the phosphorylation of the PDGF receptors. Title compds. have inhibition

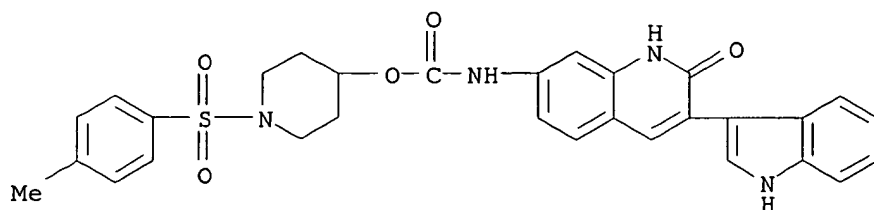
effect on smooth muscle multiplication and are useful as re-strangulation remedy agents and the nephritis remedy agents. Thus, the title compd. II was prepd. and tested.

IT **332093-32-0P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. and application of benzopyranone derivs.)

RN 332093-32-0 CAPLUS

CN Carbamic acid, [1,2-dihydro-3-(1H-indol-3-yl)-2-oxo-7-quinolinyl]-, 1-[(4-methylphenyl)sulfonyl]-4-piperidinyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 7 OF 41 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:91511 CAPLUS

DOCUMENT NUMBER: 134:147439

TITLE: Preparation of analogs of biologically active, naturally occurring polyamines, their pharmaceutical compositions and methods of treatment

INVENTOR(S): Bergeron, Raymond J., Jr.

PATENT ASSIGNEE(S): University of Florida, USA

SOURCE: U.S., 18 pp., Cont.-in-part of U.S. 5,342,945.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

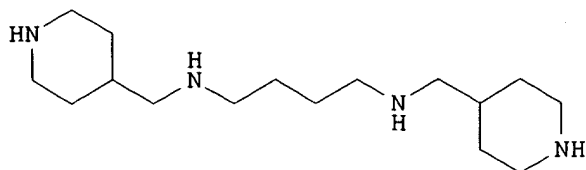
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6184232	B1	20010206	US 1993-80642	19930622
US 5091576	A	19920225	US 1988-210520	19880623
US 5342945	A	19940830	US 1992-834345	19920212
US 6147262	A	20001114	US 1992-986576	19921207
US 5455277	A	19951003	US 1993-162776	19931208
US 5866613	A	19990202	US 1995-478041	19950607
US 5866613	B1	20000314		
US 5679682	A	19971021	US 1996-714284	19960918
US 5681837	A	19971028	US 1996-714287	19960918
US 5827894	A	19981027	US 1996-714296	19960918
US 6034139	A	20000307	US 1996-714294	19960918
JP 10168067	A2	19980623	JP 1997-329524	19971113
JP 2945360	B2	19990906		
US 6342534	B1	20020129	US 2000-688386	20001017

PRIORITY APPLN. INFO.:

US 1986-936835	B2	19861202
US 1987-66227	B2	19870625
US 1988-210520	A3	19880623
US 1992-834345	A2	19920212
JP 1994-240744	A3	19890623
US 1993-80642	A1	19930622
US 1993-162776	A3	19931208

US 1995-474911	B1 19950607
US 1995-478040	B1 19950607
US 1995-481860	B1 19950607
US 1995-481864	B1 19950607

OTHER SOURCE(S):            MARPAT 134:147439  
GI



I

AB Polyamines, R1R2N1A(N2R3B)a(N3R4C)bN4R5R6 [R1 - R6 = H, alkyl, aryl, arylalkyl, cycloalkyl, optionally having an alkyl chain interrupted by at least one etheric oxygen atom, wherein at least one of R1 and R2 and at least one of R5 and R6 .noteq. H; N1, N2, N3 and N4 are nitrogen atoms capable of protonation at physiol. pH's; a, b = from 1 - 4; A, B, C = bridging groups which effectively maintain the distance between the nitrogen atoms], or a salt thereof with a pharmaceutically acceptable acid such that the polyamines: (i) are capable of uptake by a target cell upon administration thereof to a human or non-human animal; and (ii) upon uptake by the target cell, competitively bind via an electrostatic interaction between the pos. charged nitrogen atoms to substantially the same biol. counter-anions as the intracellular natural polyamines in the target cell; the polyamines, upon binding to the biol. counter-anion in the cell, function in a manner biol. different than the intracellular polyamines, the polyamines not occurring in nature; as well as pharmaceutical compns. embodying the polyamines and methods of treating patients requiring anti-neoplastic therapy. Thus, polyamine I was prepd. from 4-(aminomethyl)piperidine via protection with mesitylenesulfonyl chloride in CH2Cl2 contg. NaOH, N-alkylation with 1,4-dibromobutane in DMF contg. NaH and NaI, and deprotection with HBr in HOAc/PhOH/CH2Cl2. I showed antineoplastic activity (IC50 = 2 .mu.M at 48 h and 0.2 .mu.M at 96 h) against L1210 cells.

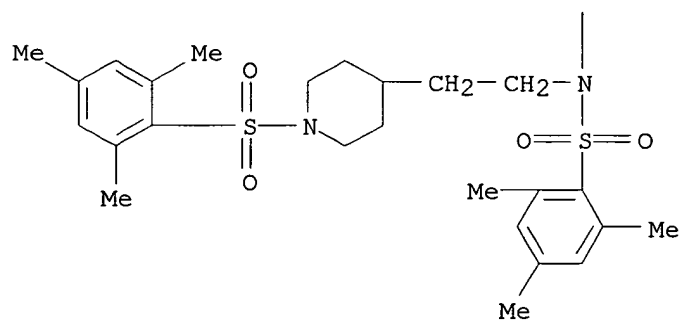
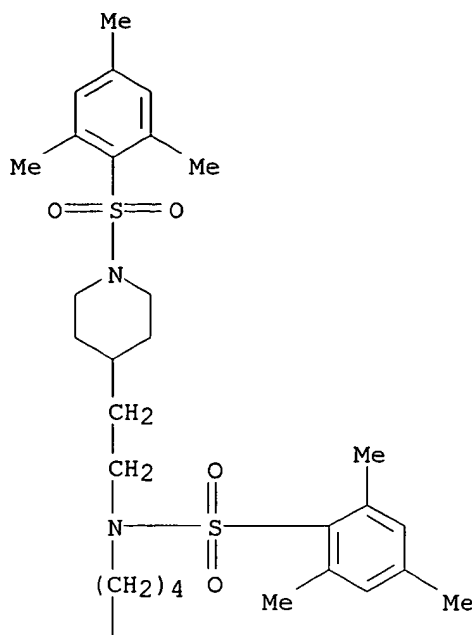
IT **165288-20-0P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and antineoplastic activity of analogs of biol. active,  
naturally occurring polyamines)

RN 165288-20-0 CAPLUS

CN Benzenesulfonamide, N,N'-1,4-butanediylbis[2,4,6-trimethyl-N-[2-[1-[(2,4,6-trimethylphenyl)sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)





REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 41 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:756669 CAPLUS

DOCUMENT NUMBER: 133:321706

TITLE: Preparation of arylamido-substituted (hetero)cycloalkylacetamides as MMP and TNF-.alpha. inhibitors

INVENTOR(S): Neya, Masahiro; Yamazaki, Hitoshi; Sato, Kentaro; Yoshida, Noriko; Imamura, Yoshimasa; Setoi, Hiroyuki

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 72 pp.

CODEN: PIXXD2

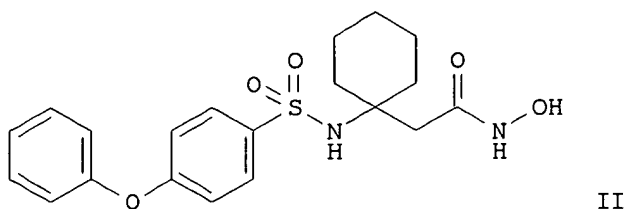
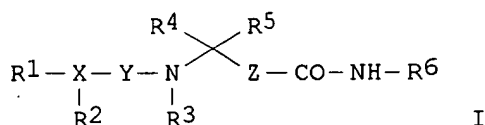
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000063165	A1	20001026	WO 2000-JP2508	20000417
W: JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1171422	A1	20020116	EP 2000-917336	20000417
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
PRIORITY APPLN. INFO.:			AU 1999-9823	A 19990419
			WO 2000-JP2508	W 20000417
OTHER SOURCE(S):			MARPAT 133:321706	
GI				

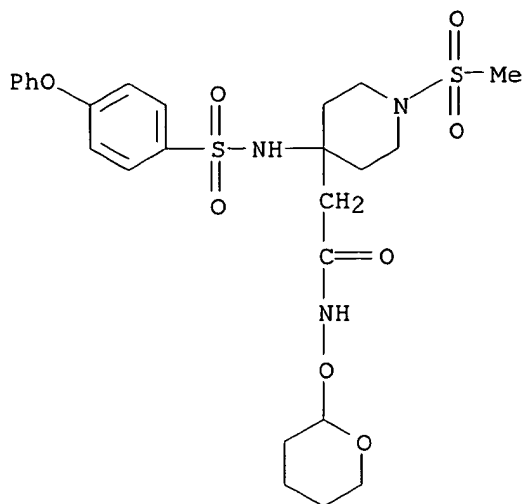


AB The title compds. (I) [wherein R<sup>1</sup> = halo, NO<sub>2</sub>, alkoxy, (un)substituted aryloxy, arylthio, aroyl, heterocyclyloxy, or (un)substituted aryl or heterocyclyl; R<sup>2</sup> = H or halo; R<sup>3</sup> = H or alkyl; R<sup>4</sup> and R<sup>5</sup> = independently H or (cyclo) alkyl; or R<sup>4</sup> and R<sup>5</sup> together form an alkylene group, which is optionally interrupted by O, S, S(O), SO<sub>2</sub>, or (un)monosubstituted N; R<sup>6</sup> = (protected) OH; X = aryl or heterocyclyl; Y = C(O) or SO<sub>2</sub>; and Z = alkylene] were prepd. as matrix metalloproteinase (MMP) or tumor necrosis factor .alpha. (TNF-.alpha.) inhibitors. For example, 4-phenoxybenzenesulfonyl chloride in CH<sub>2</sub>Cl<sub>2</sub> was coupled with N-(2-tetrahydropyranyloxy)-2-(1-aminocyclohexyl)acetamide (prepn. given) in pyridine to give the benzenesulfonamide. Stirring the sulfonamide with HCl in MeOH for 30 min at room temp. afforded II. In an inhibitory activity assay, II suppressed the truncated form of human recombinant MMP-13 with an IC<sub>50</sub> value of 4.7 nM. I are useful for the treatment and/or prevention of diseases such as stroke, arthritis, cancer, tissue ulceration, decubitus ulcer, restenosis, periodontal disease, epidermolysis bullosa, scleritis, psoriasis, and other diseases characterized by MMP activity, as well as AIDS, sepsis, septic shock, and other autoimmune and inflammatory diseases caused by the prodn. of TNF-.alpha..

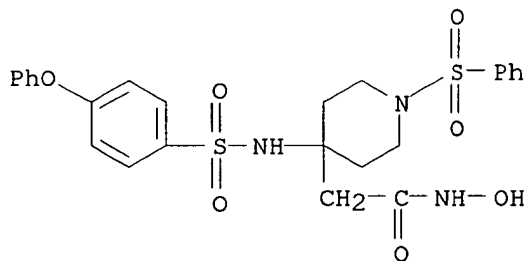
IT **303038-10-OP 303038-14-4P**, N-Hydroxy-2-[1-benzenesulfonyl-4-(4-phenoxybenzenesulfonylamino)piperidine-4-yl]acetamide  
**303038-29-1P**, N-Hydroxy-2-[4-(4-phenoxybenzenesulfonylamino)-1-methansulfonylpiperidin-4-yl]acetamide  
 RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of arylamido (hetero)cycloalkylacetamide MMP and TNF-.alpha. inhibitors by coupling amino-substituted (hetero)cycloalkylacetamides

09/939,872

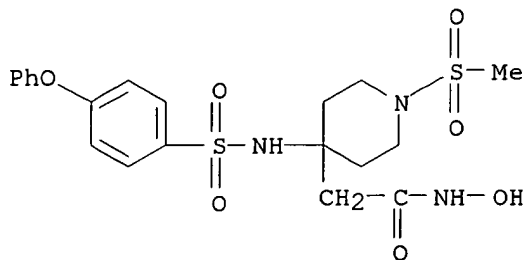
with acid chloride)  
RN 303038-10-0 CAPLUS  
CN 4-Piperidineacetamide, 1-(methylsulfonyl)-4-[[ (4-phenoxyphenyl)sulfonyl]amino]-N-[(tetrahydro-2H-pyran-2-yl)oxy]- (9CI)  
(CA INDEX NAME)



RN 303038-14-4 CAPLUS  
CN 4-Piperidineacetamide, N-hydroxy-4-[[ (4-phenoxyphenyl)sulfonyl]amino]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 303038-29-1 CAPLUS  
CN 4-Piperidineacetamide, N-hydroxy-1-(methylsulfonyl)-4-[[ (4-phenoxyphenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 41 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:706352 CAPLUS

DOCUMENT NUMBER: 133:276324

TITLE: Inhibitors of cellular nicotinamide mononucleotide formation, therapeutic use thereof, and identification and metabolic methods

INVENTOR(S): Biedermann, Elfi; Eisenburger, Rolf; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Schemainda, Isabel; Schulz, Michael; Seibel, Klaus; Vogt, Klaus; Wosikowski, Katja

PATENT ASSIGNEE(S): Klinge Pharma G.m.b.H., Germany

SOURCE: Ger. Offen., 20 pp.

CODEN: GWXXBX

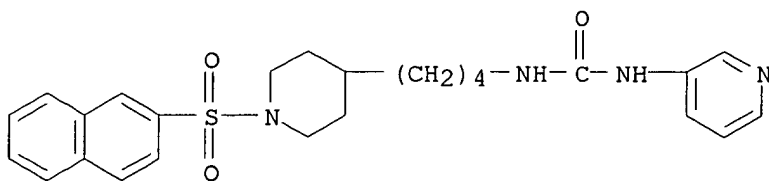
DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	DE 19908483	A1	20001005	DE 1999-19908483	19990226
AB	Biol. active substances are described which inhibit the cellular formation of NMN, an essential intermediate in NAD(P) biosynthesis in the cell. These substances can be used for a pharmaceutical compn. for the treatment of cancer, leukemia, or for Immunosuppression. Addnl., methods are described for the identification of such substances and for the investigation of a given cell type for its dependence on nicotinamide as a precursor in NAD synthesis.				
IT	<b>299400-67-2</b> RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (NMN formation inhibitors, therapeutic use thereof, and identification and metabolic methods)				
RN	299400-67-2 CAPLUS				
CN	4-Piperidinebutanamine, 1-(2-naphthalenylsulfonyl)-N-[(3-pyridinylamino)carbonyl]- (9CI) (CA INDEX NAME)				



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 41 CAPLUS COPYRIGHT 2002 ACS

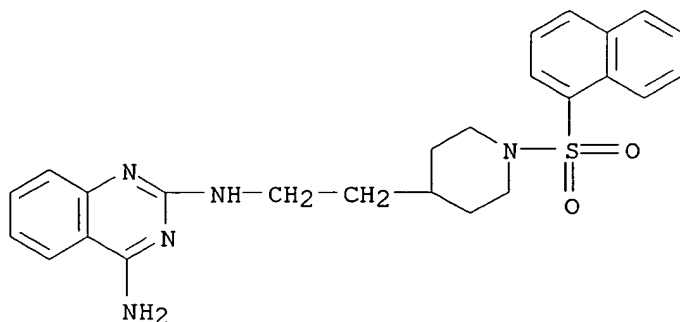
ACCESSION NUMBER: 2000:419949 CAPLUS

DOCUMENT NUMBER: 133:202567

TITLE: Design, synthesis and SAR of a series of 2-substituted 4-amino-quinazoline neuropeptide Y Y5 receptor antagonists

AUTHOR(S): Rueeger, Heinrich; Rigollier, Pascal; Yamaguchi, Yasuchika; Schmidlin, Tibur; Schilling, Walter; Criscione, Leoluca; Whitebread, Steven; Chiesi, Michele; Walker, Mary W.; Dhanoa, Dale; Islam, Imadul; Zhang, Jack; Gluchowski, Charles

CORPORATE SOURCE: Novartis Pharma AG, Metabolic and Cardiovascular Diseases, Basel, CH-4002, Switz.  
 SOURCE: Bioorg. Med. Chem. Lett. (2000), 10(11), 1175-1179  
 CODEN: BMCLE8; ISSN: 0960-894X  
 PUBLISHER: Elsevier Science Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB The design of a novel series of NPY-Y5 receptor antagonists is described. Key elements for the design were the identification of weak Y5 hits from a Y1 program, results from a combinatorial approach and database mining. This led to the discovery of the quinazoline and the aryl-sulfonamide moiety as major components of the pharmacophore for Y5 affinity. The synthesis and SAR towards CGP71683A is described.  
 IT **289893-22-7P**  
 RL: BPR (Biological process); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)  
 (design and synthesis and structure-activity relations of a series of 2-substituted 4-amino-quinazoline neuropeptide Y Y5 receptor antagonists)  
 RN 289893-22-7 CAPLUS  
 CN 4-Piperidineethanamine, N-(4-amino-2-quinazolinyl)-1-(1-naphthalenylsulfonyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 41 CAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 1999:690954 CAPLUS  
 DOCUMENT NUMBER: 131:307106  
 TITLE: Use of vitamin PP compounds as cytoprotective agents in chemotherapy  
 INVENTOR(S): Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Schemainda, Isabel; Seibel, Klaus; Vogt, Klaus; Wosikowski, Katja  
 PATENT ASSIGNEE(S): Klinge Pharma GmbH, Germany  
 SOURCE: PCT Int. Appl., 145 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9953920	A1	19991028	WO 1999-EP2686	19990421
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,				

DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,  
JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,  
MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,  
TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,  
MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,  
ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,  
CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

DE 19818044 A1 19991028 DE 1998-19818044 19980422

EP 1031564 A1 20000830 EP 1999-103814 19990226

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO

AU 9939282 A1 19991108 AU 1999-39282 19990421

EP 1079832 A1 20010307 EP 1999-922119 19990421

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI

WO 2000050399 A1 20000831 WO 2000-EP1628 20000228

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,  
CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,  
IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,  
MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,  
SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,  
AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,  
DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,  
CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1154998 A1 20011121 EP 2000-907642 20000228

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO

PRIORITY APPLN. INFO.:

DE 1998-19818044 A 19980422

EP 1999-103814 A 19990226

WO 1999-EP2686 W 19990421

WO 2000-EP1628 W 20000228

OTHER SOURCE(S): MARPAT 131:307106

AB The invention relates to the use of vitamin PP compds. and/or compds. with  
anti-pellagra activity such as for example nicotinic acid (niacin), and  
nicotinamide (niacin-amide, vitamin PP, vitamin B3) for the redn.,  
elimination or prevention of side-effects of different degrees as well as  
for neutralization of acute side-effects in immunosuppressive or  
cancerostatic chemotherapy or diagnosis, esp. with substituted pyridine  
carboxamides, as well as combination medicaments with an amt. of compds.  
with vitamin B3 and/or anti-pellagra activity and chemotherapeutic agents  
are esp. considered in the mentioned chemotherapies and indications.  
Nicotinamide at 500 mg/kg twice daily protected mice treated i.p. with  
antitumor N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-3-(pyridin-3-  
yl)propionamide. There were no deaths in the nicotinamide-treated mice  
and the strong redn. of leukocytes was completely prevented.

IT 200867-88-5 200868-25-3 201034-81-3

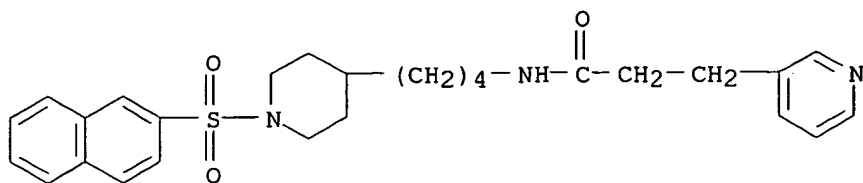
201034-86-8 201034-87-9

RL: ADV (Adverse effect, including toxicity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)

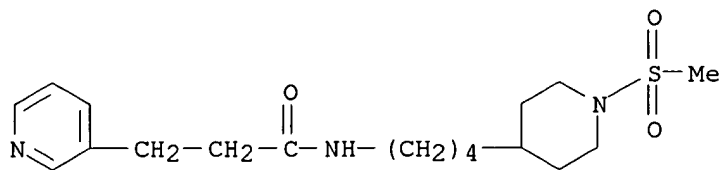
(vitamin PP compds. as cytoprotective agents in chemotherapy)

RN 200867-88-5 CAPLUS

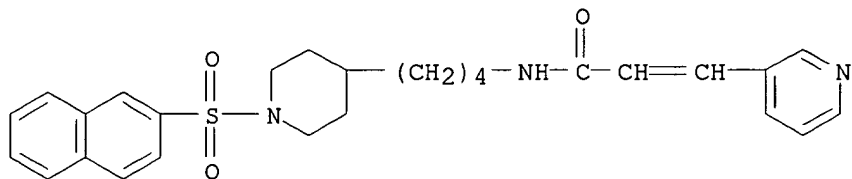
CN 3-Pyridinepropanamide, N-[4-[1-(2-naphthalenylsulfonyl)-4-  
piperidinyl]butyl]- (9CI) (CA INDEX NAME)



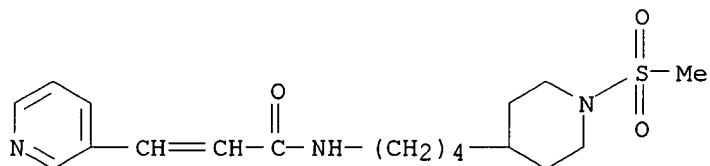
RN 200868-25-3 CAPLUS  
 CN 3-Pyridinepropanamide, N-[4-[1-(methanysulfonyl)-4-piperidinyl]butyl]-  
 (9CI) (CA INDEX NAME)



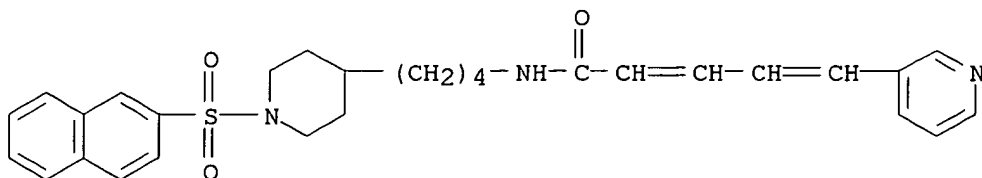
RN 201034-81-3 CAPLUS  
 CN 2-Propenamide, N-[4-[1-(2-naphthalenylsulfonyl)-4-piperidinyl]butyl]-3-(3-  
 pyridinyl)- (9CI) (CA INDEX NAME)



RN 201034-86-8 CAPLUS  
 CN 2-Propenamide, N-[4-[1-(methanysulfonyl)-4-piperidinyl]butyl]-3-(3-  
 pyridinyl)- (9CI) (CA INDEX NAME)



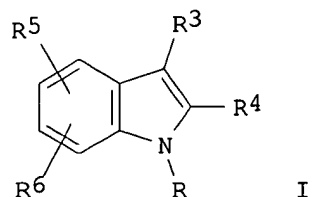
RN 201034-87-9 CAPLUS  
 CN 2,4-Pentadienamide, N-[4-[1-(2-naphthalenylsulfonyl)-4-piperidinyl]butyl]-  
 5-(3-pyridinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 41 CAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 1999:297302 CAPLUS  
 DOCUMENT NUMBER: 130:325087  
 TITLE: Preparation of gonadotropin releasing hormone antagonists  
 INVENTOR(S): Chu, Lin; Goulet, Mark T.; Walsh, Thomas F.; Witkin, Stephanie L.; Wyvratt, Matthew J.  
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA  
 SOURCE: PCT Int. Appl., 88 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9921553	A1	19990506	WO 1998-US22799	19981027
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6017944	A	20000125	US 1998-178689	19981026
AU 9911243	A1	19990517	AU 1999-11243	19981027
EP 1027046	A1	20000816	EP 1998-954019	19981027
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
JP 2001520996	T2	20011106	JP 2000-517711	19981027
US 6159989	A	20001212	US 1999-465199	19991215
PRIORITY APPLN. INFO.:				
			US 1997-63305	P 19971028
			GB 1998-9789	A 19980507
			US 1998-178689	A3 19981026
			WO 1998-US22799	W 19981027
OTHER SOURCE(S): MARPAT 130:325087				
GI				



AB Title compds. [I; R = H, alkyl, aryl(alkyl), etc.; R3 = (CR9R9a)mCR10R10aNR1R2; R1,R2 = H, alk(en)yl, heterocyclyl, etc.; NR1R2 = heterocyclyl; R4 = (un)substituted Ph; R5 = alkyl, alkoxy, etc.; R6 = H, halo, alkyl, alkoxy, etc.; R9,R9a,R10,R10a = H, alkyl, aryl(alkyl), etc.; m = 0-3] were prepd. as gonadotropin releasing hormone antagonists (no data). Thus, EtO2CCMe2C6H3(NHNH2)Br-4,3 was cyclocondensed with



(R)-ClCH<sub>2</sub>CHMeCH<sub>2</sub>COC<sub>6</sub>H<sub>3</sub>Me<sub>2</sub>-3,5 (prepn. each given) and the product converted in 6 steps to (S)-1-[7-azabicyclo[2.2.1]hept-7-yl]-2-[3-(2-butylamino-1-methylethyl)-2-(3,5-dimethylphenyl)-1H-indol-5-yl]-2-methyl-1-propanone.

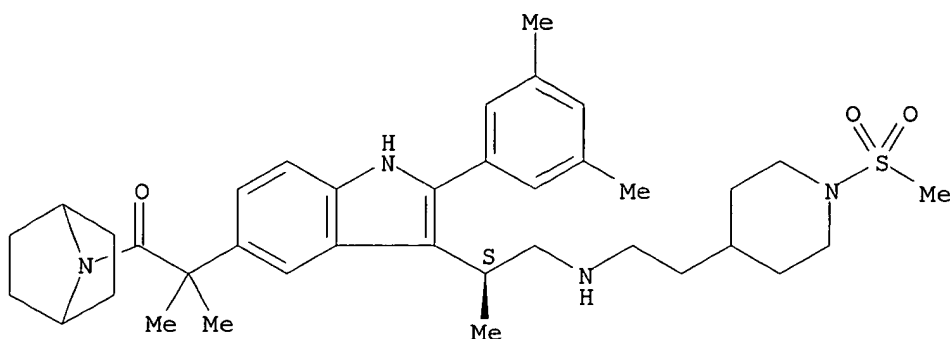
IT 223684-48-8P 223684-52-4P 223684-53-5P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of gonadotropin releasing hormone antagonists)

RN 223684-48-8 CAPLUS

CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[2-(3,5-dimethylphenyl)-3-[(1S)-1-methyl-2-[[2-[1-(methylsulfonyl)-4-piperidinyl]ethyl]amino]ethyl]-1H-indol-5-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

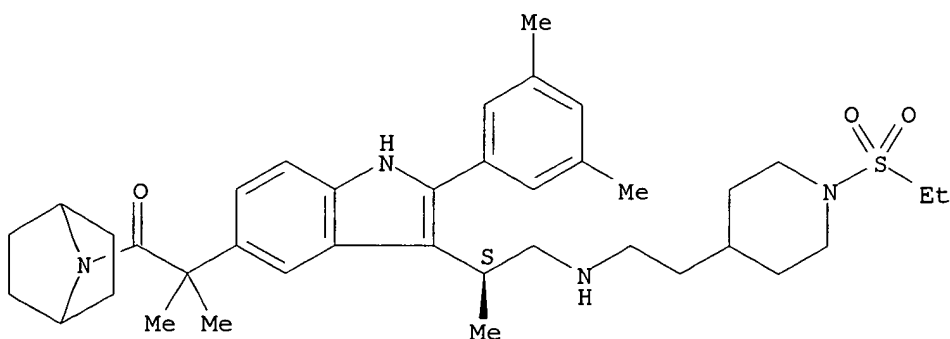
Absolute stereochemistry.



RN 223684-52-4 CAPLUS

CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[2-(3,5-dimethylphenyl)-3-[(1S)-2-[[2-[1-(ethylsulfonyl)-4-piperidinyl]ethyl]amino]-1-methylethyl]-1H-indol-5-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



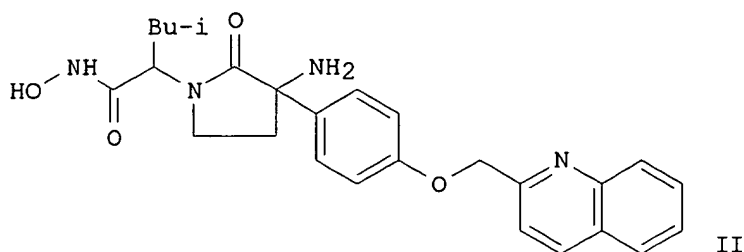
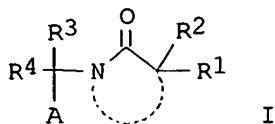
RN 223684-53-5 CAPLUS

CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[2-(3,5-dimethylphenyl)-3-[(1S)-1-methyl-2-[[2-[1-[(1-methylethyl)sulfonyl]-4-piperidinyl]ethyl]amino]ethyl]-1H-indol-5-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

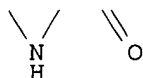
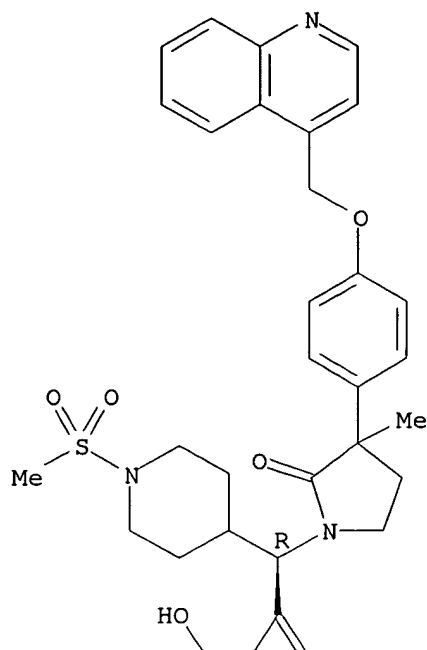
L4 ANSWER 13 OF 41 CAPLUS COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 1999:244635 CAPLUS  
DOCUMENT NUMBER: 130:296611  
TITLE: Preparation of novel lactam as metalloprotease  
inhibitors  
INVENTOR(S): Duan, Jingwu; Decicco, Carl P.; Wasserman, Zelda R.;  
Maduskuie, Thomas P., Jr.  
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA  
SOURCE: PCT Int. Appl., 333 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

GI



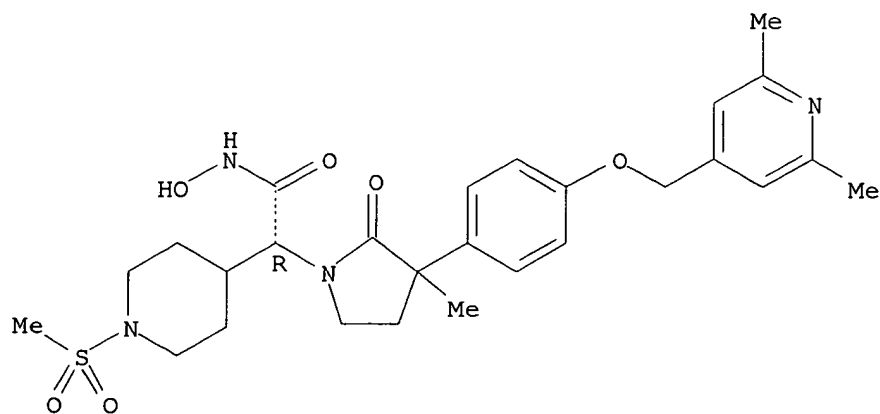
- AB Title compds. [I; A is selected from COOH, CH<sub>2</sub>COOH, CONHOH, SH, CH<sub>2</sub>SH, PO(OH)<sub>2</sub>, etc.; ring B is a 4-8 membered cyclic amide contg. 0-3 heteroatoms from O, N, and S, etc.; R<sub>1</sub> is phenylmethoxyphenyl, phenoxyphenyl, etc.; R<sub>2</sub> is H, CH<sub>3</sub>, Et, i-Pr, etc.; R<sub>1</sub>-R<sub>2</sub> combine to form heterocyclic; R<sub>3</sub> is H, alkylene, heterocyclic, etc.; R<sub>4</sub> is H, alkylene, etc.; R<sub>3</sub>-R<sub>4</sub> combine to form heterocyclic], stereoisomer, and pharmaceutically acceptable salt thereof are prepd. as useful metalloprotease inhibitors. Thus, compd. II was prepd. via alkylation, oxidn., amination, and cyclization.
- IT **223402-89-9P 223402-94-6P 223403-41-6P**  
**223404-49-7P 223404-75-9P 223404-78-2P**  
**223407-36-1P 223408-00-2P 223408-24-0P**  
**223408-27-3P**  
 RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of novel lactam metalloprotease inhibitors)
- RN 223402-89-9 CAPLUS
- CN 4-Piperidineacetamide, N-hydroxy-.alpha.-[3-methyl-2-oxo-3-[4-(4-quinolinylmethoxy)phenyl]-1-pyrrolidinyl]-1-(methylsulfonyl)-, (.alpha.R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 223402-94-6 CAPLUS  
 CN 4-Piperidineacetamide, .alpha.-[3-[4-[(2,6-dimethyl-4-pyridinyl)methoxy]phenyl]-3-methyl-2-oxo-1-pyrrolidinyl]-N-hydroxy-1-(methylsulfonyl)-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 223403-41-6 CAPLUS  
 CN 4-Piperidineacetamide, .alpha.-[3-[4-[(2,6-dimethyl-4-

09/939,872

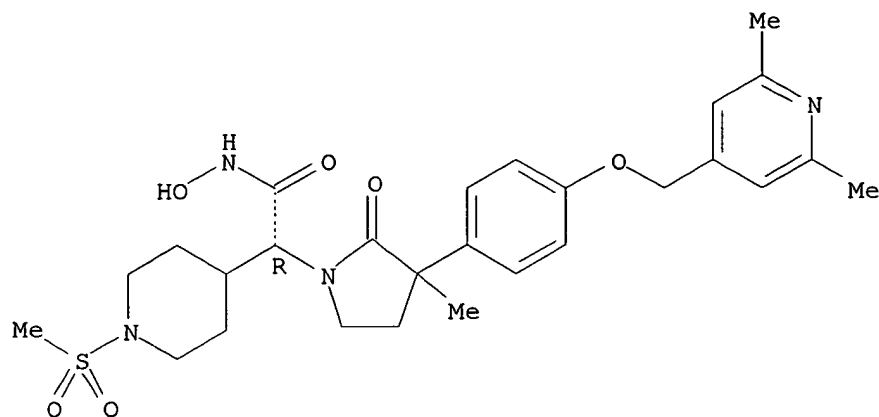
pyridinyl)methoxy]phenyl]-3-methyl-2-oxo-1-pyrrolidinyl]-N-hydroxy-1-(methylsulfonyl)-, (.alpha.R)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 223402-94-6

CMF C27 H36 N4 O6 S

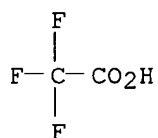
Absolute stereochemistry.



CM 2

CRN 76-05-1

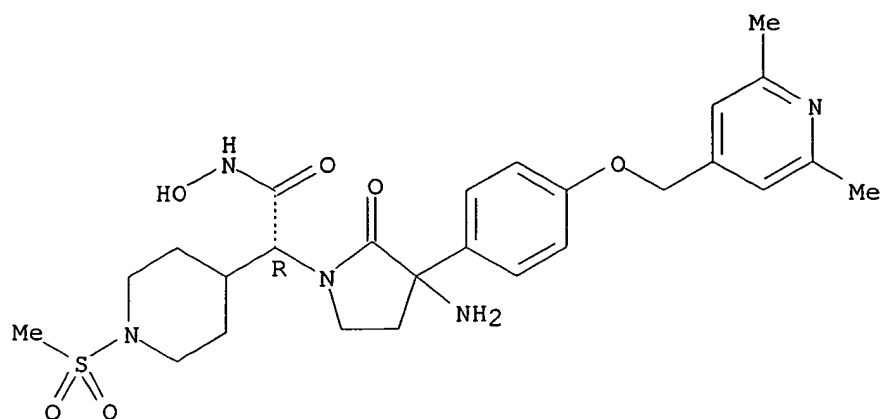
CMF C2 H F3 O2



RN 223404-49-7 CAPLUS

CN 4-Piperidineacetamide, .alpha.-[3-amino-3-[4-[(2,6-dimethyl-4-pyridinyl)methoxy]phenyl]-2-oxo-1-pyrrolidinyl]-N-hydroxy-1-(methylsulfonyl)-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

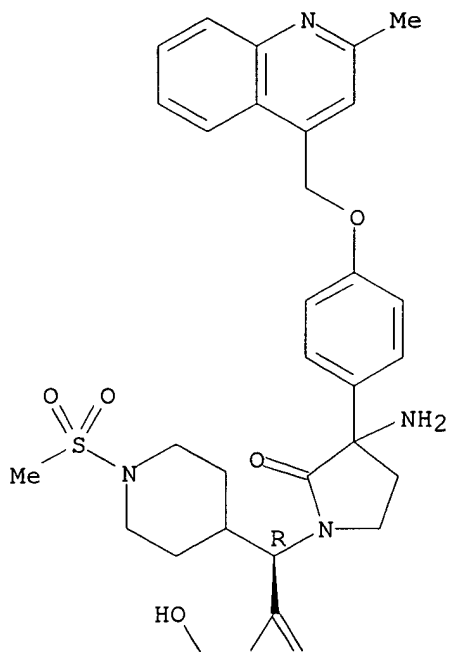


RN 223404-75-9 CAPLUS

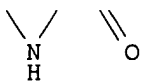
CN 4-Piperidineacetamide, .alpha.-[3-amino-3-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-2-oxo-1-pyrrolidinyl]-N-hydroxy-1-(methylsulfonyl)-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



RN 223404-78-2 CAPLUS

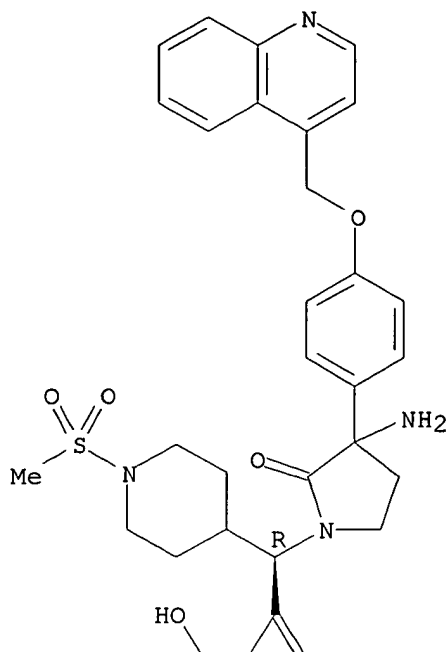
CN 4-Piperidineacetamide, .alpha.-[3-amino-2-oxo-3-[4-(4-

09/939,872

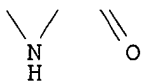
quinolinylmethoxy)phenyl]-1-pyrrolidinyl]-N-hydroxy-1-(methylsulfonyl)-,  
(.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

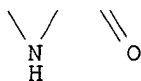
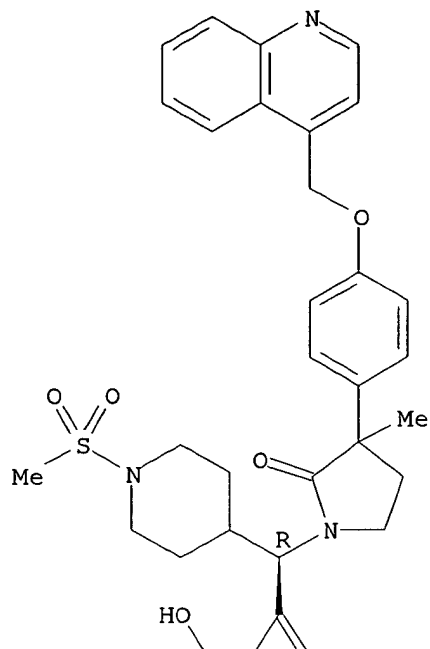


RN 223407-36-1 CAPLUS  
CN 4-Piperidineacetamide, N-hydroxy-.alpha.-[3-methyl-2-oxo-3-[4-(4-quinolinylmethoxy)phenyl]-1-pyrrolidinyl]-1-(methylsulfonyl)-,  
(.alpha.R)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

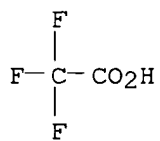
CRN 223402-89-9  
CMF C29 H34 N4 O6 S

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 223408-00-2 CAPLUS  
CN 4-Piperidineacetamide, .alpha.-[3-amino-3-[4-[(2,6-dimethyl-4-pyridinyl)methoxy]phenyl]-2-oxo-1-pyrrolidinyl]-N-hydroxy-1-(methylsulfonyl)-, (.alpha.R)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

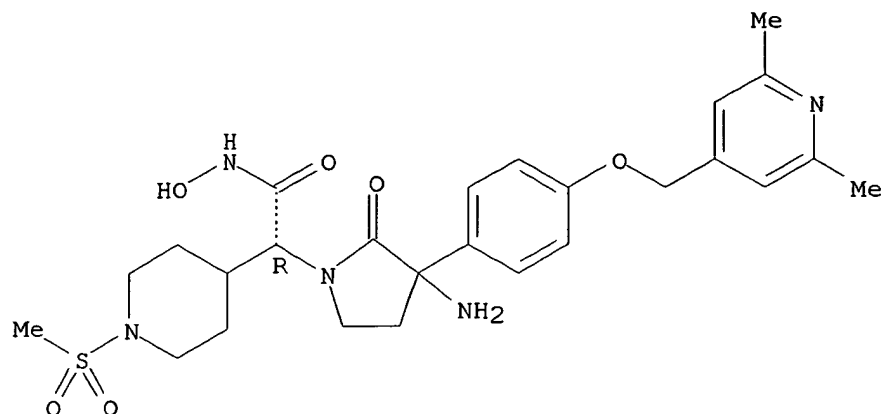
CM 1

CRN 223404-49-7  
CMF C26 H35 N5 O6 S



09/939,872

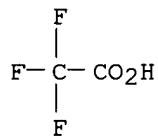
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 223408-24-0 CAPLUS

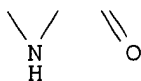
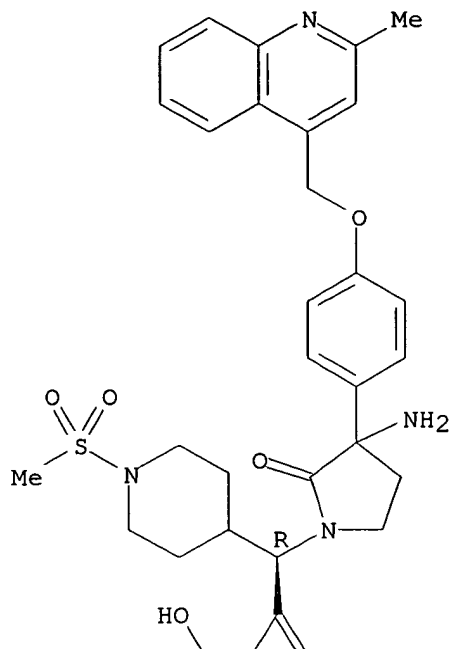
CN 4-Piperidineacetamide, .alpha.-[3-amino-3-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-2-oxo-1-pyrrolidinyl]-N-hydroxy-1-(methylsulfonyl)-, (.alpha.R)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 223404-75-9

CMF C29 H35 N5 O6 S

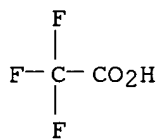
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 223408-27-3 CAPLUS

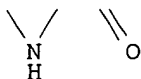
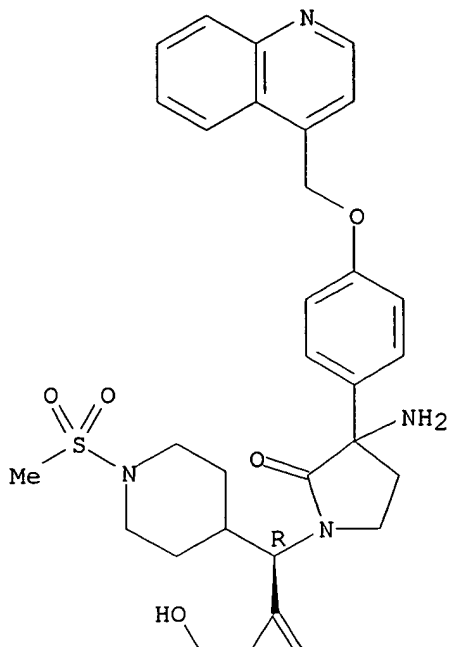
CN 4-Piperidineacetamide, .alpha.-[3-amino-2-oxo-3-[4-(4-quinolinylmethoxy)phenyl]-1-pyrrolidinyl]-N-hydroxy-1-(methylsulfonyl)-, (.alpha.R)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 223404-78-2

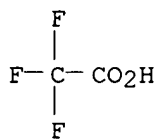
CMF C28 H33 N5 O6 S

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 41 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1999:205324 CAPLUS

DOCUMENT NUMBER: 130:252249

TITLE: Methods and compositions for the treatment of neurodegeneration

INVENTOR(S): Bergeron, Raymond J., Jr.; Borg, Stefan

PATENT ASSIGNEE(S): University of Florida Research Foundation, Inc., USA; Sunpharm Corporation

09/939,872

SOURCE: U.S., 21 pp.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5886051	A	19990323	US 1995-554370	19951108

OTHER SOURCE(S): MARPAT 130:252249

AB Methods and pharmaceutical compns. in unit dosage form for treating neurodegeneration in a human or nonhuman animal afflicted therewith wherein the active agent is a therapeutically effective amt. of a polyamine or a salt thereof with a pharmaceutically acceptable acid. E.g., N,N'-1,4-bis(2,4,6-trimethylbenzenesulfonyl)butanediylbis[4-(2,4,6-trimethylbenzenesulfonyl)piperidineethanamine], prepd. in 85% yield from N,N'-1,4-bis(2,4,6-trimethylbenzenesulfonyl)butanediamine and N,O-bis(2,4,6-trimethylbenzenesulfonyl)piperidineethanol, was hydrolyzed to give 68% N,N'-1,4-butanediylbis[4-piperidineethanamine]. Also prepd. were trans-1,4-cyclohexanediamines. Extensive data were given for the effectiveness of polyamines in treating cognitive disorders including expts. with human adults in the early stages of Alzheimer's disease.

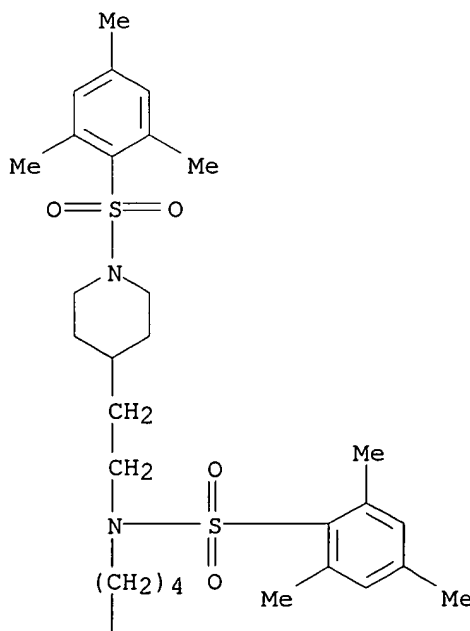
IT **165288-20-0P 221636-84-6P**

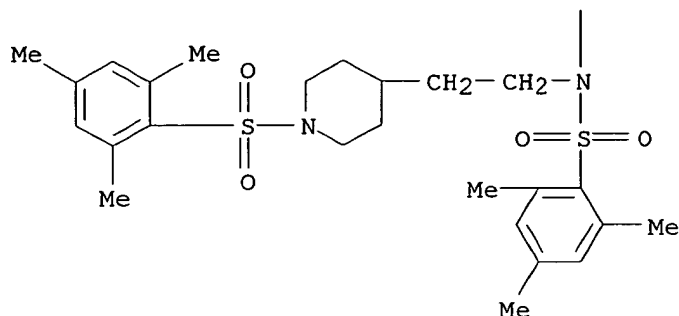
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and cognition enhancing activity of polyamines)

RN 165288-20-0 CAPLUS

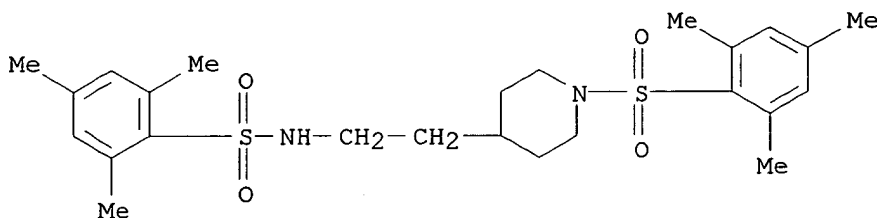
CN Benzenesulfonamide, N,N'-1,4-butanediylbis[2,4,6-trimethyl-N-[2-[1-[(2,4,6-trimethylphenyl)sulfonyl]-4-piperidiny]ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A





RN 221636-84-6 CAPLUS  
 CN Benzenesulfonamide, 2,4,6-trimethyl-N-[2-[1-[(2,4,6-trimethylphenyl)sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



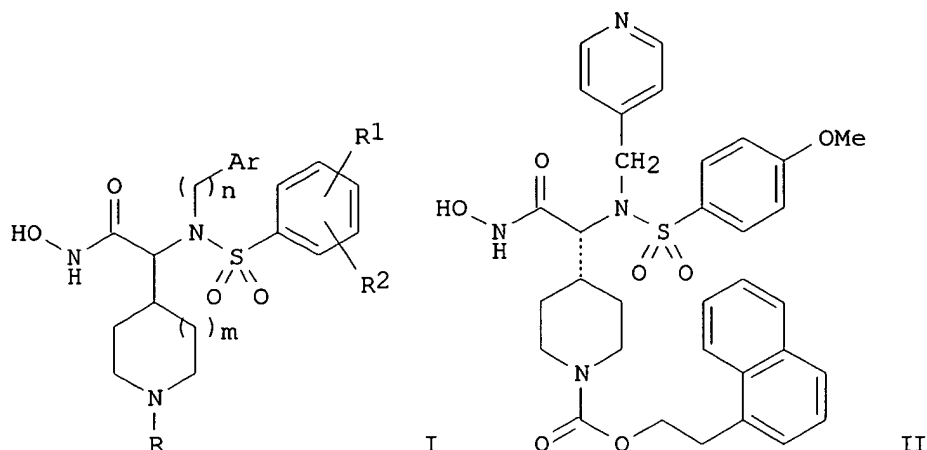
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 41 CAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 1998:653554 CAPLUS  
 DOCUMENT NUMBER: 129:290060  
 TITLE: Certain alpha-azacycloalkyl substituted arylsulfonamido acetohydroxamic acids, useful as inhibitors of matrix-degrading metalloproteinases and TNF-.alpha. converting enzyme  
 INVENTOR(S): Nantermet, Philippe G.; Parker, David T.; Macpherson, Lawrence J.  
 PATENT ASSIGNEE(S): Novartis Corporation, USA  
 SOURCE: U.S., 19 pp. Cont.-in-part of U.S. 5,646,167.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 7  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5817822	A	19981006	US 1997-787730	19970124
US 5506242	A	19960409	US 1994-265296	19940624
US 5552419	A	19960903	US 1994-333676	19941103
US 5646167	A	19970708	US 1995-475166	19950607
PRIORITY APPLN. INFO.:			US 1994-265296	A2 19940624
			US 1994-333676	A2 19941103
			US 1995-475166	A2 19950607
			US 1993-1136	A2 19930106
			NZ 1993-250517	A 19931220

OTHER SOURCE(S):  
GI

MARPAT 129:290060



AB The invention relates to .alpha.-(N-substituted pyrrolidinyl and piperidinyl)-.alpha.-(arylsulfonamido)acetohydroxamic acids I [R = acyl derived from a carboxylic, carbonic, or carbamic acid; or R = (lower alkyl, aryl-lower alkyl, or aryl)-sulfonyl, di-(aryl-lower alkyl or alkyl)-aminosulfonyl, or aryl-lower alkyl; Ar = carbocyclic aryl, heterocyclic aryl, or biaryl; R1 and R2 = H, alkyl, alkoxy, halo, OH, acyloxy, alkoxy-lower alkoxy, CF3, or cyano; or R1R2 = alkylenedioxy; m = 0 or 1; n = 1-5] and their pharmaceutically acceptable prodrugs and salts. Also disclosed are a process for the prepn. of the compds., pharmaceutical compns. comprising them, and their use for therapeutic treatment or manuf. of a pharmaceutical compn. Approx. 70 invention compds. and various starting materials and intermediates are described. For instance, benzyl 2-(R)-[(4-methoxybenzenesulfonyl)(4-picolyl)amino]-2-(4-piperidinyl)acetate dihydrochloride (prepd. in approx. 9 steps) was condensed at the piperidine N with 1-naphthaleneethanol and di(2-pyridyl) carbonate (phosgene equiv.), and the product underwent hydrogenolysis of the benzyl ester, amidation with tert-BuONH2, and removal of the tert-Bu group with dry HCl, to give title salt II.HCl. In a test for inhibition of prodn. of sol. TNF-.alpha. by LPS-stimulated THP-1 cells in vitro, II.HCl had an IC50 of 0.7 .mu.M. II.HCl also inhibited the in-vitro hydrolysis of substance P by stromelysin with an IC50 of approx. 15 nM.

IT 214217-17-1P 214217-19-3P 214217-20-6P

214217-21-7P 214217-22-8P 214217-23-9P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

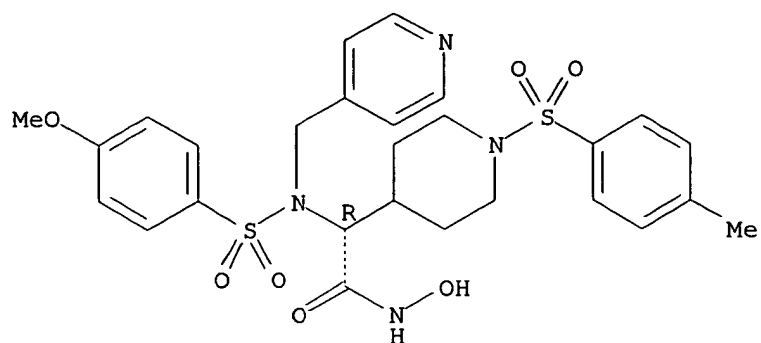
(prepn. of azacycloalkyl arylsulfonamido acetohydroxamic acids as inhibitors of matrix-degrading metalloproteinases and TNF-.alpha. converting enzyme)

RN 214217-17-1 CAPLUS

CN 4-Piperidineacetamide, N-hydroxy-.alpha.-(4-methoxyphenylsulfonyl)(4-pyridinylmethyl)amino]-1-(4-methylphenylsulfonyl)-, monohydrochloride, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

09/939,872

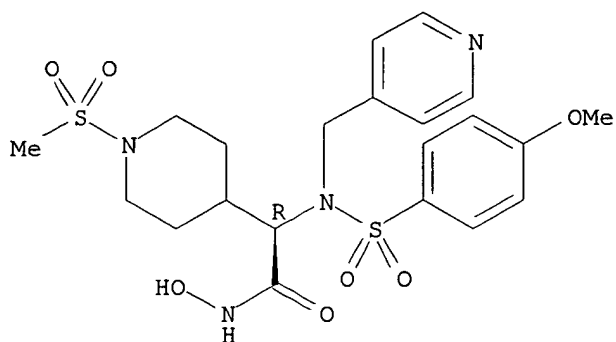


● HCl

RN 214217-19-3 CAPLUS

CN 4-Piperidineacetamide, N-hydroxy-.alpha.-[[ (4-methoxyphenyl)sulfonyl] (4-pyridinylmethyl)amino]-1-(methylsulfonyl)-, monohydrochloride, (.alpha.R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



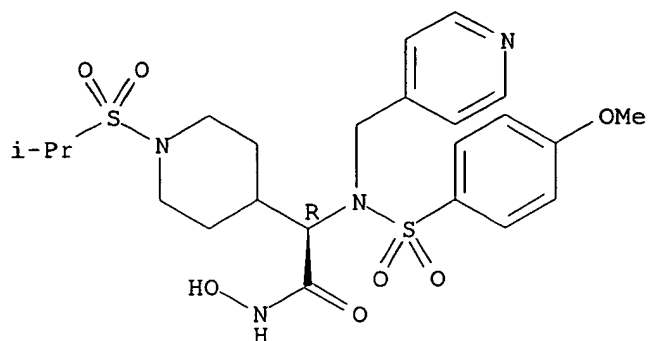
● HCl

RN 214217-20-6 CAPLUS

CN 4-Piperidineacetamide, N-hydroxy-.alpha.-[[ (4-methoxyphenyl)sulfonyl] (4-pyridinylmethyl)amino]-1-[(1-methylethyl)sulfonyl]-, monohydrochloride, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

09/939,872

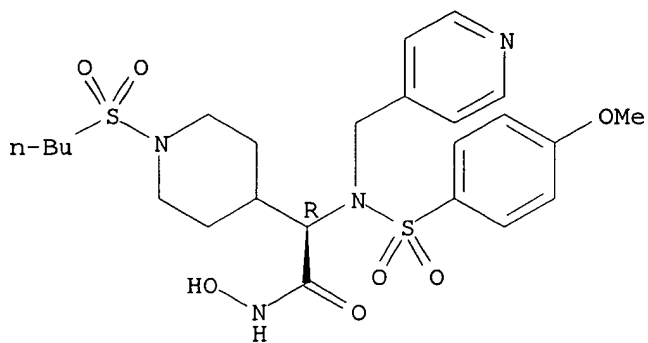


● HCl

RN 214217-21-7 CAPLUS

CN 4-Piperidineacetamide, 1-(butylsulfonyl)-N-hydroxy-.alpha.-[[4-methoxyphenyl)sulfonyl](4-pyridinylmethyl)amino]-, monohydrochloride, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



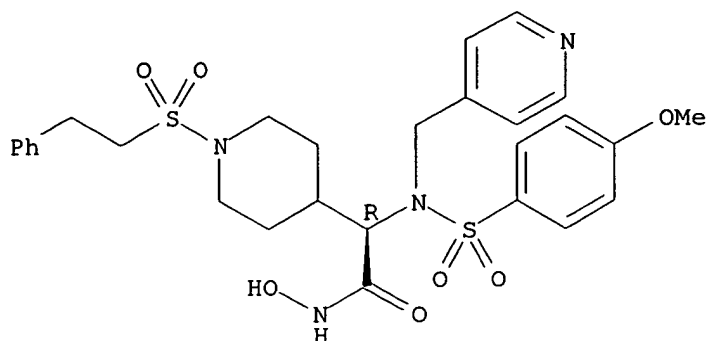
● HCl

RN 214217-22-8 CAPLUS

CN 4-Piperidineacetamide, N-hydroxy-.alpha.-[[4-methoxyphenyl)sulfonyl](4-pyridinylmethyl)amino]-1-[(2-phenylethyl)sulfonyl]-, monohydrochloride, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

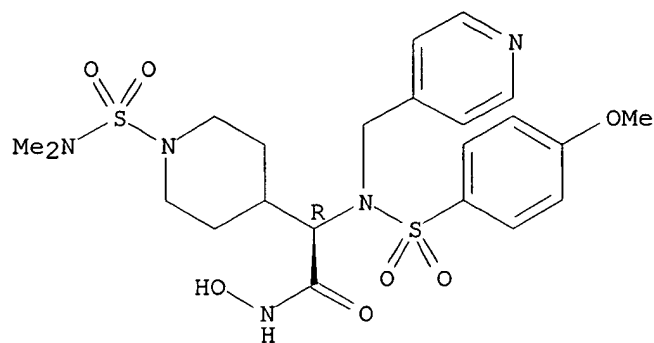




● HCl

RN 214217-23-9 CAPLUS  
 CN 4-Piperidineacetamide, 1-[(dimethylamino)sulfonyl]-N-hydroxy-.alpha.-[[[4-methoxyphenyl)sulfonyl](4-pyridinylmethyl)amino]-, monohydrochloride, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



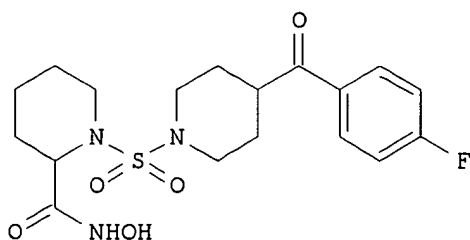
● HCl

L4 ANSWER 16 OF 41 CAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 1998:498326 CAPLUS  
 DOCUMENT NUMBER: 129:148991  
 TITLE: Preparation of N-sulfamoylpiperidine-2-hydroxamic acids and analogs as metalloproteinase inhibitors  
 INVENTOR(S): Broka, Chris Allen; Campbell, Jeffrey Allen; Castelhana, Arlindo Lucas; Chen, Jian Jeffrey; Hendricks, Robert Than; Melnick, Michael Joseph; Walker, Keith Adrian Murray  
 PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.; Agouron Pharmaceuticals, Inc.  
 SOURCE: Ger. Offen., 84 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19802350	A1	19980730	DE 1998-19802350	19980122
WO 9832748	A1	19980730	WO 1998-EP180	19980114
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9866140	A1	19980818	AU 1998-66140	19980114
AU 730127	B2	20010222		
EP 958287	A1	19991124	EP 1998-907943	19980114
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9807508	A	20000321	BR 1998-7508	19980114
JP 2001523222	T2	20011120	JP 1998-531537	19980114
ZA 9800376	A	19980723	ZA 1998-376	19980116
IT 1298163	B1	19991220	IT 1998-MI91	19980120
FR 2758559	A1	19980724	FR 1998-601	19980121
US 5998412	A	19991207	US 1998-9951	19980121
GB 2321641	A1	19980805	GB 1998-1393	19980122
GB 2321641	B2	20010401		
ES 2136037	A1	19991101	ES 1998-113	19980122
ES 2136037	B1	20001116		
NO 9903587	A	19990922	NO 1999-3587	19990722
US 6130220	A	20001010	US 1999-369677	19990805
US 6143744	A	20001107	US 1999-369501	19990805
PRIORITY APPLN. INFO.:			US 1997-36714	P 19970123
			US 1997-62209	P 19971016
			WO 1998-EP180	W 19980114
			US 1998-9951	A3 19980121

OTHER SOURCE(S): MARPAT 129:148991  
GI



II

AB R10COCR1R2NR3SO2NR20R21 [I; R1-R3 = H, (CO-interrupted) alkyl, heterocyclyl(alkyl), (hetero)aryl(alkyl), etc.; R1R2, R1R3, R2R3 = atoms to complete a ring; R10 = NR11OR12; R11, R12 = H or (ar)alkyl; R20, R21 = H, alkyl, (hetero)aryl[alk(en)yl], etc.; NR20R21heterocyclyl] were prepd. Thus, (R)-1-[4-(4-chlorobenzoyl)piperidine-1-sulfonyl]piperidine-2-carboxylic acid was amidated by H2NOCMe3 and the product deprotected to give title compd. (R)-II. Data for biol. activity of I were given.

IT **210913-54-5P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

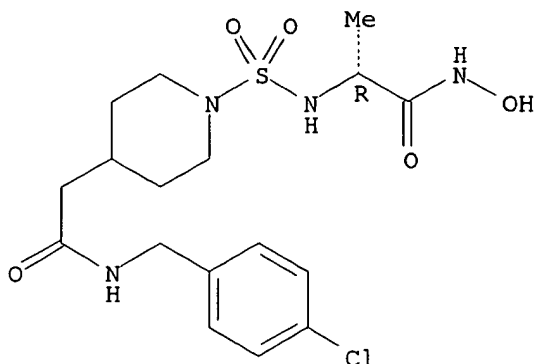
## (Preparation); USES (Uses)

(prepn. of N-sulfamoylpiperidine-2-hydroxamic acids and analogs as metalloproteinase inhibitors)

RN 210913-54-5 CAPLUS

CN 4-Piperidineacetamide, N-[(4-chlorophenyl)methyl]-1-[[[(1R)-2-(hydroxyamino)-1-methyl-2-oxoethyl]amino]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 17 OF 41 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1998:484958 CAPLUS

DOCUMENT NUMBER: 129:113515

TITLE: Stabilized tricyclic compounds

INVENTOR(S): Imoto, Soichiro; Yoshioka, Minoru; Kashiwara, Toshio

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 85 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9829136	A1	19980709	WO 1997-JP4819	19971225
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9853402	A1	19980731	AU 1998-53402	19971225
JP 10236952	A2	19980908	JP 1997-356504	19971225
PRIORITY APPLN. INFO.:			JP 1996-349256	19961227
			WO 1997-JP4819	19971225

OTHER SOURCE(S): MARPAT 129:113515

AB The present invention provides a pharmaceutical compn. which contains an oleaginous base and a tricyclic compd. or a pharmaceutically acceptable salt. The tricyclic compds. inhibit activity of platelet derived growth factor action, are antihypertensives, ameliorate activity of renal diseases and lower lipid levels. Approx. 10 4,5-dihydro-4-(piperidinylalkyl)-3H-1,4,8b-triazaacenaphthylenone derivs. were prepd. by std. methods. Formulations of 4,5-dihydro-4-[4-(trifluoromethanesulfonamido)butan-1-yl]-3H-1,4,8b-triazaacenaphthylen-3-

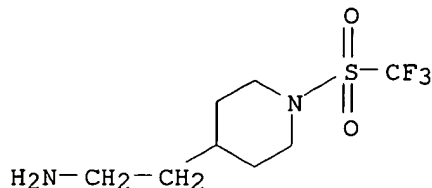
one in various oleaginous bases, such as the panasates, was tested for stability to oxidative decompn.

IT **210095-64-0P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and stabilization of triazaacenaphthylenone pharmaceutical formulations)

RN 210095-64-0 CAPLUS

CN 4-Piperidineethanamine, 1-[(trifluoromethyl)sulfonyl]- (9CI) (CA INDEX NAME)

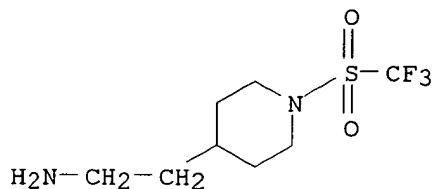


IT **203867-73-6P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and stabilization of triazaacenaphthylenone pharmaceutical formulations)

RN 203867-73-6 CAPLUS

CN 4-Piperidineethanamine, 1-[(trifluoromethyl)sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L4 ANSWER 18 OF 41 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1998:251152 CAPLUS

DOCUMENT NUMBER: 128:321926

TITLE: Preparation of aspartate ester inhibitors of interleukin-1.β. converting enzyme

INVENTOR(S): Albrecht, Hans P.; Allen, Hamish John; Brady, Kenneth Dale; Caprathe, Bradley William; Gilmore, John Lodge; Harter, William Glen; Hays, Sheryl Jeanne; Kostlan, Catherine Rose; Lunney, Elizabeth Ann; Para, Kimberly Suzanne; et al.

PATENT ASSIGNEE(S): Warner-Lambert Company, USA; Albrecht, Hans P.; Allen, Hamish John; Brady, Kenneth Dale; Caprathe, Bradley William; Gilmore, John Lodge; Harter, William Glen; Hays, Sheryl Jeanne; Kostlan, Catherine Rose

SOURCE: PCT Int. Appl., 179 pp.

CODEN: PIXXD2

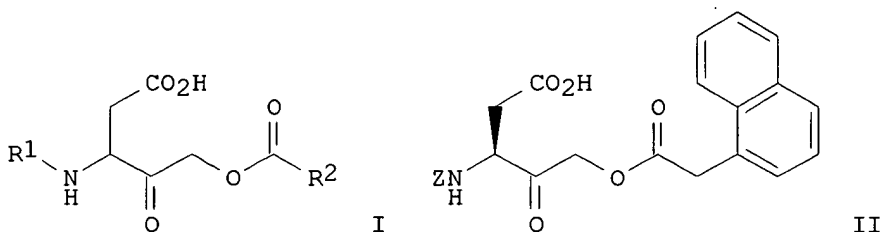
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9816502	A1	19980423	WO 1997-US18514	19971009
W:	AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
AU 9749023	A1	19980511	AU 1997-49023	19971009
AU 738341	B2	20010913		
EP 932598	A1	19990804	EP 1997-911715	19971009
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
BR 9712530	A	19991019	BR 1997-12530	19971009
JP 2001506974	T2	20010529	JP 1998-518519	19971009
NO 9901677	A	19990609	NO 1999-1677	19990409
PRIORITY APPLN. INFO.:			US 1996-28322	P 19961011
			WO 1997-US18514	W 19971009
OTHER SOURCE(S):	MARPAT 128:321926			
GI				



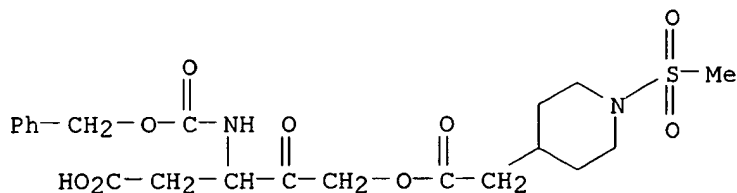
AB The present invention relates to compds. I [R1 = carboxy, acyl, amino acid residue, etc.; R2 = (CR2)<sub>n</sub>-X-R3; each R = independently H, C1-6 alkyl, OH; R3 = (un)substituted aryl, (un)substituted heteroaryl, (un)substituted heterocyclyl, cycloalkyl, etc; X = bond, O, S; n = 0-3; and the pharmaceutically acceptable salts, esters, amides, and prodrugs thereof] as inhibitors of interleukin-1.β. converting enzyme (ICE). This invention also relates to a method of treatment of stroke, inflammatory diseases, reperfusion injury, Alzheimer's disease, and shigellosis, and to a pharmaceutically acceptable compn. that contains a compd. that is an inhibitor of interleukin-1.β. converting enzyme. Thus, substitution of Z-Asp(OCMe<sub>3</sub>)-CH<sub>2</sub>Br (Z = PhCH<sub>2</sub>O<sub>2</sub>C) with 1-naphthylacetic acid, followed by acidic deprotection, gave desired aspartate ester deriv. II. II inhibited ICE with K<sub>i</sub> = 0.460 .μM and IC<sub>50</sub> = 3.100 .μM, and inhibited Ich-2 (caspase-4) with IC<sub>50</sub> = 3.60 .μM, as detd. using in vitro assays. Related prepd. compds. I (196 examples) were also tested for ICE inhibition (K<sub>i</sub> values of 0.00008 to 76 .μM and IC<sub>50</sub> values of 0.0013 to 32 .μM), and Ich-2 inhibition (IC<sub>50</sub> = 0.021 to 76 .μM).

## IT 206863-17-4P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

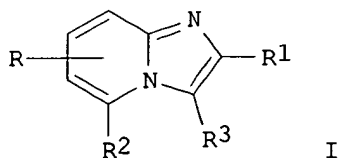
(prepn. of aspartate ester inhibitors of interleukin-1.β. converting

enzyme)  
 RN 206863-17-4 CAPLUS  
 CN 4-Piperidineacetic acid, 1-(methylsulfonyl)-, 4-carboxy-2-oxo-3-  
 [[(phenylmethoxy)carbonyl]amino]butyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 19 OF 41 CAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 1998:175756 CAPLUS  
 DOCUMENT NUMBER: 128:204886  
 TITLE: Preparation of triazacyclopentindenones and analogs as  
 platelet derived growth factor inhibitors  
 INVENTOR(S): Kawamoto, Tetsuji; Shibouta, Yumiko; Takatani, Muneo;  
 Noda, Masakuni  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
 SOURCE: Eur. Pat. Appl., 66 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 826686	A2	19980304	EP 1997-115200	19970902
EP 826686	A3	19980506		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 08081467	A2	19960326	JP 1995-177453	19950713
US 5958942	A	19990928	US 1997-783101	19970114
CA 2214393	AA	19980302	CA 1997-2214393	19970829
CN 1176785	A	19980325	CN 1997-119303	19970902
JP 10120568	A2	19980512	JP 1997-237045	19970902
JP 10182644	A2	19980707	JP 1997-298527	19971030
PRIORITY APPLN. INFO.:			JP 1995-177453	A 19950713
			JP 1996-231855	A 19960902
			JP 1996-292059	A 19961101
			JP 1994-163802	A 19940715
OTHER SOURCE(S):		MARPAT 128:204886		
GI				



AB Title compds. [I; R = H or substituent; R1 = H, halo, hydrocarbyl, acyl,

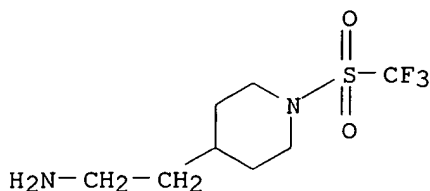
etc.; R2R3 = substituted [(thi)oxo]azaalkylene] were prepd. Thus, OHCHClCO2Et (prepn. given) was cyclocondensed with 2,6-diaminopyridine and the product cyclized to give I (R = R1 = H, R2R3 = NR4CO) (II; R4 = H) which was converted in 2 steps to II (R4 = ZSO2CF3, Z = piperidine-4,1-diyl). Data for biol. activity of I were given.

IT 203867-73-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of triazacyclopentindenones and analogs as platelet derived growth factor inhibitors)

RN 203867-73-6 CAPLUS

CN 4-Piperidineethanamine, 1-[(trifluoromethyl)sulfonyl]-, monohydrochloride  
(9CI) (CA INDEX NAME)



● HCl

L4 ANSWER 20 OF 41 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1998:31304 CAPLUS

DOCUMENT NUMBER: 128:88789

TITLE: Preparation of pyridyl alkene- and pyridyl alkyne-acid amides as cytostatics and immunosuppressives

INVENTOR(S): Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Seibel, Klaus; Vogt, Klaus

PATENT ASSIGNEE(S): Klinge Pharma G.m.b.H., Germany; Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Seibel, Klaus; Vogt, Klaus

SOURCE: PCT Int. Appl., 220 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9748696	A1	19971224	WO 1997-EP3245	19970620
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
DE 19624659	A1	19980108	DE 1996-19624659	19960620
ZA 9705437	A	19980210	ZA 1997-5437	19970619
CA 2257448	AA	19971224	CA 1997-2257448	19970620

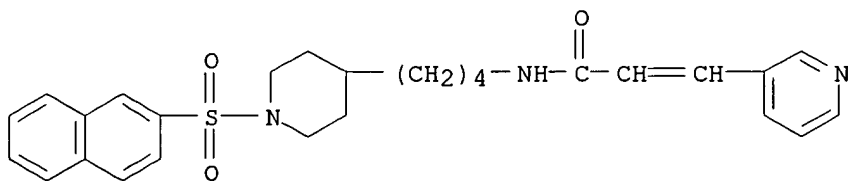
AU 9732625	A1	19980107	AU 1997-32625	19970620
AU 736206	B2	20010726		
EP 923570	A1	19990623	EP 1997-928261	19970620
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9709823	A	19990810	BR 1997-9823	19970620
CN 1228777	A	19990915	CN 1997-197424	19970620
JP 2000516913	T2	20001219	JP 1998-502318	19970620
KR 2000022333	A	20000425	KR 1998-710756	19981221
PRIORITY APPLN. INFO.:			DE 1996-19624659 A	19960620
			WO 1997-EP3245 W	19970620
OTHER SOURCE(S):		MARPAT 128:88789		
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I; R1 = H, halo, CN, etc.; R2 = H, C1-6 alkyl, C3-6 alkenyl, etc.; R3 = H, halo, C1-6 alkyl, etc.; R4 = H, OH, PhCH2O, etc.; k = 0-1; A = (un)substituted C2-6 alkylene, C4-6 alkadienylene, etc.; D = (un)substituted C1-10 alkylene, C2-10 alkenylene, etc.; E = II, III (wherein n, p = 0-3 with the proviso that n + p .ltoreq. 4; q = 2-3; R10 = H, C1-6 alkyl, OH, etc.; R11 = H, C1-6 alkyl, O; R10R11 = alkylene bridge with 1-5 carbon atoms, esp. a C1-3 alkylene bridge); G = H, SO2(CH2)rR12 (wherein R12 = H, C1-6 alkyl, C3-6 alkenyl, etc.; r = 0-3), COR15 (R15 = CF3, C1-6 alkoxy, PhCH2O, etc.), etc.], useful in the treatment of tumors or for immunosuppression, were prepd. and formulated. Thus, reaction of N-[4-(piperidin-4-yl)butyl]-3-(pyridin-3-yl)acrylamide with N,N-diphenylcarbamic acid chloride in the presence of Et3N in CH2Cl2 afforded 60% IV which showed IC50 of 0.001 .mu.M against HepG2 cells growth.

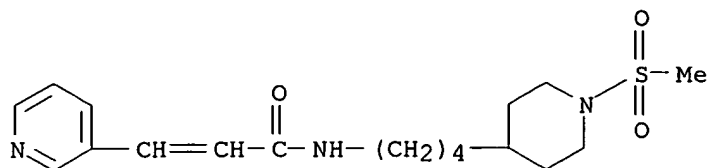
IT **201034-81-3P 201034-86-8P 201034-87-9P**  
 RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of pyridyl alkene- and pyridyl alkyne- acid amides as cytostatics and immunosuppressives)

RN 201034-81-3 CAPLUS  
 CN 2-Propenamide, N-[4-[1-(2-naphthalenylsulfonyl)-4-piperidinyl]butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



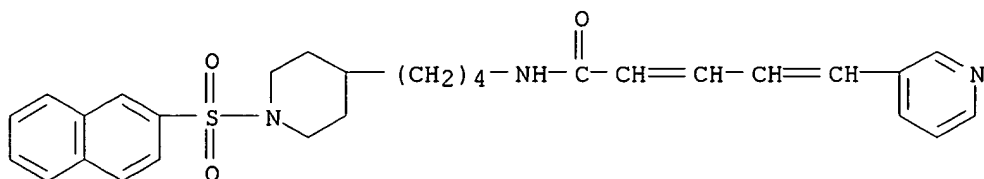
RN 201034-86-8 CAPLUS  
 CN 2-Propenamide, N-[4-[1-(methylsulfonyl)-4-piperidinyl]butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)





RN 201034-87-9 CAPLUS

CN 2,4-Pentadienamide, N-[4-[1-(2-naphthalenylsulfonyl)-4-piperidinyl]butyl]-5-(3-pyridinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 21 OF 41 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1998:31303 CAPLUS

DOCUMENT NUMBER: 128:88788

TITLE: Preparation of N-[(azacycloalkyl)alkyl]pyridinealkanamides as antitumor agents and immunosuppressants

INVENTOR(S): Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Seibel, Klaus; Vogt, Klaus

PATENT ASSIGNEE(S): Klinge Pharma G.m.b.H., Germany; Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Seibel, Klaus; Vogt, Klaus

SOURCE: PCT Int. Appl., 220 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9748695	A1	19971224	WO 1997-EP3243	19970620
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
DE 19624704	A1	19980108	DE 1996-19624704	19960620
ZA 9705439	A	19980223	ZA 1997-5439	19970619
AU 9733420	A1	19980107	AU 1997-33420	19970620
EP 934309	A1	19990811	EP 1997-929240	19970620
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000512651	T2	20000926	JP 1998-502316	19970620
PRIORITY APPLN. INFO.:			DE 1996-19624704 A	19960620

WO 1997-EP3243 W 19970620

OTHER SOURCE(S): MARPAT 128:88788

AB R1ZCONR4Z1Z2R2 [I; R1 = (1-oxido)(un)substituted 3-pyridyl; R2 = H, Z3(CH2)r(CR14R15)sR13, COR16, etc.; R4 = H, alkyl, alkoxy, etc.; R13,R14 = H, alkyl, (hetero)aryl, etc.; R15 = H, OH, Me, Ph, CH2Ph; R16 = CF3, alkoxy, OCH2Ph; Z = cyclopropylene, alkylene which may be interrupted by O, CO, NH, etc.; Z1 = (un)substituted alk(en)ylene, etc.; Z2 = N-attached (un)substituted (ox)azacycloalkylene; Z3 = bond or CO; r = 0-3; s = 0 or 1] were prepd. Thus, 4-piperidinebutanol was N-alkylated by Ph2CHBr and the product converted in 2 steps to H2N(CH2)4Z2CHPh2 (Z2 = piperidine-4,1-diyl) which was amidated by 3-pyridinepropionic acid to give R1CH2CH2CONH(CH2)4Z2CHPh2 (R1 = 3-pyridyl, Z2 = piperidine-4,1-diyl). Data for biol. activity of I were given.

IT 200867-88-5P 200868-20-8P 200868-21-9P

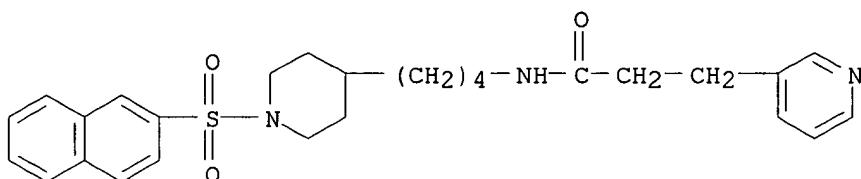
200868-25-3P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-[(azacycloalkyl)alkyl]pyridinealkanamides as antitumor agents and immunosuppressants)

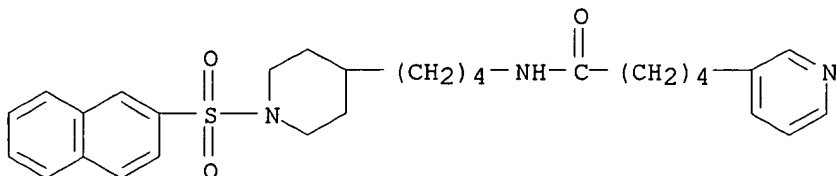
RN 200867-88-5 CAPLUS

CN 3-Pyridinepropanamide, N-[4-[1-(2-naphthalenylsulfonyl)-4-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



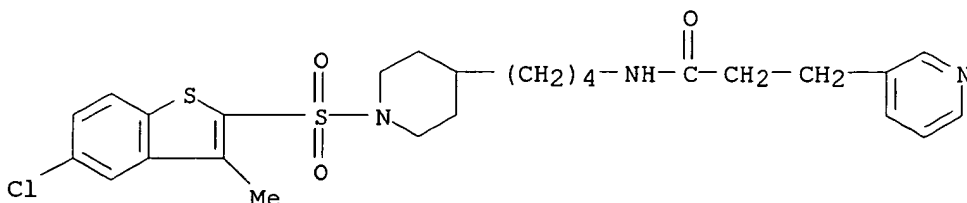
RN 200868-20-8 CAPLUS

CN 3-Pyridinepentanamide, N-[4-[1-(2-naphthalenylsulfonyl)-4-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



RN 200868-21-9 CAPLUS

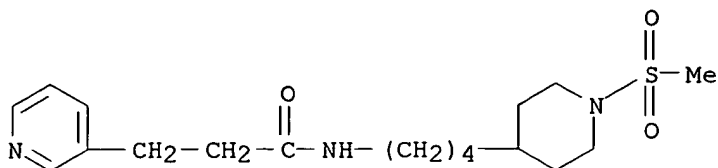
CN 3-Pyridinepropanamide, N-[4-[1-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]-4-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



RN 200868-25-3 CAPLUS

09/939,872

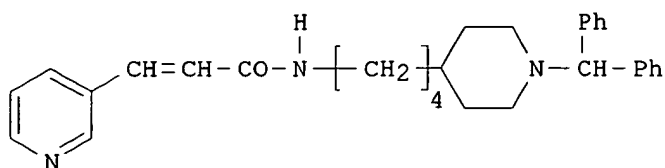
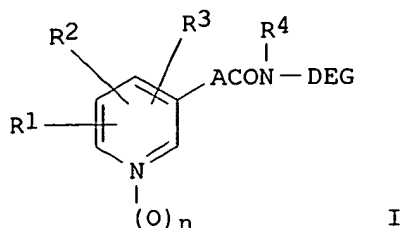
CN 3-Pyridinepropanamide, N-[4-[1-(methylsulfonyl)-4-piperidinyl]butyl]-  
(9CI) (CA INDEX NAME)



L4 ANSWER 22 OF 41 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1998:28656 CAPLUS  
DOCUMENT NUMBER: 128:102008  
TITLE: Preparation and formulation of pyridine derivatives as  
antitumor agents and immunosuppressants  
INVENTOR(S): Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel,  
Benno; Reiter, Friedemann; Schein, Barbara; Seibel,  
Klaus; Vogt, Klaus  
PATENT ASSIGNEE(S): Klinge Pharma G.m.b.H., Germany; Biedermann, Elfi;  
Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter,  
Friedemann; Schein, Barbara; Seibel, Klaus; Vogt,  
Klaus  
SOURCE: PCT Int. Appl., 267 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9748397	A1	19971224	WO 1997-EP3244	19970620
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
DE 19624668	A1	19980219	DE 1996-19624668	19960620
ZA 9705443	A	19980210	ZA 1997-5443	19970619
AU 9732624	A1	19980107	AU 1997-32624	19970620
EP 912176	A1	19990506	EP 1997-928260	19970620
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
JP 2000512652	T2	20000926	JP 1998-502317	19970620
PRIORITY APPLN. INFO.:			DE 1996-19624668 A	19960620
			WO 1997-EP3244 W	19970620
OTHER SOURCE(S):	MARPAT 128:102008			
GI				



AB The title compd. I [R1 = H, halo, cyano, etc.; R2 = H, halo, hydroxy, alkyl, etc.; R3 = H, halo, alkyl, etc.; R4 = H, hydroxy, benzyloxy, etc.; n = 0 or 1; A = alkylene, etc.; D = alkylene, etc.; E = piperidine ring (generic structure given), etc.; G = H, etc.] are prepd. The title compd. II in vitro showed IC50 of 0.008 .mu.M against the WERI-Rb-1 retinoblastoma cells.

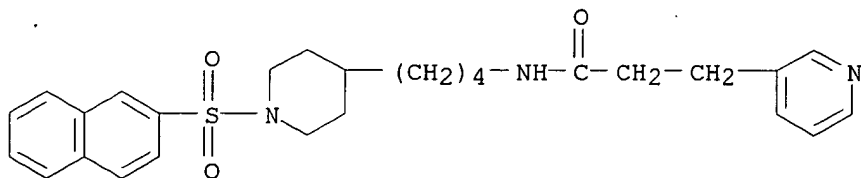
IT **200867-88-5P 200868-20-8P 200868-21-9P**  
**201034-81-3P 201159-70-8P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of pyridine derivs. as antitumor agents and immunosuppressants)

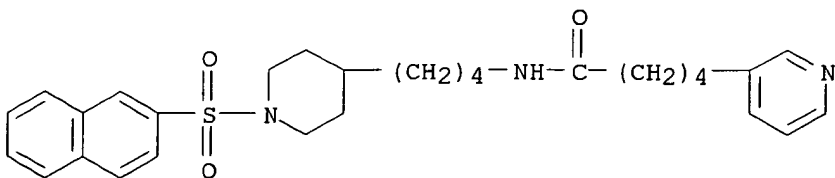
RN 200867-88-5 CAPLUS

CN 3-Pyridinepropanamide, N-[4-[1-(2-naphthalenylsulfonyl)-4-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



RN 200868-20-8 CAPLUS

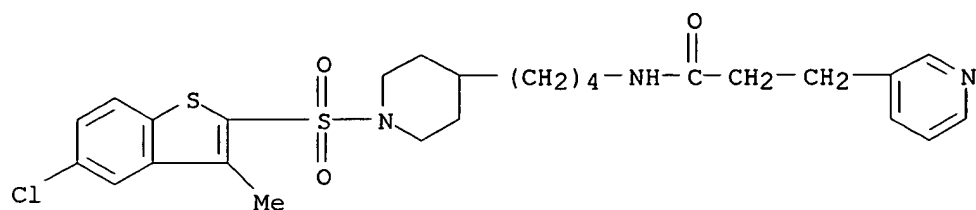
CN 3-Pyridinepentanamide, N-[4-[1-(2-naphthalenylsulfonyl)-4-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



RN 200868-21-9 CAPLUS

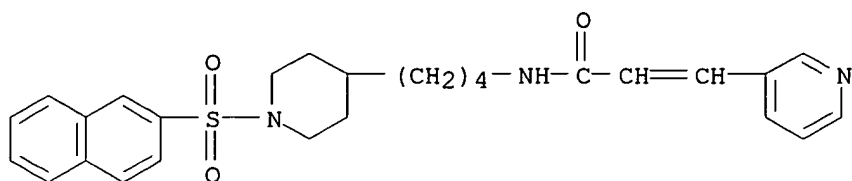
CN 3-Pyridinepropanamide, N-[4-[1-[(5-chloro-3-methylbenzo[b]thien-2-

yl)sulfonyl]-4-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



RN 201034-81-3 CAPLUS

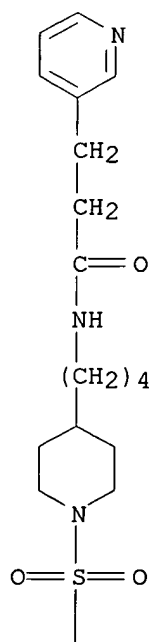
CN 2-Propenamide, N-[4-[1-(2-naphthalenylsulfonyl)-4-piperidinyl]butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

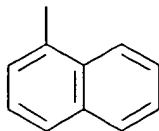


RN 201159-70-8 CAPLUS

CN 3-Pyridinepropanamide, N-[4-[1-(1-naphthalenylsulfonyl)-4-piperidinyl]butyl]- (9CI) (CA INDEX NAME)

PAGE 1-A





L4 ANSWER 23 OF 41 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1995:828282 CAPLUS  
Correction of: 1995:608235DOCUMENT NUMBER: 123:313624  
Correction of: 123:83087

TITLE: The role of charge in polyamine analog recognition

AUTHOR(S): Bergeron, Raymond J.; McManis, James S.; Weimar,  
William R.; Schreier, Kathy; Gao, Fenglan; Wu,  
Qianhong; Ortiz-Ocasio, Jackqueline; Luchetta, Gabriel  
R.; Porter, Carl; Vinson, J. R. TimothyCORPORATE SOURCE: J. Hillis Miller Health Cent., Univ. Florida,  
Gainesville, FL, 32610, USA

SOURCE: J. Med. Chem. (1995), 38(13), 2278-85

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

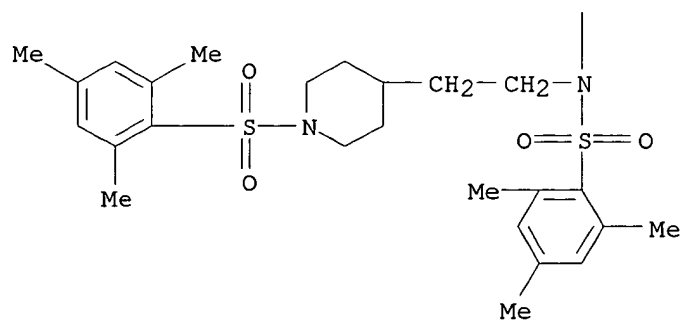
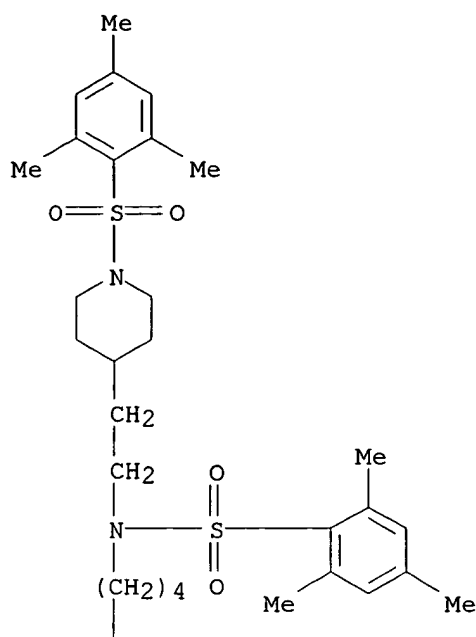
AB Analogs and homologs of N1,N12-diethylspermine (I) were prepd. and their biol. properties were evaluated. These tetraamines included N1,N12-bis(trifluoroethyl)spermine (II), N,N'-bis(4-piperidinylmethyl)-1,4-diaminobutane (III), N,N'-bis[2-(4-piperidinyl)ethyl]-1,4-diaminobutane (IV), N,N'-bis(4-pyridylmethyl)-1,4-diaminobutane (V), N,N'-bis[2-(4-pyridyl)ethyl]-1,4-diaminobutane (VI). The analogs II, III, and V have distances between their nitrogen atoms almost identical to those of I. The longer analogs IV and VI are very similar in the spacing of their amino groups. However, the pKa of the nitrogens in the groups differ; thus the extent of protonation and the charge characteristics among the members of the groups differ. A comparison of the biol. properties of these compds. demonstrates that the tetraamines must be charged to be "recognized" by the cell. Analogs with low nitrogen pKa's such that the nitrogens are poorly protonated at physiol. pH do not compete well with spermidine for uptake and, as expected, have high 96 h IC50 values and have little effect on S-adenosylmethionine decarboxylase, ornithine decarboxylase, and spermidine/spermine N1-acetyltransferase activities and on intracellular polyamine pools.

IT 165288-20-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(effect of protonation on cell recognition of diethylspermine analogs)

RN 165288-20-0 CAPLUS

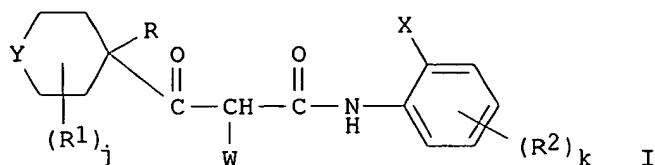
CN Benzenesulfonamide, N,N'-1,4-butanediylbis[2,4,6-trimethyl-N-[2-[1-[(2,4,6-trimethylphenyl)sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 24 OF 41 CAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 1995:716906 CAPLUS  
 DOCUMENT NUMBER: 123:97798  
 TITLE: Color photographic material  
 INVENTOR(S): Kaneko, Yutaka; Asatake, Atsushi; Sugino, Motoaki  
 PATENT ASSIGNEE(S): Konishiroku Photo Ind, Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 56 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07128820	A2	19950519	JP 1993-270871	19931028

GI



AB In the title color photog. material comprising .gtoreq.1 Ag halide emulsion layers on its support, .gtoreq.1 of the emulsion layers contains an acylacetoamide yellow coupler such as I (R = H, aliph., arom., heterocyclic group; R1 = aliph., arom., heterocyclic group; j = 0-8; R2, X = benzene ring substituent group; k = 0-4; Y = O, NR3, S(O)1; R3 = H, aliph., arom., or heterocyclic group, sulfonyl, sulfinyl, phosphonyl, acyl, oxycarbonyl, carbamoyl, sulfamoyl; l = 0-2; W = H, group releasable on coupling reaction with oxidized developing agent). This photog. material shows high color d. and low fog level.

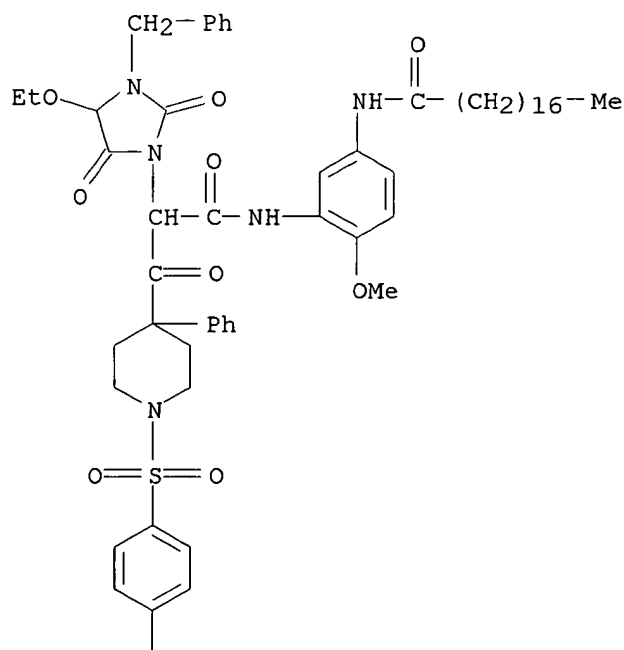
IT 165740-82-9 165740-84-1

RL: DEV (Device component use); USES (Uses)  
(yellow photog. coupler)

RN 165740-82-9 CAPLUS

CN 1-Imidazolidineacetamide, 4-ethoxy-N-[2-methoxy-5-[(1-oxooctadecyl)amino]phenyl]-.alpha.-[[1-[(4-methylphenyl)sulfonyl]-4-phenyl-4-piperidiny]carbonyl]-2,5-dioxo-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

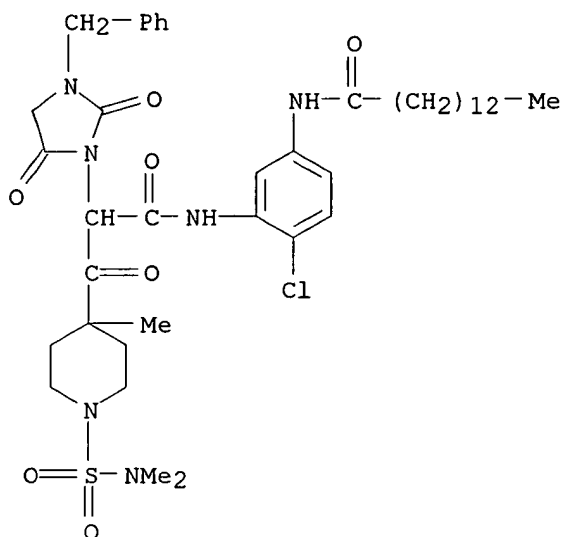






RN 165740-84-1 CAPLUS

CN 4-Piperidinepropanamide, N-[2-chloro-5-[(1-oxotetradecyl)amino]phenyl]-1-[(dimethylamino)sulfonyl]-.alpha.-[2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]-4-methyl-.beta.-oxo- (9CI) (CA INDEX NAME)



L4 ANSWER 25 OF 41 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1995:608235 CAPLUS

DOCUMENT NUMBER: 123:83087

TITLE: The Role of Charge in Polyamine Analog Recognition

AUTHOR(S): Bergeron, Raymond J.; McManis, James S.; Weimar, William R.; Schreier, Kathy; Gao, Fenglan; Wu, Qianhong; Ortiz-Ocasio, Jackqueline; Luchetta, Gabriel R.; Porter, Carl

CORPORATE SOURCE: J. Hillis Miller Health Center, University of Florida, Gainesville, FL, 32610, USA

SOURCE: J. Med. Chem. (1995), 38(13), 2278-85

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A series of analogs and homologues of N1,N12-diethylspermine (I) were synthesized, and their biol. properties were evaluated. These tetraamines include N1,N12-bis(2,2,2-trifluoroethyl)spermine (II), N,N'-bis(4-piperidinylmethyl)-1,4-diaminobutane (III), N,N'-bis[2-(4-piperidinyloethyl)]-1,4-diaminobutane (IV), N,N'-bis(4-pyridylmethyl)-1,4-diaminobutane (V), and N,N'-bis[2-(4-pyridylethyl)]-1,4-diaminobutane (VI). The analogs II, III, V have distances between their nitrogen atoms almost identical to those of I. The longer analogs IV and VI are very similar in the spacing of their amino groups. However, the pKa of the nitrogens in the groups differ; thus, the extent of protonation and the charge characteristics among the members of the groups differ. A comparison of the biol. properties of these compds. clearly demonstrates that the tetraamines must be charged to

be "recognized" by the cell. Analogs with low nitrogen pKa's such that the nitrogens are poorly protonated at physiol. pH do not compete well with spermidine for uptake and, as expected, have high 96 h IC50 values and have little effect on S-adenosylmethionine decarboxylase, ornithine decarboxylase, and spermidine/spermine N1-acetyltransferase activities and on intracellular polyamine pools.

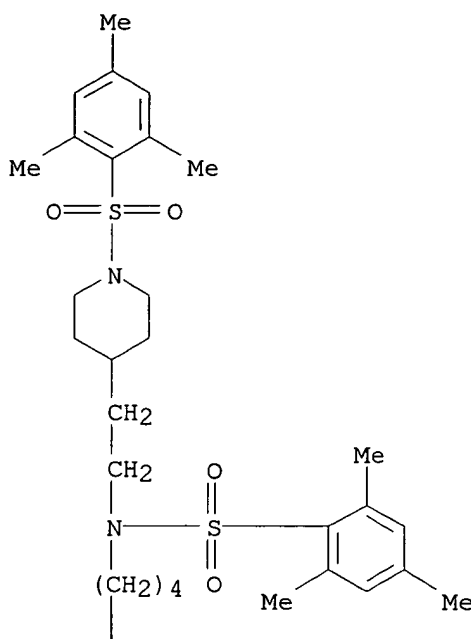
IT **165288-20-0P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(effect of protonation on the recognition of diethylspermine analogs)

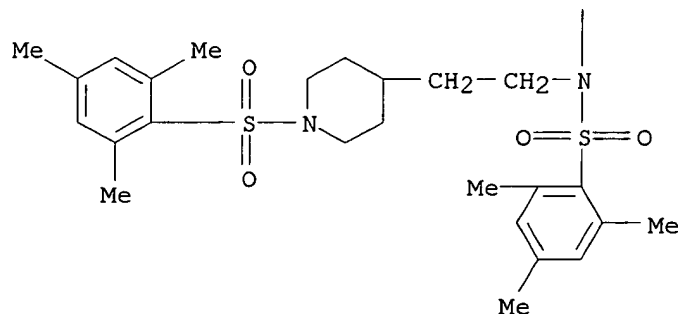
RN 165288-20-0 CAPLUS

CN Benzenesulfonamide, N,N'-1,4-butanediylbis[2,4,6-trimethyl-N-[2-[1-[(2,4,6-trimethylphenyl)sulfonyl]-4-piperidiny]ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

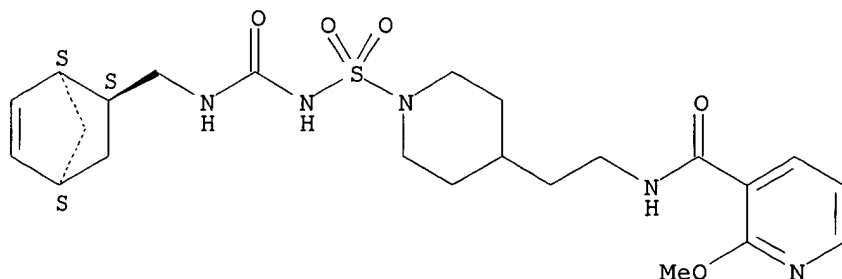


PAGE 2-A



DOCUMENT NUMBER: 122:204545  
 TITLE: Pharmacological studies of the two new hypoglycemic compounds 4-(3-methyl-5-oxo-2-pyrazolin-1-yl)benzoic acid and 1-(mesitylen-2-sulfonyl)-1H-1,2,4-triazole  
 AUTHOR(S): Anton-Fos, G. M.; Garcia-Domenech, R.; Perez-Gimenez, F.; Peris-Ribera, J. E.; Garcia-March, F. J.; Salabert-Salvador, M. T.  
 CORPORATE SOURCE: Dep. Chem. Physics, Univ. Valencia, Spain  
 SOURCE: Arzneim.-Forsch. (1994), 44(7), 821-6  
 CODEN: ARZNAD; ISSN: 0004-4172  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB New compds. showing hypoglycemic activity have been designed through a computer-aided method based on QSAR (quant. structure activity relationship) and mol. connectivity. The pharmacol. tests carried out on the newly designed compds. demonstrated the existence of notable activity for two of them, namely: 4-(3-methyl-5-oxo-2-pyrazolin-1-yl) benzoic acid and 1-(mesitylen-2-sulfonyl)-1H-1,2,4-triazole. Both substances mainly follow a mechanism based on the response to the oral glucose overcharge, decreasing the glycemia to lower levels as compared with tolbutamide, which is used as a std. drug, and reaching normal glycemia at a similar time.  
 IT 51876-98-3, Gliamilide  
 RL: BAC (Biological activity or effector, except adverse); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmacol. studies of the two new hypoglycemic compds. (methyloxypyrazolinyl)benzoic acid and (mesitylensulfonyl)triazole as designed by QSAR)  
 RN 51876-98-3 CAPLUS  
 CN 3-Pyridinecarboxamide, N-[2-[1-[[[[(1R,2R,4R)-bicyclo[2.2.1]hept-5-en-2-ylmethyl]amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 27 OF 41 CAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 1994:270075 CAPLUS  
 DOCUMENT NUMBER: 120:270075  
 TITLE: Preparation of aminoalkenecarboxylic acid derivatives and their pharmaceutical compositions as thromboxane A2 receptor antagonists  
 INVENTOR(S): Lavielle, Gilbert; Hautefaye, Patrick; Laubie, Michel; Verbeuren, Tony  
 PATENT ASSIGNEE(S): Adir et Compagnie, Fr.  
 SOURCE: Eur. Pat. Appl., 37 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 570263	A1	19931118	EP 1993-401174	19930507
EP 570263	B1	19971203		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
FR 2691146	A1	19931119	FR 1992-5905	19920515
FR 2691146	B1	19980102		
AT 160771	E	19971215	AT 1993-401174	19930507
ES 2112404	T3	19980401	ES 1993-401174	19930507
CA 2096293	AA	19931116	CA 1993-2096293	19930514
CA 2096293	C	19990323		
AU 9338555	A1	19931118	AU 1993-38555	19930514
AU 661576	B2	19950727		
ZA 9303380	A	19931210	ZA 1993-3380	19930514
JP 06041052	A2	19940215	JP 1993-113226	19930514
JP 2506261	B2	19960612		
US 5436343	A	19950725	US 1993-62080	19930514

PRIORITY APPLN. INFO.:

FR 1992-5905

19920515

OTHER SOURCE(S):

MARPAT 120:270075

AB Title compds. R3NH(CH2)nCR1R2(CH2)mR4 [I; R1, R2 = C1-6 alkyl or alkoxy, (substituted) Ph, OH, trihalomethyl, pyridinyl, thienyl; R1R2 form with the attached carbon a (substituted) C4-7 cycloalkyl, C4-7 benzocycloalkyl, (substituted) 4-piperidinyl; R3 = PhSO2 optionally substituted on Ph by C1-6 alkyl, naphthylsulfonyl, C1-6 alkyl, alkylaminocarbonyl, C1-6 acyl; R4 = CH:CH(CH2)pCO2H or CH2CH2(CH2)pCO2H (p = 0-3); n, m = 0-2], their isomers and salts, are prepd. as thromboxane A2 receptor antagonists and as inhibitors of thromboxane A2 synthase. Preparative methods are delineated for compds. I starting from either R1CHR2CHCN or R1CHR2CO2Et. Thus, 1-(2,2-dimethoxyethyl)cyclopentanecarbonitrile (prepn. given) was converted to sodium (4Z)-8-[(4-chlorophenyl)sulfonamido]-7,7-tetramethylene-4-octenoate in 5 steps. The thromboxane A2 receptor antagonist activity of compds. I (8 examples) was expressed as IC50 of inhibition of platelet aggregation in humans induced by U46619 and was in the range 8.10 .times. 10-8 to 8.10 .times. 10-7 M. Pharmaceutical compns. of compds. I are claimed (1 example).

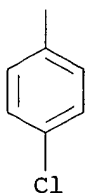
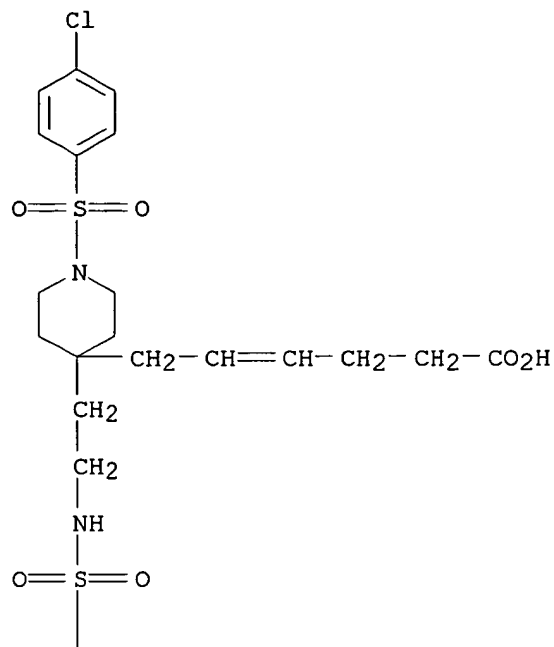
IT **154678-56-5P**

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, as thromboxane A2 receptor antagonist and inhibitor of thromboxane A2 synthase)

RN 154678-56-5 CAPLUS

CN 4-Hexenoic acid, 6-[1-[(4-chlorophenyl)sulfonyl]-4-[2-[(4-chlorophenyl)sulfonyl]amino]ethyl]-4-piperidinyl]-, monosodium salt (9CI) (CA INDEX NAME)



● Na

L4 ANSWER 28 OF 41 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1991:72222 CAPLUS

DOCUMENT NUMBER: 114:72222

TITLE: Silver halide photographic material containing polymeric hardening agent

INVENTOR(S): Tachibana, Noriki; Ueda, Eiichi; Kagawa, Nobuaki

PATENT ASSIGNEE(S): Konica Co., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 17 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

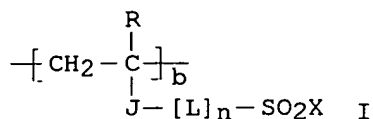
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	-----	-----	-----	-----

09/939,872

JP 63049753 A2 19880302 JP 1986-194908 19860820  
GI



AB At least one of nonphotosensitive layers and Ag halide emulsion layers contains a polymer film-hardening agent from a monomer unit at 0-99 mol% and I (R = H, C1-6 alkyl, halo; J = COO, COR1N; R1 = H, C1-6 alkyl; L = C3-15 divalent bonding group contg. COR2N, NR2, SO2NR2, NR2CONR2, R2NCO, N-contg. C1-12 cyclyl; R2 = C6-12 aryl; X = CH=CH2, CH2CH2-Q; Q = moiety substituted by nucleophilic moiety or released as HQ by base; b = mol fraction, 1 .ltoreq. b .ltoreq. 100; and n = 0, 1).

IT 131789-16-7 131789-20-3

RL: USES (Uses)

(photog. film-hardening agent)

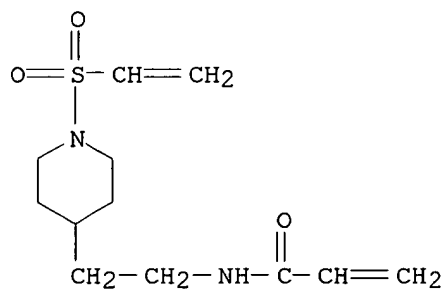
RN 131789-16-7 CAPLUS

CN 2-Propenoic acid, polymer with N-[2-[1-(ethenylsulfonyl)-4-piperidinyl]ethyl]-2-propenamide and methyl 2-propenoate (9CI) (CA INDEX NAME)

CM 1

CRN 124517-58-4

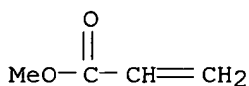
CMF C12 H20 N2 O3 S



CM 2

CRN 96-33-3

CMF C4 H6 O2

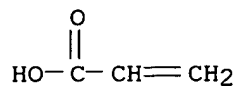


CM 3

CRN 79-10-7

CMF C3 H4 O2

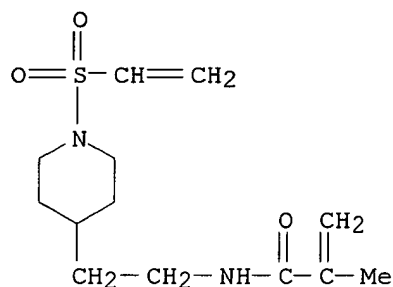
09/939,872



RN 131789-20-3 CAPLUS  
CN 2-Propenoic acid, 3-sulfopropyl ester, potassium salt, polymer with  
N-[2-[1-(ethenylsulfonyl)-4-piperidiny]ethyl]-2-methyl-2-propenamide  
(9CI) (CA INDEX NAME)

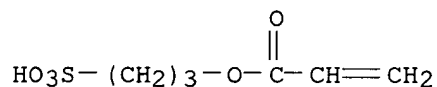
CM 1

CRN 131789-19-0  
CMF C13 H22 N2 O3 S



CM 2

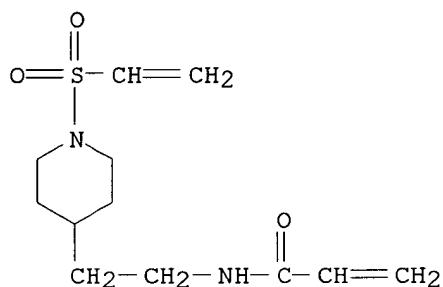
CRN 31098-20-1  
CMF C6 H10 O5 S . K



● K

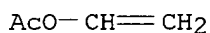
L4 ANSWER 29 OF 41 CAPLUS COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 1990:45608 CAPLUS  
DOCUMENT NUMBER: 112:45608  
TITLE: Silver halide photographic material with improved  
hardening agent  
INVENTOR(S): Akyama, Takeo  
PATENT ASSIGNEE(S): Konica Co., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	JP 01197741	A2	19890809	JP 1988-22599	19880201
AB	The material comprises a photog. layer contg. .gtoreq.1 light-sensitive Ag halide emulsion layer and .gtoreq.1 light-nonsensitive layer with pH .gtoreq.6.5 on a substrate, of which .gtoreq.1 layer is hardened by a photog. hardening agent selected from a vinylsulfon, a halogeno-s-triazine, and a polymer. A photog. material using a protective layer of pH 7.2 and contg. EtC(CH <sub>2</sub> SO <sub>2</sub> CH=CH <sub>2</sub> ) <sub>3</sub> as a hardening agent showed excellent fog resistance, sensitivity, scratch resistance, and swelling for 3 days.				
IT	<b>124517-59-5</b>				
	RL: TEM (Technical or engineered material use); USES (Uses) (photog. hardening agent, for scratch resistance)				
RN	124517-59-5 CAPLUS				
CN	2-Propenoic acid, polymer with ethenyl acetate and N-[2-[1-(ethenylsulfonyl)-4-piperidinyl]ethyl]-2-propenamide (9CI) (CA INDEX NAME)				
CM	1				
CRN	124517-58-4				
CMF	C12 H20 N2 O3 S				



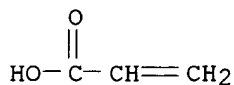
CM 2

CRN 108-05-4  
CMF C4 H6 O2



CM 3

CRN 79-10-7  
CMF C3 H4 O2

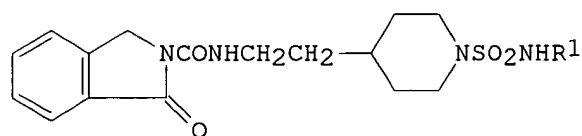
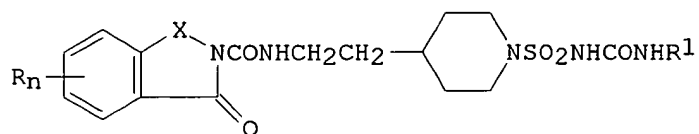




09/939,872

ACCESSION NUMBER: 1981:550450 CAPLUS  
 DOCUMENT NUMBER: 95:150450  
 TITLE: 1-Piperidinesulfonylurea  
 INVENTOR(S): Hitzel, Volker; Weyer, Rudi; Geisen, Karl; Regitz, Guenter  
 PATENT ASSIGNEE(S): Hoechst A.-G. , Fed. Rep. Ger.  
 SOURCE: Ger. Offen., 16 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2948434	A1	19810611	DE 1979-2948434	19791201
EP 30650	A1	19810624	EP 1980-107305	19801124
R: AT, BE, CH, DE, FR, GB, IT, NL				
ES 497100	A1	19811001	ES 1980-497100	19801125
US 4315940	A	19820216	US 1980-211331	19801126
JP 56090086	A2	19810721	JP 1980-168167	19801201
PRIORITY APPLN. INFO.:			DE 1979-2948434	19791201
GI				



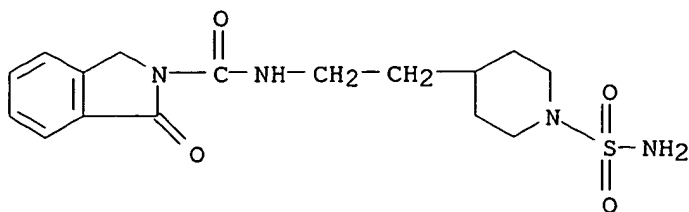
AB The antidiabetic (no data) compds. I (n = 1, 2; X = CH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>, CHMe; R = H, alkyl, alkoxy; R<sub>1</sub> = optionally substituted mono- or polycycloaliph., cycloalkylalkyl, PhCH<sub>2</sub>) and their salts were prepd. Thus, II (R<sub>1</sub> = H), prepd. in 3 steps from 2-(chlorocarbonyl)-1-isoindolinone, reacted with cyclohexyl isocyanate in Me<sub>2</sub>CO contg. potash to give II (R<sub>1</sub> = cyclohexylcarbamoyl).

IT **79111-32-3P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. and reaction of, with cyclohexyl isocyanate)

RN 79111-32-3 CAPLUS

CN 2H-Isoindole-2-carboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-1,3-dihydro-1-oxo- (9CI) (CA INDEX NAME)

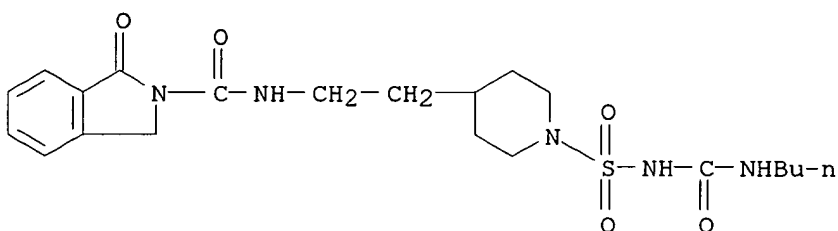


IT 79111-35-6P 79111-36-7P 79111-37-8P  
 79111-38-9P 79111-39-0P 79111-40-3P  
 79111-41-4P 79111-42-5P 79111-43-6P  
 79111-44-7P 79111-45-8P 79111-46-9P  
 79111-47-0P 79111-48-1P 79111-49-2P  
 79111-50-5P 79111-51-6P 79111-53-8P  
 79123-45-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)

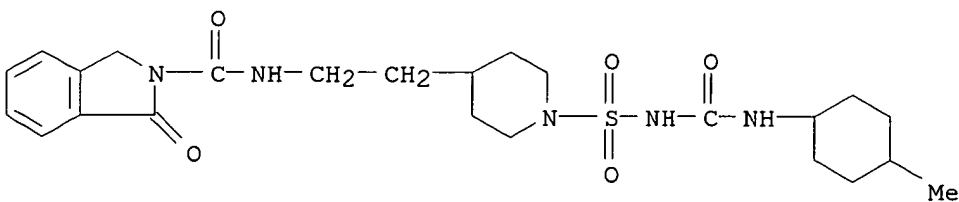
RN 79111-35-6 CAPLUS

CN 2H-Isoindole-2-carboxamide, N-[2-[1-[[[(butylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,3-dihydro-1-oxo- (9CI) (CA INDEX NAME)



RN 79111-36-7 CAPLUS

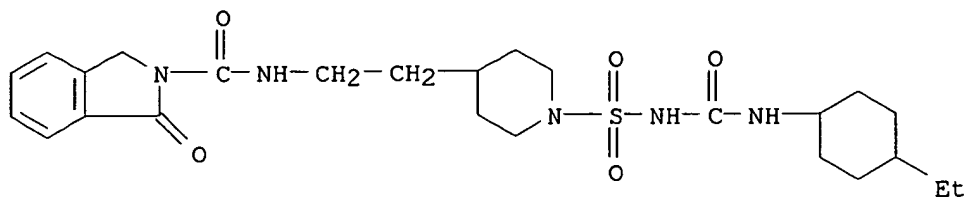
CN 2H-Isoindole-2-carboxamide, 1,3-dihydro-N-[2-[1-[[[(4-methylcyclohexyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1-oxo- (9CI) (CA INDEX NAME)



RN 79111-37-8 CAPLUS

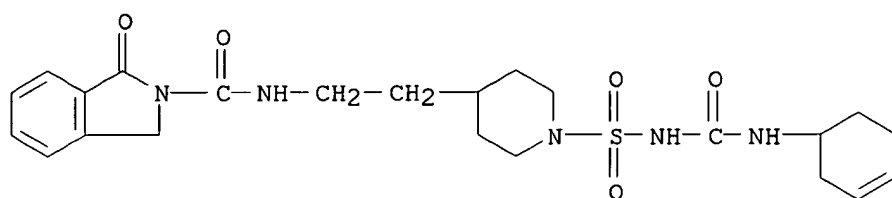
CN 2H-Isoindole-2-carboxamide, N-[2-[1-[[[(4-ethylcyclohexyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,3-dihydro-1-oxo- (9CI) (CA INDEX NAME)

09/939,872



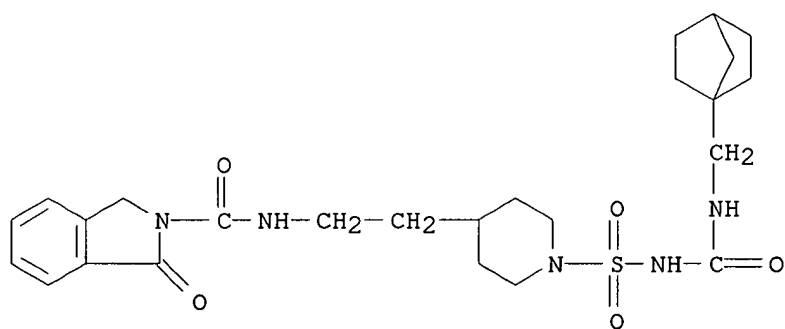
RN 79111-38-9 CAPLUS

CN 2H-Isoindole-2-carboxamide, N-[2-[1-[[[(3-cyclohexen-1-ylamino) carbonyl] amino] sulfonyl]-4-piperidinyl]ethyl]-1,3-dihydro-1-oxo- (9CI) (CA INDEX NAME)



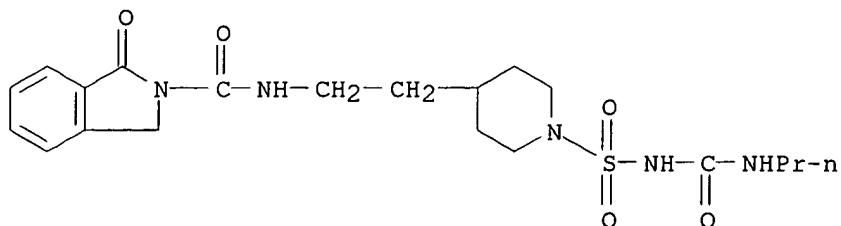
RN 79111-39-0 CAPLUS

CN 2H-Isoindole-2-carboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-1-ylmethyl) amino] carbonyl] amino] sulfonyl]-4-piperidinyl]ethyl]-1,3-dihydro-1-oxo- (9CI) (CA INDEX NAME)



RN 79111-40-3 CAPLUS

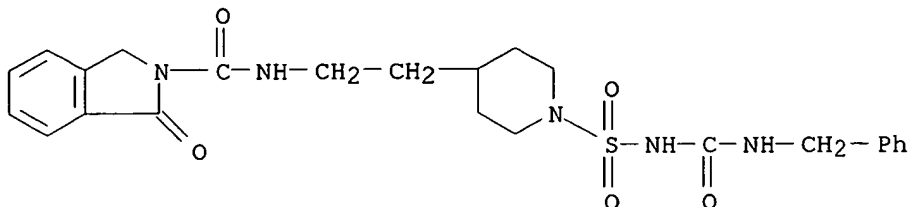
CN 2H-Isoindole-2-carboxamide, 1,3-dihydro-1-oxo-N-[2-[1-[[[(propylamino) carbonyl] amino] sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 79111-41-4 CAPLUS

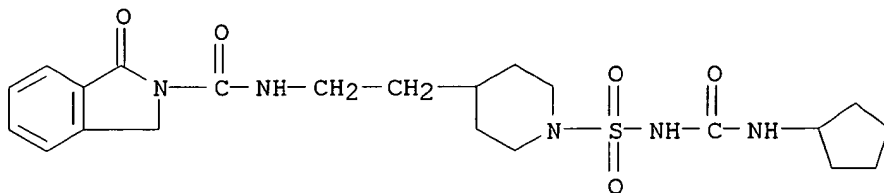
09/939,872

CN 2H-Isoindole-2-carboxamide, 1,3-dihydro-1-oxo-N-[2-[1-  
[[[(phenylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-  
(9CI) (CA INDEX NAME)



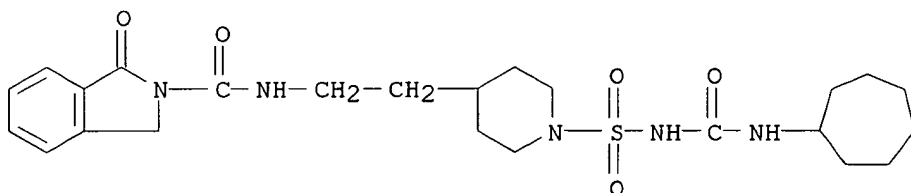
RN 79111-42-5 CAPLUS

CN 2H-Isoindole-2-carboxamide, N-[2-[1-[[[(cyclopentylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,3-dihydro-1-oxo- (9CI) (CA INDEX NAME)



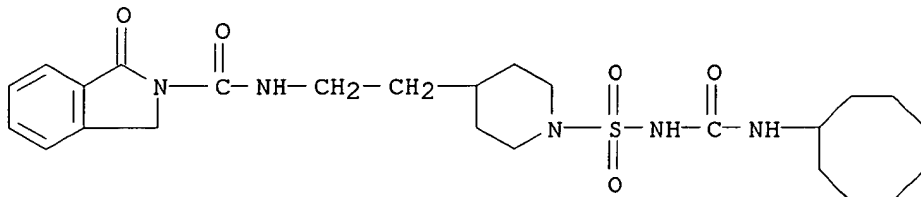
RN 79111-43-6 CAPLUS

CN 2H-Isoindole-2-carboxamide, N-[2-[1-[[[(cycloheptylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,3-dihydro-1-oxo- (9CI) (CA INDEX NAME)



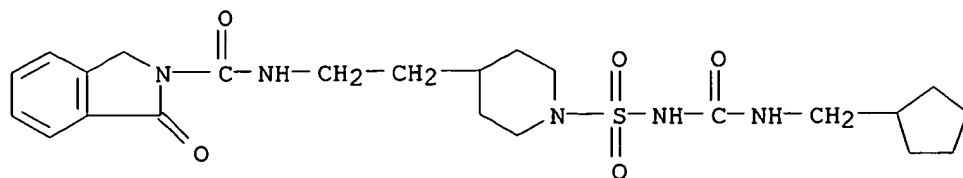
RN 79111-44-7 CAPLUS

CN 2H-Isoindole-2-carboxamide, N-[2-[1-[[[(cyclooctylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,3-dihydro-1-oxo- (9CI) (CA INDEX NAME)



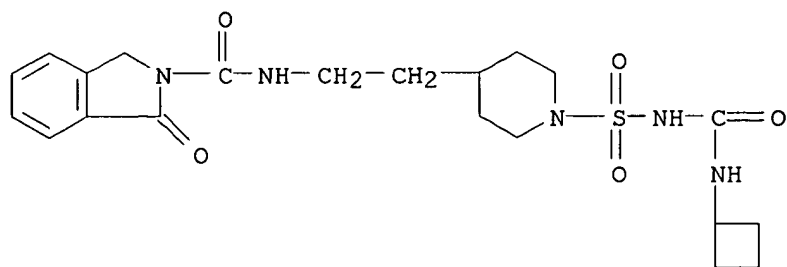
RN 79111-45-8 CAPLUS

CN 2H-Isoindole-2-carboxamide, N-[2-[1-[[[(cyclopentylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,3-dihydro-1-oxo- (9CI) (CA INDEX NAME)



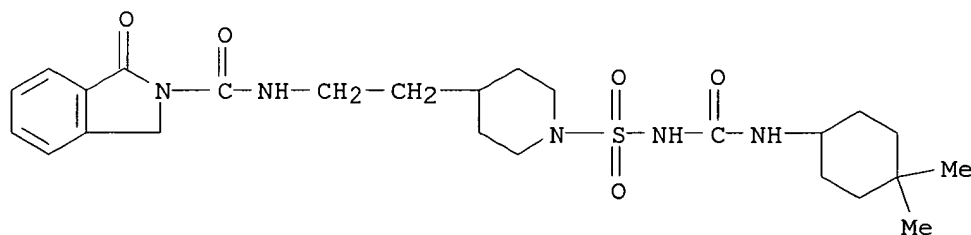
RN 79111-46-9 CAPLUS

CN 2H-Isoindole-2-carboxamide, N-[2-[1-[[[(cyclobutylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,3-dihydro-1-oxo- (9CI) (CA INDEX NAME)



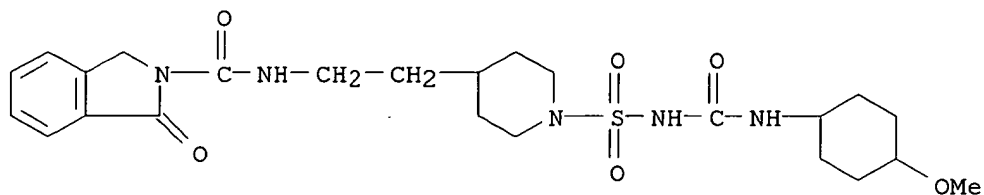
RN 79111-47-0 CAPLUS

CN 2H-Isoindole-2-carboxamide, N-[2-[1-[[[(4,4-dimethylcyclohexyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,3-dihydro-1-oxo- (9CI) (CA INDEX NAME)



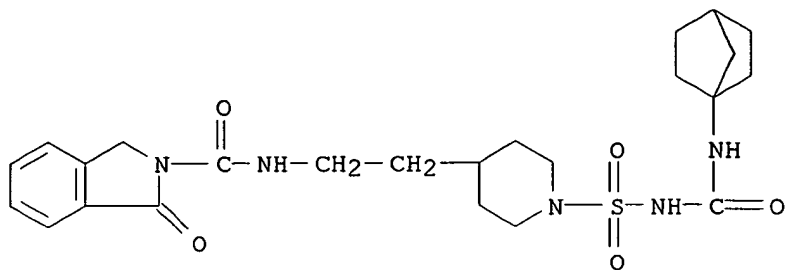
RN 79111-48-1 CAPLUS

CN 2H-Isoindole-2-carboxamide, 1,3-dihydro-N-[2-[1-[[[(4-methoxycyclohexyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1-oxo- (9CI) (CA INDEX NAME)



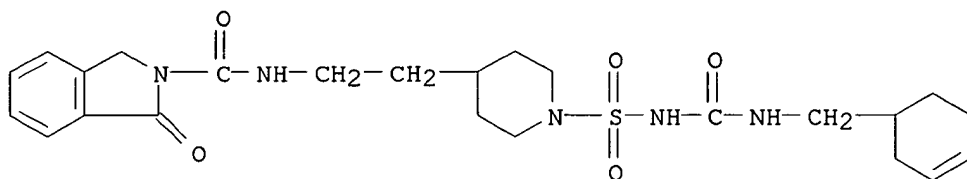
RN 79111-49-2 CAPLUS

CN 2H-Isoindole-2-carboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-1-ylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,3-dihydro-1-oxo- (9CI) (CA INDEX NAME)



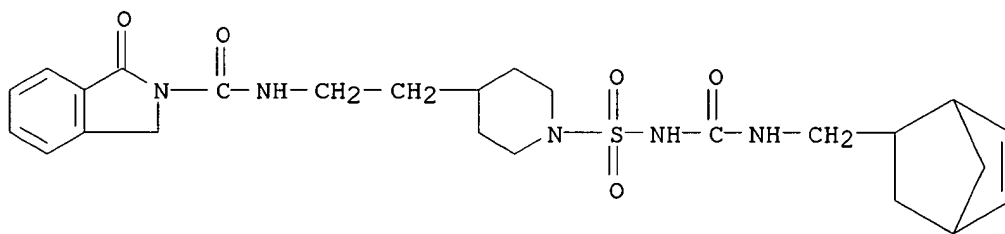
RN 79111-50-5 CAPLUS

CN 2H-Isoindole-2-carboxamide, N-[2-[1-[[[(3-cyclohexen-1-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,3-dihydro-1-oxo- (9CI) (CA INDEX NAME)



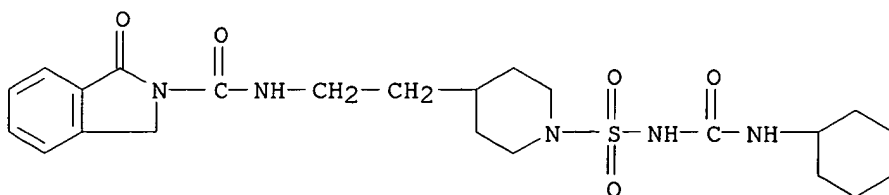
RN 79111-51-6 CAPLUS

CN 2H-Isoindole-2-carboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,3-dihydro-1-oxo- (9CI) (CA INDEX NAME)



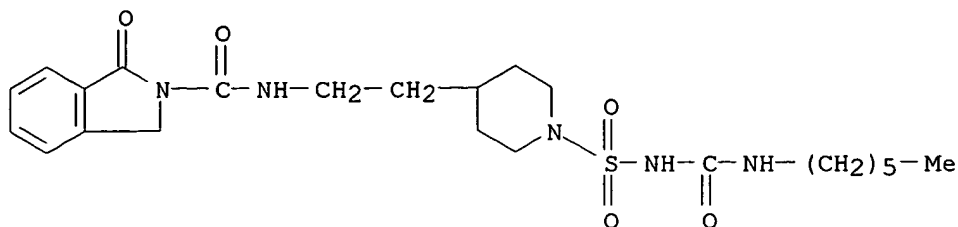
RN 79111-53-8 CAPLUS

CN 2H-Isoindole-2-carboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,3-dihydro-1-oxo- (9CI) (CA INDEX NAME)



RN 79123-45-8 CAPLUS

CN 2H-Isoindole-2-carboxamide, N-[2-[1-[[[(hexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,3-dihydro-1-oxo- (9CI) (CA INDEX NAME)



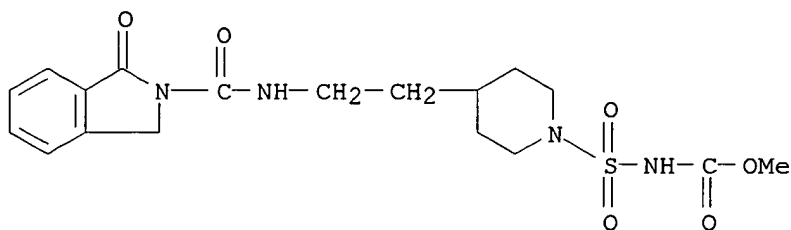
IT 79111-52-7

RL: RCT (Reactant)

(reaction of, with methoxycyclohexylamine)

RN 79111-52-7 CAPLUS

CN Carbamic acid, [[4-[2-[[[(1,3-dihydro-1-oxo-2H-indol-2-yl)carbonyl]amino]ethyl]-1-piperidinyl]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 31 OF 41 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1980:76301 CAPLUS

DOCUMENT NUMBER: 92:76301

TITLE: 1-Piperidinesulfonyl ureas

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: Rom., 12 pp.

CODEN: RUXXA3

DOCUMENT TYPE: Patent

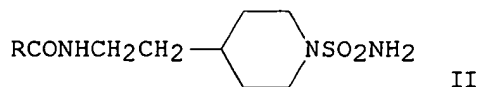
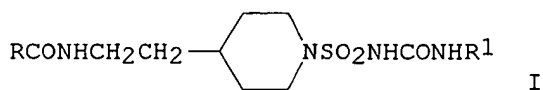
LANGUAGE: Romanian

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
RO 63498	P	19780915	RO 1974-77750	19740220

GI



AB Ureas I [R = 2-methoxy- or 2-ethoxy-3-pyridyl, 4-chloro-2-pyridyl,

8-quinolyl; R1 = bicyclo[2.2.1]hept-5-en-endo-2-ylmethyl, bicyclo[2.2.1]hept-endo-2-ylmethyl, 7-oxabicyclo[2.2.1]hept-2-ylmethyl, 1-adamantyl, cyclohexyl], which exhibited anticholesteremic activity, were prepd. from the resp. sulfonamides II and R1NCO and R1NHCON(R2)2 (R2 = aryl). The reaction of II (R = 2-methoxy-3-pyridyl) with NaH and R1NHCONPh2 [R1 = bicyclo[2.2.1]hept-5-en-endo-2-ylmethyl] in DMF at .ltoreq.70.degree. gave the resp. I.

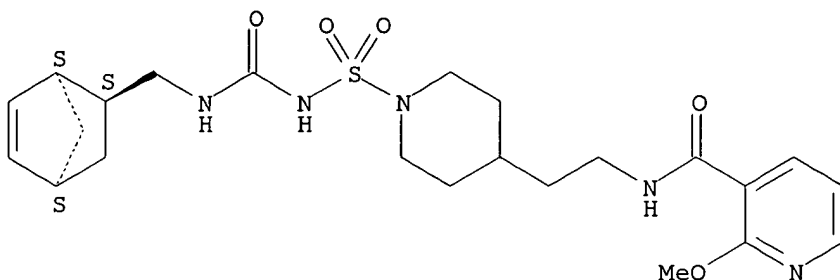
IT 51876-98-3P 53750-72-4P 53750-73-5P  
53750-74-6P 53750-75-7P 53750-76-8P  
53750-77-9P 53751-32-9P 53751-33-0P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. and anticholesteremic activity of)

RN 51876-98-3 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-[[[[(1R,2R,4R)-bicyclo[2.2.1]hept-5-en-2-ylmethyl]amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-, rel- (9CI) (CA INDEX NAME)

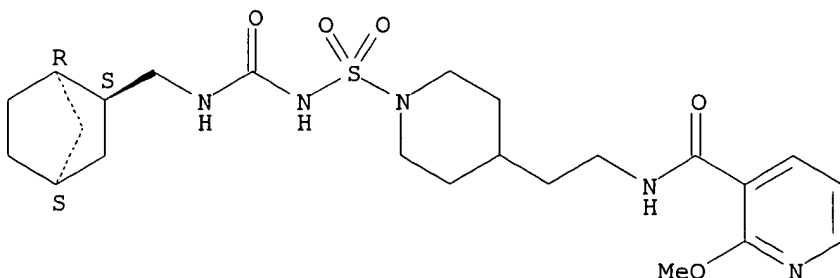
Relative stereochemistry.



RN 53750-72-4 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

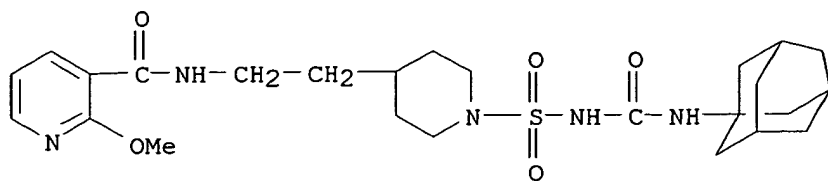


RN 53750-73-5 CAPLUS

CN 3-Pyridinecarboxamide, 2-methoxy-N-[2-[1-[[[[(tricyclo[3.3.1.1<sup>3,7</sup>]dec-1-ylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



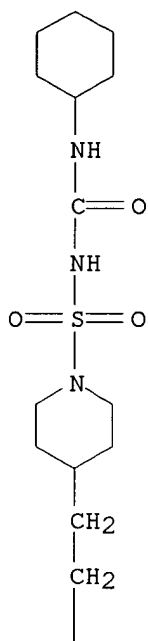
09/939,872



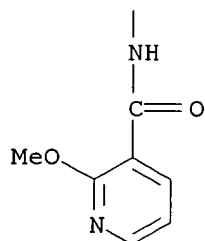
RN 53750-74-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy- (9CI) (CA INDEX NAME)

PAGE 1-A

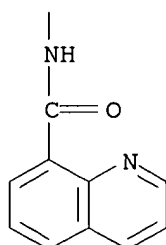
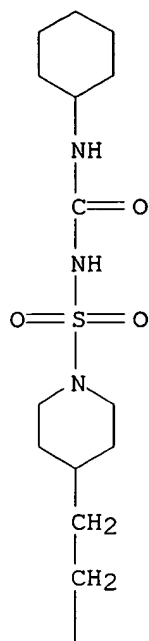


PAGE 2-A



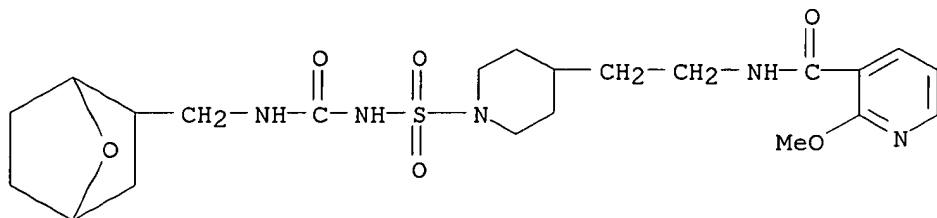
RN 53750-75-7 CAPLUS

CN 8-Quinolinecarboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 53750-76-8 CAPLUS

CN 3-Pyridinecarboxamide, 2-methoxy-N-[2-[1-[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI)  
(CA INDEX NAME)

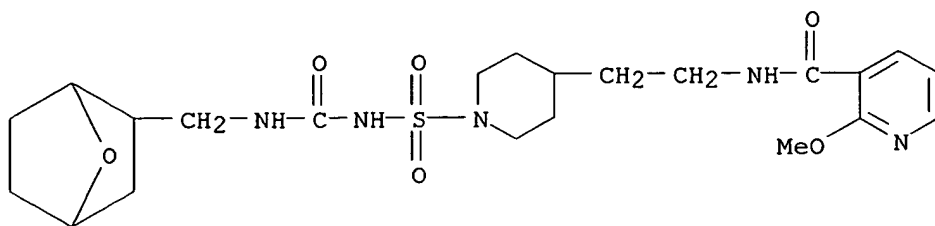


RN 53750-77-9 CAPLUS

CN 3-Pyridinecarboxamide, 2-methoxy-N-[2-[1-[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, exo- (9CI)

09/939,872

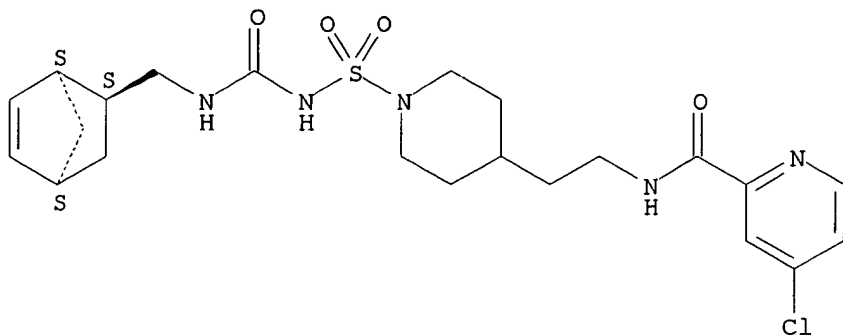
(CA INDEX NAME)



RN 53751-32-9 CAPLUS

CN 2-Pyridinecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-4-chloro-, endo- (9CI) (CA INDEX NAME)

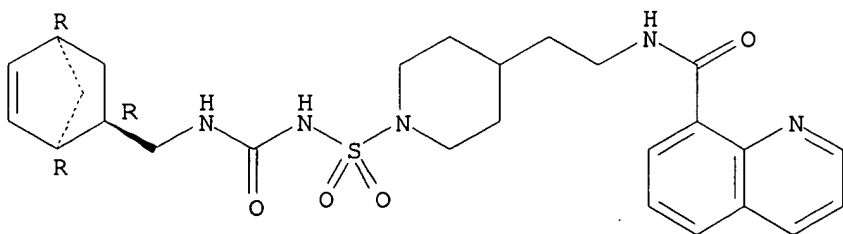
Relative stereochemistry.



RN 53751-33-0 CAPLUS

CN 8-Quinolinecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



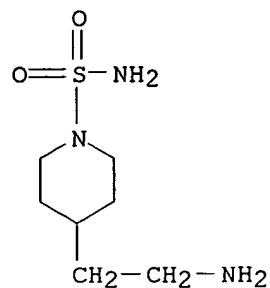
IT 53750-64-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and N-acylation of, by pyridinecarbonyl chlorides)

RN 53750-64-4 CAPLUS

CN 1-Piperidinesulfonamide, 4-(2-aminoethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

09/939,872



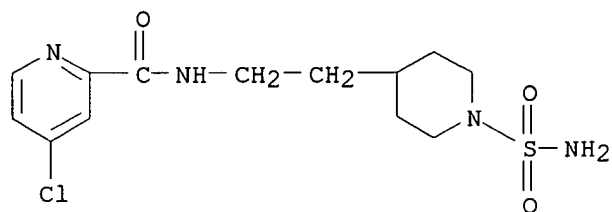
● HCl

IT 53750-70-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and N-carbamoylation of, by urea deriv.)

RN 53750-70-2 CAPLUS

CN 2-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-4-chloro- (9CI) (CA INDEX NAME)

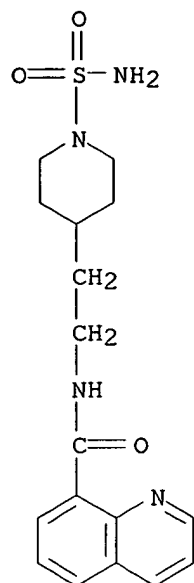


IT 53750-71-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and N-carbamoylation of, by ureas)

RN 53750-71-3 CAPLUS

CN 8-Quinolinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

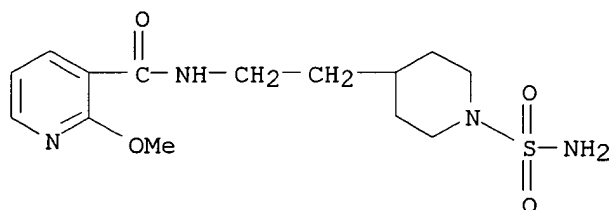


IT 53750-69-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and N-carbamoylation of, by ureas and org. isocyanates)

RN 53750-69-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-2-methoxy- (9CI) (CA INDEX NAME)



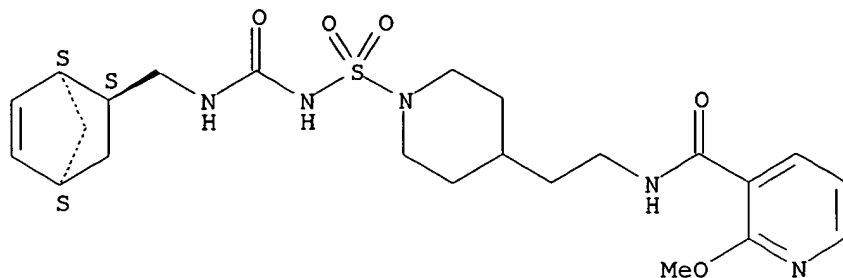
IT 53750-78-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 53750-78-0 CAPLUS

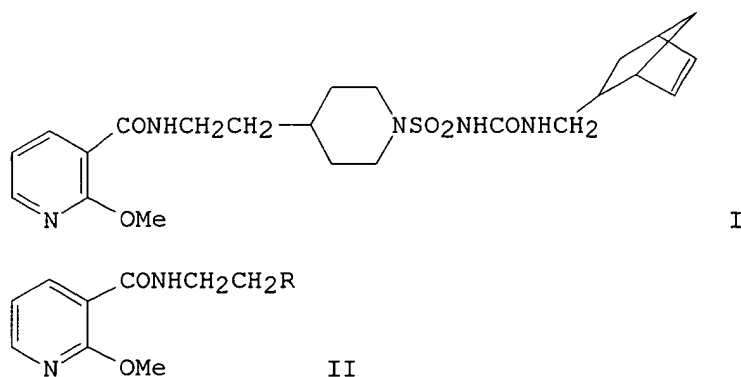
CN 3-Pyridinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-, monosodium salt, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● Na

L4 ANSWER 32 OF 41 CAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 1979:6198 CAPLUS  
 DOCUMENT NUMBER: 90:6198  
 TITLE: An improved synthesis of Gliamilide, a high-potency sulfamylurea hypoglycemic agent  
 AUTHOR(S): Kuhla, Donald E.; Sarges, Reinhard; Barth, Wayne E.  
 CORPORATE SOURCE: Cent. Res., Pfizer Inc., Groton, Conn., USA  
 SOURCE: J. Heterocycl. Chem. (1978), 15(4), 565-7  
 CODEN: JHTCAD; ISSN: 0022-152X  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



AB An efficient prepn. of Gliamilide (I) involved as a key feature the selective hydrogenation of the more basic alkyl substituted pyridine ring in I.HCl (R = 4-pyridinyl) to form I (R = 4-piperidinyl) without affecting the 2-methoxynicotinamide moiety.

IT **51876-98-3P**

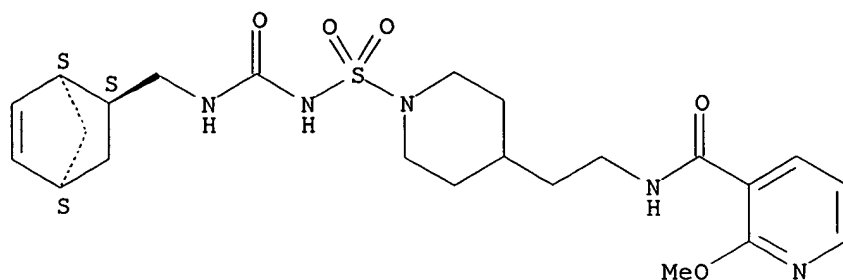
RL: SPN (Synthetic preparation); PREP (Preparation)  
 (improved synthesis of)

RN 51876-98-3 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-[[[[(1R,2R,4R)-bicyclo[2.2.1]hept-5-en-2-ylmethyl]amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

09/939,872

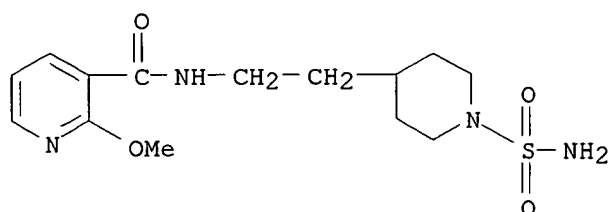


IT 53750-69-9P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 53750-69-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-2-methoxy- (9CI) (CA INDEX NAME)



L4 ANSWER 33 OF 41 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1977:155684 CAPLUS

DOCUMENT NUMBER: 86:155684

TITLE: 4-[2-(1,3-Dialkyl-1,2,3,4-tetrahydropyrimidine-2,4-dione-5-carboxamido)ethyl]-1-piperidine sulfonamide

INVENTOR(S): Wiedermann, Hans E.

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: U.S., 8 pp. Division of U.S. 3,936,445.

CODEN: USXXAM

DOCUMENT TYPE: Patent

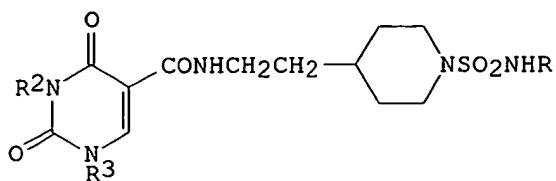
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3998828	A	19761221	US 1975-629771	19751107
US 3936455	A	19760203	US 1975-546003	19750131
US 4052507	A	19771004	US 1976-726126	19760924
PRIORITY APPLN. INFO.:			US 1975-546003	19750131
			US 1975-629771	19751107

GI

I, R=CONHR<sup>1</sup>

II, R=H

AB The title compds. I (R<sub>1</sub> = endo-bicyclo[2.2.1]hept-2-ylmethyl, cyclohexyl, 1-adamantyl, endo-7-oxabicyclo[2.2.1]hept-2-ylmethyl, R<sub>2</sub> = R<sub>3</sub> = Me, R<sub>2</sub> = Pr, R<sub>3</sub> = Et) were prepd. from II. Thus, 1,3-dimethyl-2,5-dioxo-1,2,3,4-tetrahydro-5-pyrimidinecarbonyl chloride was treated with 4-(2-aminoethyl)-1-piperidinesulfonamide-HCl, prepd. from 4-(2-phthalimidoethyl)pyridine, to give II (R<sub>2</sub> = R<sub>3</sub> = Me), which was treated with 1,1-diphenyl-3-(endo-bicyclo[2.2.1]hept-5-en-2-ylmethyl)urea and NaH followed by hydrogenation to give I (R<sub>1</sub> = endo-bicyclo[2.2.1]hept-2-yl, R<sub>2</sub> = R<sub>3</sub> = Me) (III). At 5.0 mg/kg III reduced blood sugar in rats by 19%.

IT 58603-22-8P 58603-70-6P 58603-72-8P

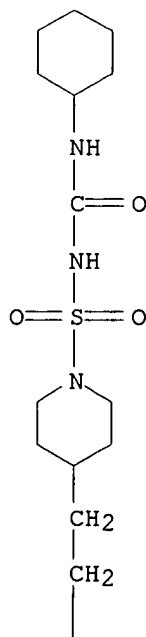
58603-77-3P 59077-17-7P 59077-18-8P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(prepn. and hypoglycemic activity of)

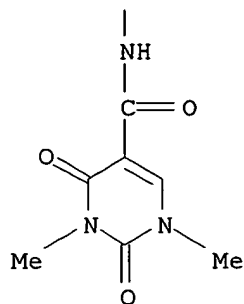
RN 58603-22-8 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo- (9CI)  
(CA INDEX NAME)

PAGE 1-A



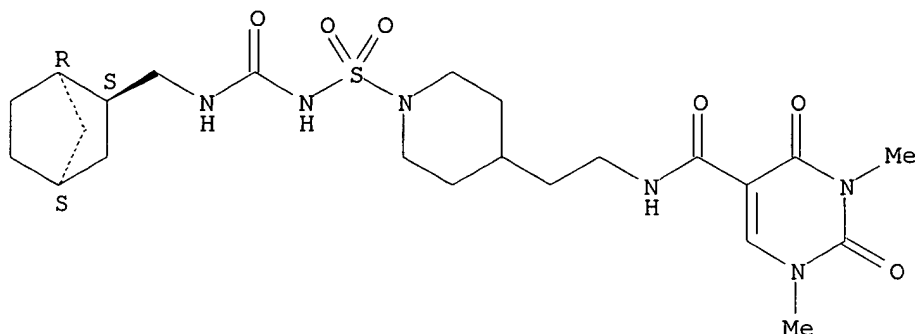




RN 58603-70-6 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo-, endo- (9CI) (CA INDEX NAME)

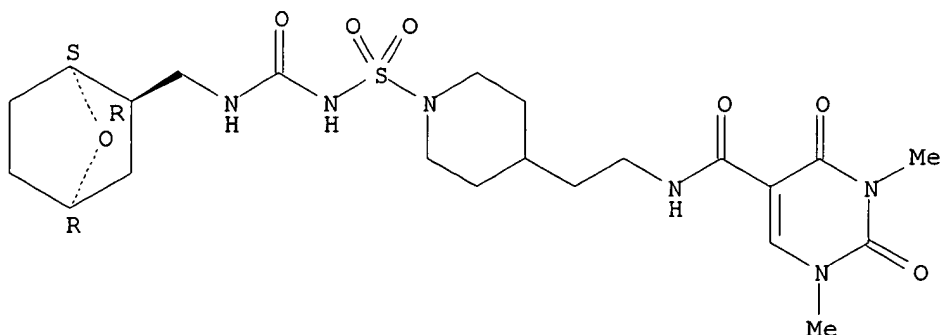
Relative stereochemistry.



RN 58603-72-8 CAPLUS

CN 5-Pyrimidinecarboxamide, 1,2,3,4-tetrahydro-1,3-dimethyl-N-[2-[1-[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2,4-dioxo-, endo- (9CI) (CA INDEX NAME)

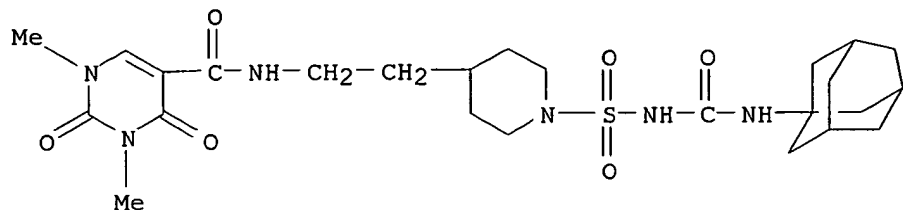
Relative stereochemistry.



RN 58603-77-3 CAPLUS

CN 5-Pyrimidinecarboxamide, 1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo-N-[2-[1-[[[(tricyclo[3.3.1.1.3,7]dec-1-ylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

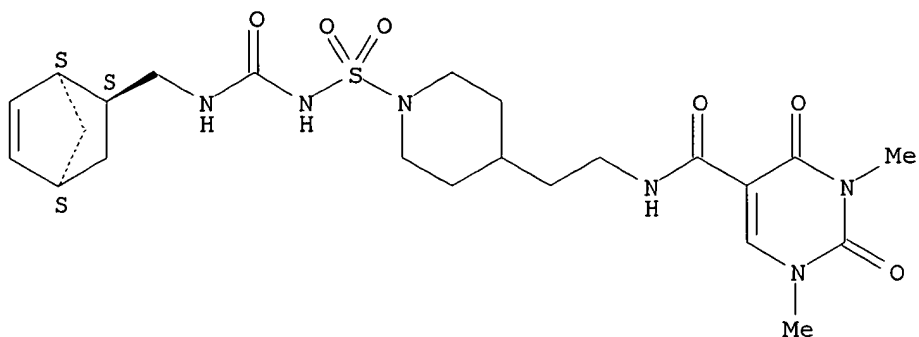
09/939,872



RN 59077-17-7 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[2-[1-[[[endo-(bicyclo[2.2.1]hept-5-en-2-yl)methyl]amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo-, endo- (9CI) (CA INDEX NAME)

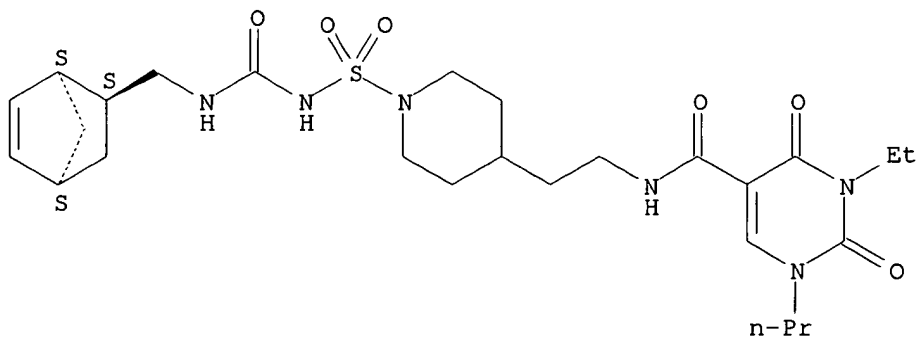
Relative stereochemistry.



RN 59077-18-8 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[2-[1-[[[endo-(bicyclo[2.2.1]hept-5-en-2-yl)methyl]amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-3-ethyl-1,2,3,4-tetrahydro-2,4-dioxo-1-propyl-, monosodium salt, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● Na

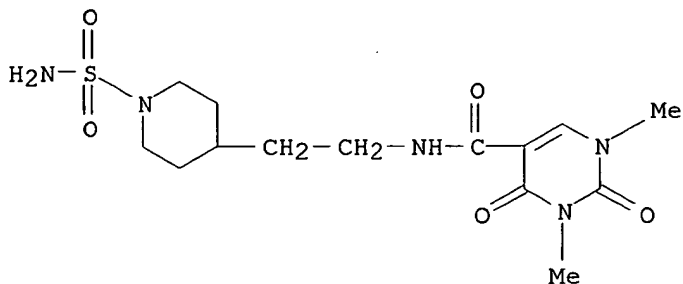
IT 58603-95-5P 58604-20-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and reaction with (bicycloheptenylmethyl)ureas)

09/939,872

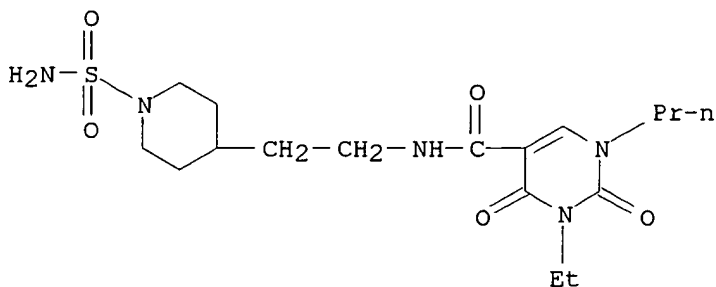
RN 58603-95-5 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo- (9CI) (CA INDEX NAME)



RN 58604-20-9 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-3-ethyl-1,2,3,4-tetrahydro-2,4-dioxo-1-propyl- (9CI) (CA INDEX NAME)

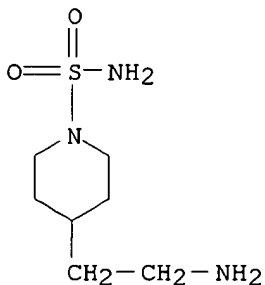


IT 53750-64-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and reaction with dioxypyrimidinecarbonyl chloride)

RN 53750-64-4 CAPLUS

CN 1-Piperidinesulfonamide, 4-(2-aminoethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT 59077-19-9P

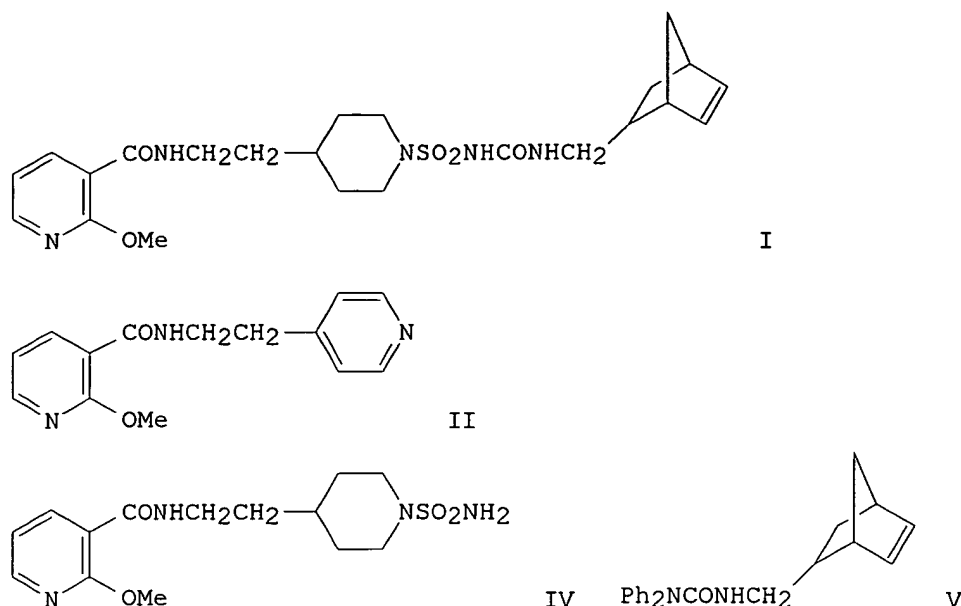
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN	59077-19-9	CAPLUS
CN	5-Pyrimidinecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo-, monosodium salt, endo- (9CI) (CA INDEX NAME)	

CN1C=NC(=O)C(=O)N1CCCNC(=O)N2CCN(S(=O)(=O)NC(=O)N[C@H]3C=CC4C=CC=C3S4)CC2

L4 ANSWER 34 OF 41 CAPLUS COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 1977:139870 CAPLUS  
DOCUMENT NUMBER: 86:139870  
TITLE: 1-(Bicyclo[2.2.1]hept-5-en-2-yl-endo-methyl)-3-[4-[2-(2-methoxynicotinamido)ethyl]piperidinosulfonyl]urea  
and its sodium salt  
INVENTOR(S): Barth, Wayne E.; Kuhla, Donald E.  
PATENT ASSIGNEE(S): Pfizer Inc., USA  
SOURCE: U.S., 6 pp. Division of U.S. 3,933,830.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3992388	A	19761116	US 1975-623351	19751017
US 3933830	A	19760120	US 1974-504826	19740910
PRIORITY APPLN. INFO.:			US 1974-504826	19740910
GI				



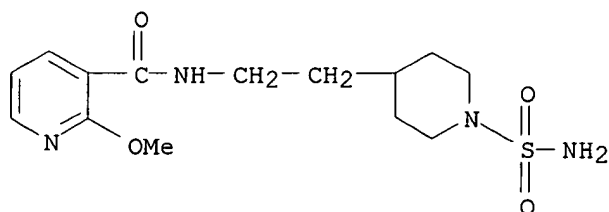
AB The title nicotinamidoethylpiperidine I and its Na salt, useful as hypoglycemics, were prepd. by acylating 4-(2-aminoethyl)pyridine with 2-methoxynicotinoyl chloride for 2 h (75% yield), hydrogenating the resultant pyridine II, treating the product piperidine analog (III) with SO<sub>2</sub>(NH<sub>2</sub>)<sub>2</sub> (70% yield), and heating the sulfonamide IV for 1 h at 70.degree. with NaH and bicyclic compd. V (from the free amine and Ph<sub>2</sub>COC1). I and NaOMe at -20.degree. gave the Na salt. III was also prepd. by quaternizing II with PhCH<sub>2</sub>Br, then reducing and hydrogenating the pyridinium bromide thus formed.

IT **53750-69-9P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and reaction with (diphenylcarbamoyl)bicycloheptenylmethylamine)

RN 53750-69-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-2-methoxy- (9CI) (CA INDEX NAME)



IT **51876-98-3P 53750-78-0P**

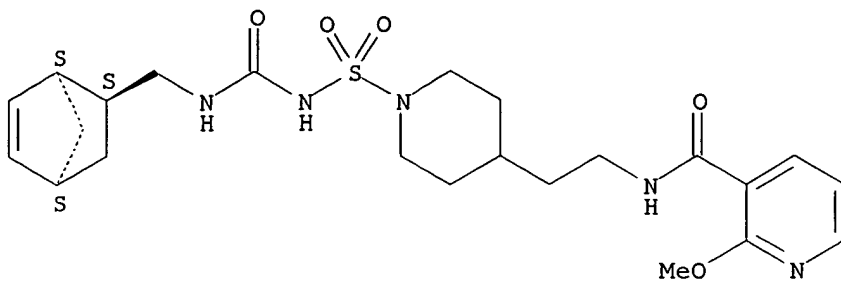
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 51876-98-3 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-[[[[(1R,2R,4R)-bicyclo[2.2.1]hept-5-en-2-ylmethyl]amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-, rel- (9CI) (CA INDEX NAME)

09/939,872

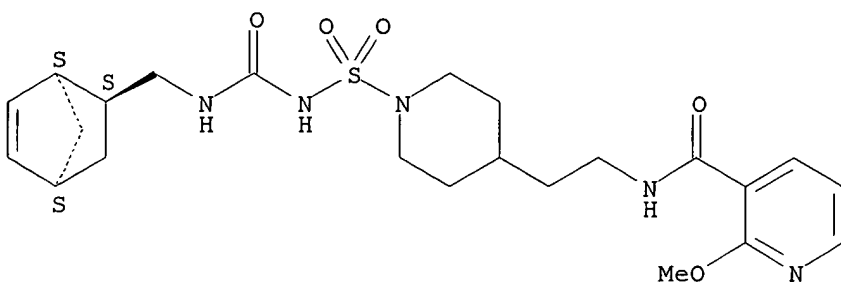
Relative stereochemistry.



RN 53750-78-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinylethyl]-2-methoxy-, monosodium salt, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● Na

L4 ANSWER 35 OF 41 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1977:89614 CAPLUS

DOCUMENT NUMBER: 86:89614

TITLE: Piperidinylsulfonylurea derivatives

INVENTOR(S): Evanega, George R.; Kuhla, Donald E.; Sarges, Reinhard

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: U.S., 9 pp. Division of U.S. 3,914,424.

CODEN: USXXAM

DOCUMENT TYPE: Patent

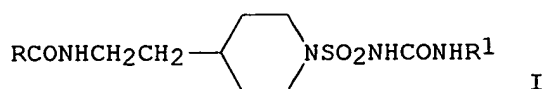
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3987172	A	19761019	US 1975-598746	19750725
US 3829434	A	19740813	US 1972-305594	19721110
US 3914426	A	19751021	US 1974-464331	19740426
PRIORITY APPLN. INFO.:			US 1972-305594	19721110
			US 1974-464331	19740426

GI



AB Piperidinylsulfonylureas I [R = 2-methoxy-3-pyridyl, R1 = bicyclo[2.2.1]hept-5-en-2-ylmethyl (Q), bicyclo[2.2.1]hept-2-ylmethyl, 1-adamantyl, cyclohexyl, endo-, exo-7-oxabicyclo[2.2.1]hept-2-ylmethyl; R = 4-chloro-2-pyridyl, R1 = Q; R = 8-quinolyl, R1 = Q, cyclohexyl] were prepd. and at 5 mg/kg i.p. in rats caused 23-38% decrease in blood sugar level. Thus I (R = 2-methoxy-3-pyridyl, R1 = Q) was obtained by successively treating 2-aminoethylpyridine with phthalic anhydride, reducing the phthalimide, treating with sulfamide, and hydrolyzing, treating 4-(2-aminoethyl)piperidinesulfonamide with 2-methoxynicotinoyl chloride, and treating the product with QNHCONPh2.

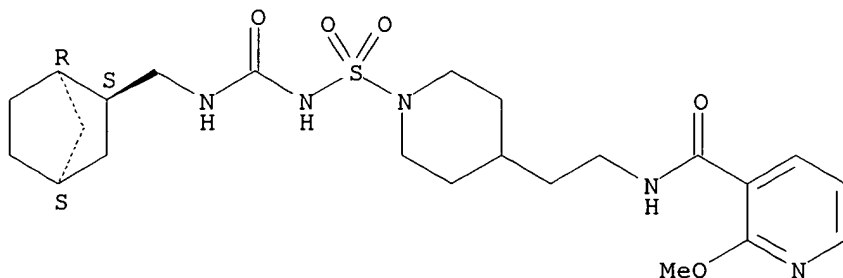
IT **53750-72-4P 53750-73-5P 53750-74-6P**  
**53750-75-7P 53750-76-8P 53750-77-9P**  
**53751-32-9P 53751-33-0P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. and antidiabetic activity of)

RN 53750-72-4 CAPLUS

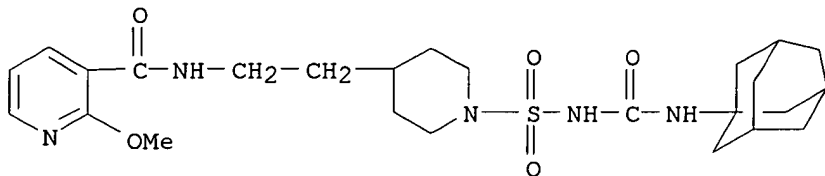
CN 3-Pyridinecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



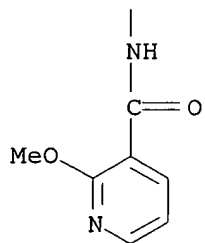
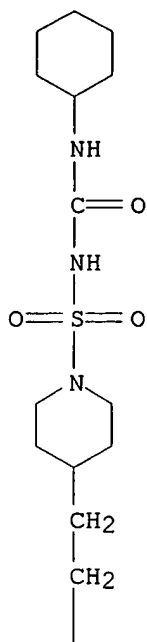
RN 53750-73-5 CAPLUS

CN 3-Pyridinecarboxamide, 2-methoxy-N-[2-[1-[[[(tricyclo[3.3.1.1<sup>3,7</sup>]dec-1-ylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



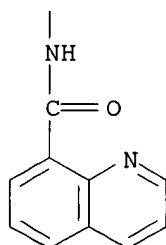
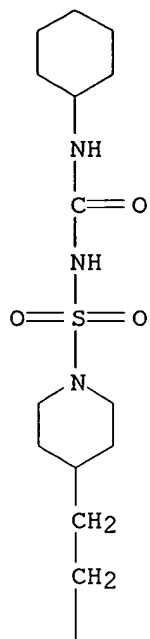
RN 53750-74-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy- (9CI) (CA INDEX NAME)



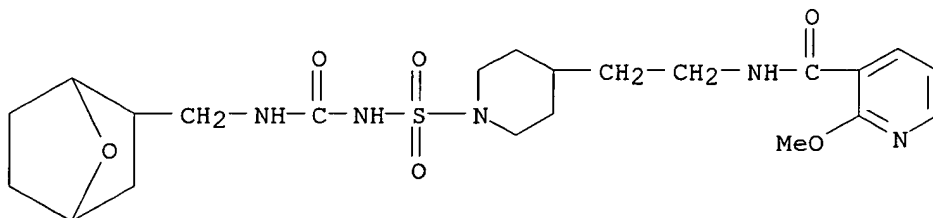
RN 53750-75-7 CAPLUS  
 CN 8-Quinolinecarboxamide, N-[2-[1-[[[(cyclohexylamino) carbonyl] amino] sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)





RN 53750-76-8 CAPLUS

CN 3-Pyridinecarboxamide, 2-methoxy-N-[2-[1-[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI)  
(CA INDEX NAME)

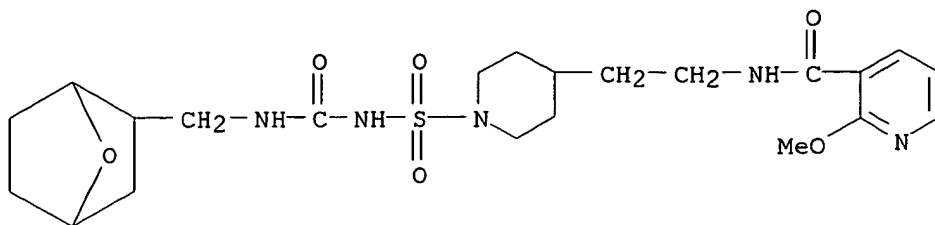


RN 53750-77-9 CAPLUS

CN 3-Pyridinecarboxamide, 2-methoxy-N-[2-[1-[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, exo- (9CI)

09/939,872

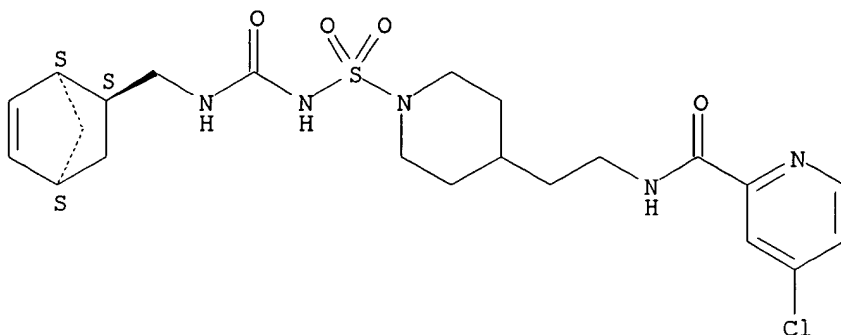
(CA INDEX NAME)



RN 53751-32-9 CAPLUS

CN 2-Pyridinecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-4-chloro-, endo- (9CI) (CA INDEX NAME)

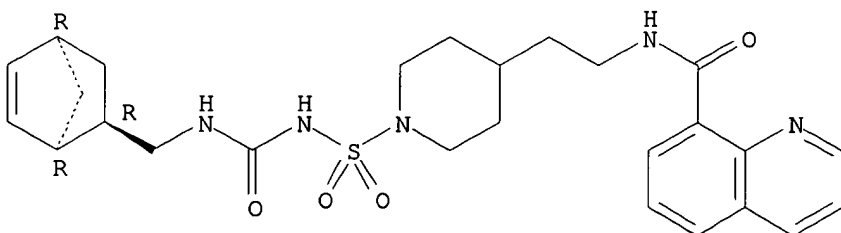
Relative stereochemistry.



RN 53751-33-0 CAPLUS

CN 8-Quinolonecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



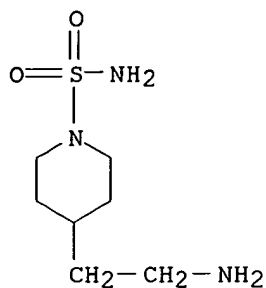
IT 53750-64-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and reaction of, with methoxynicotinoyl chloride)

RN 53750-64-4 CAPLUS

CN 1-Piperidinesulfonamide, 4-(2-aminoethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

09/939,872



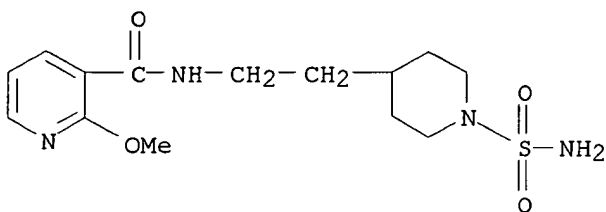
● HCl

IT 53750-69-9P 53750-70-2P 53750-71-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and reaction of, with urea derivs.)

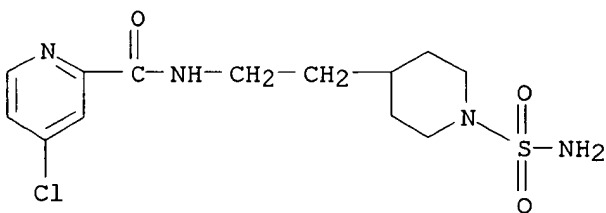
RN 53750-69-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-2-methoxy- (9CI) (CA INDEX NAME)



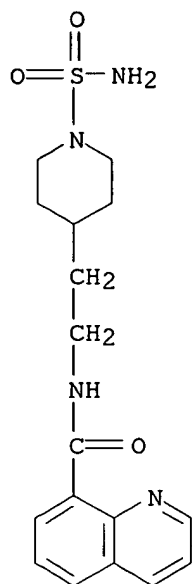
RN 53750-70-2 CAPLUS

CN 2-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-4-chloro- (9CI) (CA INDEX NAME)



RN 53750-71-3 CAPLUS

CN 8-Quinolinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



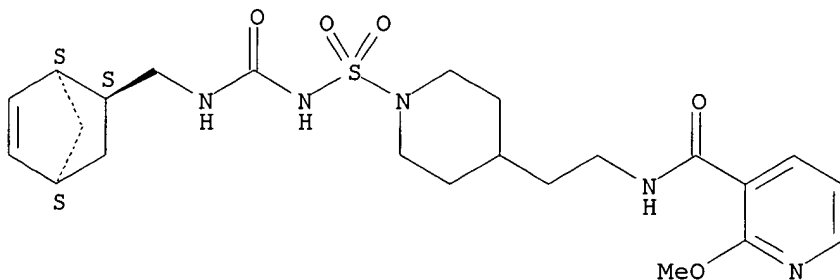
IT 53750-78-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 53750-78-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-, monosodium salt, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● Na

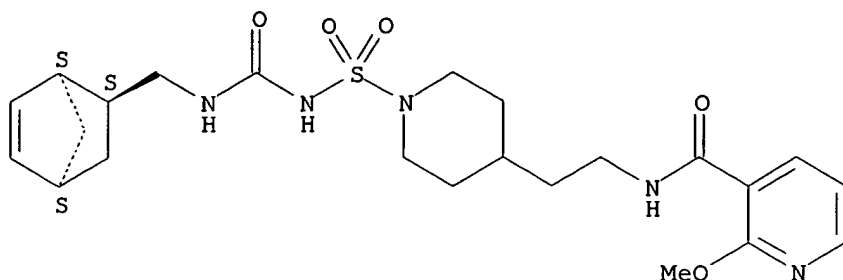
IT 51876-98-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn., redn., and antidiabetic activity of)

RN 51876-98-3 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-[[[[(1R,2R,4R)-bicyclo[2.2.1]hept-5-en-2-ylmethyl]amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-, rel- (9CI) (CA INDEX NAME)

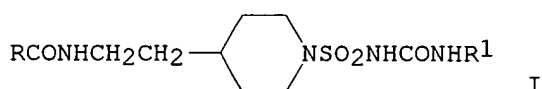
Relative stereochemistry.



L4 ANSWER 36 OF 41 CAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 1976:421122 CAPLUS  
 DOCUMENT NUMBER: 85:21122  
 TITLE: 4-Substituted-1-piperidinesulfonamides  
 INVENTOR(S): Evanega, George R.; Kuhla, Donald E.; Sarges, Reinhard  
 PATENT ASSIGNEE(S): Pfizer Inc., USA  
 SOURCE: U.S., 9 pp. Division of U.S. 3,887,561.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3944524	A	19760316	US 1975-544838	19750128
US 3829434	A	19740813	US 1972-305594	19721110
US 3887561	A	19750603	US 1974-464332	19740426
PRIORITY APPLN. INFO.:			US 1972-305594	19721110
			US 1974-464332	19740426

GI



AB The piperidinesulfonylureas I (R = 2-methoxy-3-pyridyl, 8-quinolinyl, 4-chloro-2-pyridyl; R<sup>1</sup> = cyclopentyl, cyclohexyl, 7-oxabicyclo[2.2.1]hept-2-ylmethyl, bicyclo[2.2.1]hept-5-en-2-ylmethyl, bicyclo[2.2.1]hept-2-ylmethyl, 1-adamantyl) were prep'd. by treating piperidinesulfonamides with R<sup>1</sup>NHCONH or R<sup>1</sup>NCO. Thus, 2-methoxynicotinoyl chloride was treated with 4-(2-aminoethyl)-1-piperidinesulfonamide and the 4-[2-(2-methoxynicotinamido)ethyl]-1-piperidinesulfonamide treated with 1,1-diphenyl-3-(bicyclo[2.2.1]hept-5-en-2-ylmethyl)urea to give I (R = 2-methoxy-3-pyridyl, R<sup>1</sup> = bicyclo[2.2.1]hept-2-en-2-ylmethyl) (II), which was hydrogenated to give I (R<sup>1</sup> = bicyclo[2.2.1]hept-2-ylmethyl). At 5.0 mg/kg II reduced the blood sugar in rats by 34%.

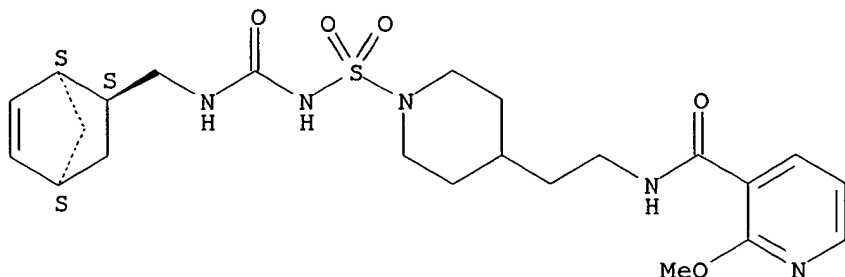
IT 51876-98-3P 53750-72-4P 53750-73-5P  
 53750-74-6P 53750-75-7P 53750-76-8P  
 53750-77-9P 53751-32-9P 53751-33-0P  
 RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (prepn. and hypoglycemic activity of)

RN 51876-98-3 CAPLUS

09/939,872

CN 3-Pyridinecarboxamide, N-[2-[1-[[[[(1R,2R,4R)-bicyclo[2.2.1]hept-5-en-2-ylmethyl]amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-, rel- (9CI) (CA INDEX NAME)

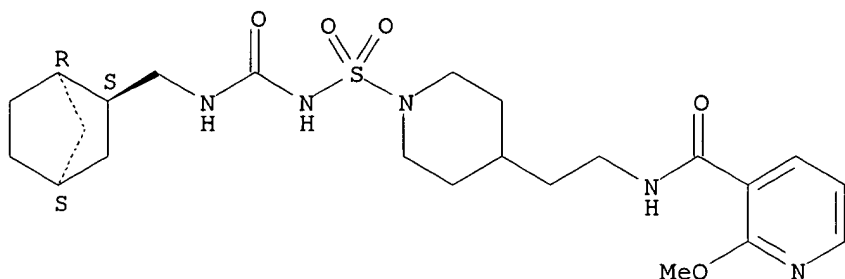
Relative stereochemistry.



RN 53750-72-4 CAPLUS

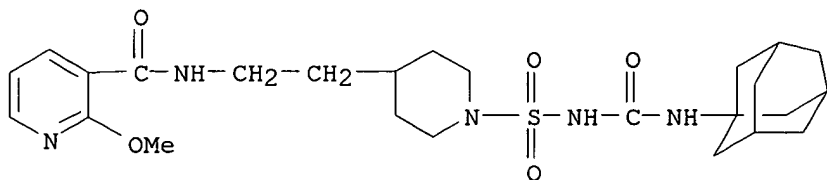
CN 3-Pyridinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-2-ylmethyl]amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



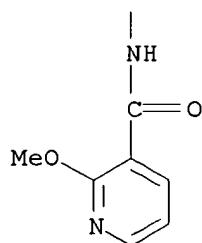
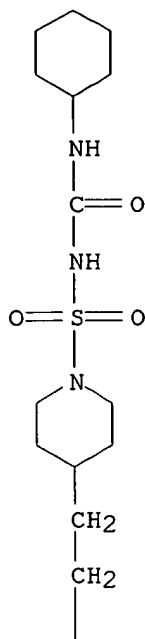
RN 53750-73-5 CAPLUS

CN 3-Pyridinecarboxamide, 2-methoxy-N-[2-[1-[[[[(tricyclo[3.3.1.1<sup>3,7</sup>]dec-1-ylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

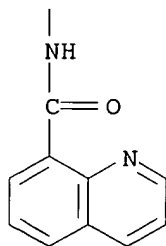
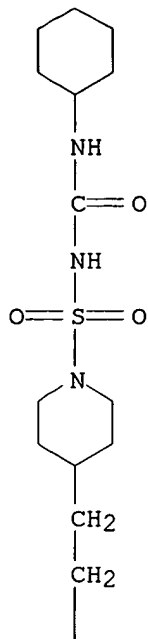


RN 53750-74-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-[[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy- (9CI) (CA INDEX NAME)

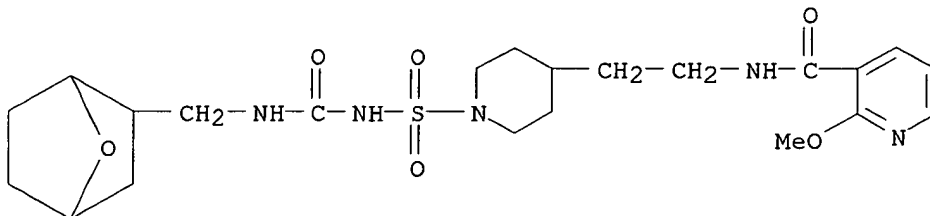


RN 53750-75-7 CAPLUS  
 CN 8-Quinolinecarboxamide, N-[2-[1-[[[(cyclohexylamino) carbonyl] amino] sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 53750-76-8 CAPLUS

CN 3-Pyridinecarboxamide, 2-methoxy-N-[2-[1-[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI)  
(CA INDEX NAME)



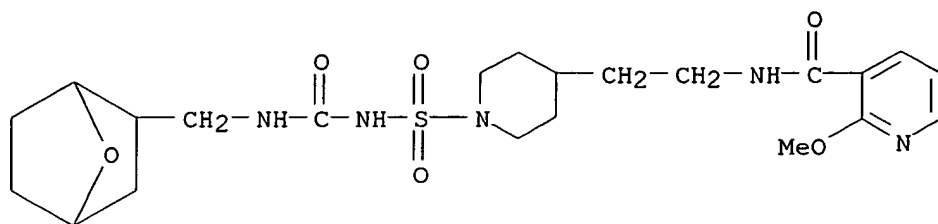
RN 53750-77-9 CAPLUS

CN 3-Pyridinecarboxamide, 2-methoxy-N-[2-[1-[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, exo- (9CI)



09/939,872

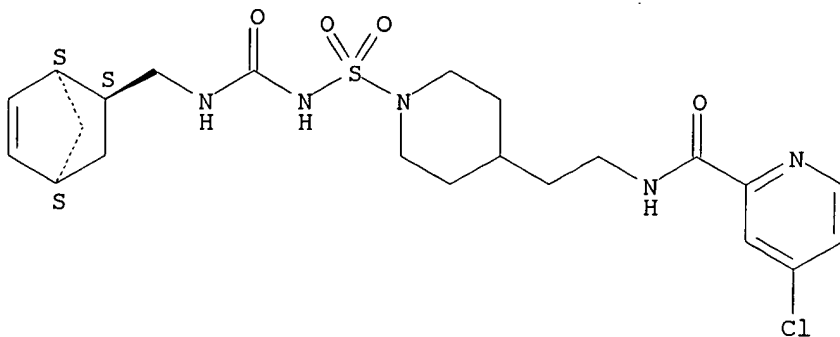
(CA INDEX NAME)



RN 53751-32-9 CAPLUS

CN 2-Pyridinecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-4-chloro-, endo- (9CI) (CA INDEX NAME)

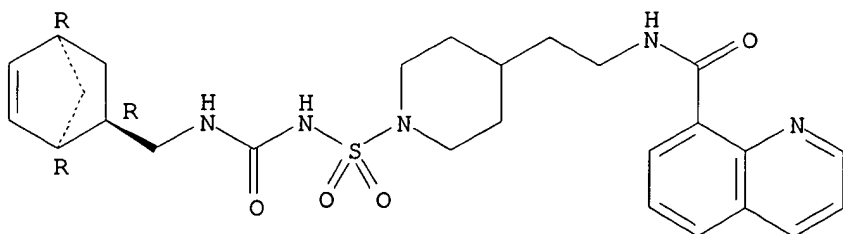
Relative stereochemistry.



RN 53751-33-0 CAPLUS

CN 8-Quinolonecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



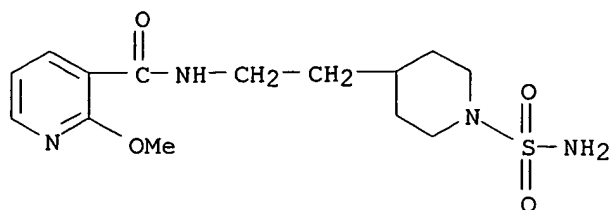
IT 53750-69-9P 53750-70-2P 53750-71-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and reaction with ureas and isocyanates)

RN 53750-69-9 CAPLUS

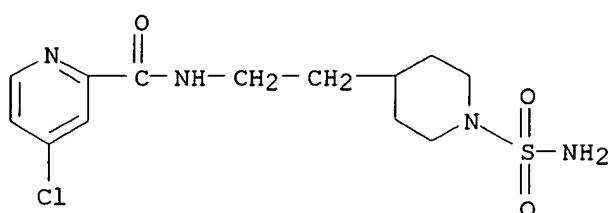
CN 3-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-2-methoxy- (9CI) (CA INDEX NAME)

09/939,872



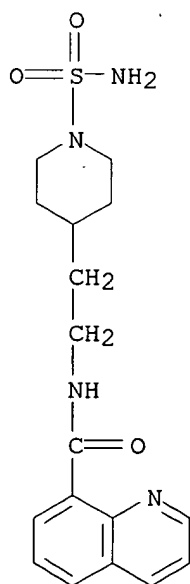
RN 53750-70-2 CAPLUS

CN 2-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-4-chloro- (9CI) (CA INDEX NAME)



RN 53750-71-3 CAPLUS

CN 8-Quinolinescarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



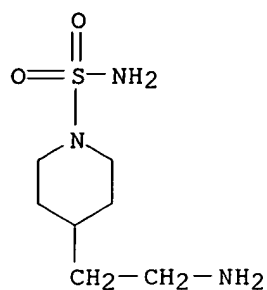
IT 53750-64-4P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and substitution of)

RN 53750-64-4 CAPLUS

CN 1-Piperidinesulfonamide, 4-(2-aminoethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

09/939,872



● HCl

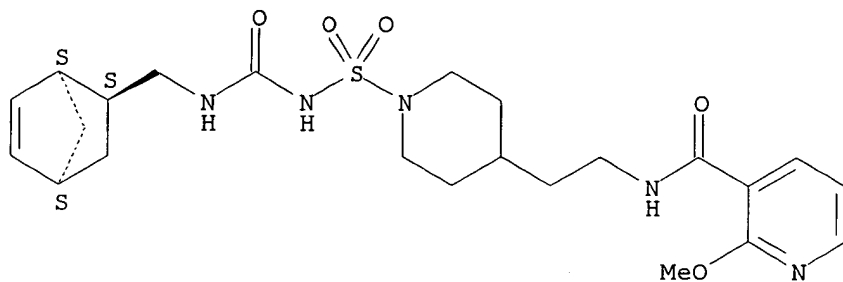
IT 53750-78-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 53750-78-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-, monosodium salt, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



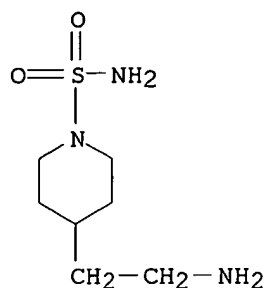
● Na

IT 53750-64-4

RL: RCT (Reactant)  
(reaction of, with pyridinecarbonyl chloride)

RN 53750-64-4 CAPLUS

CN 1-Piperidinesulfonamide, 4-(2-aminoethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

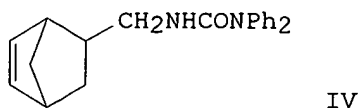
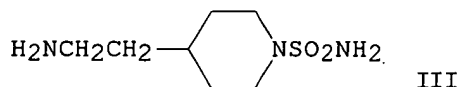
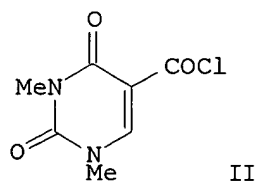
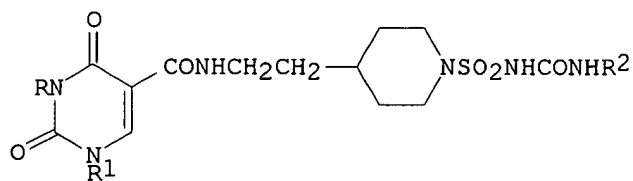


● HCl

L4 ANSWER 37 OF 41 CAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 1976:164833 CAPLUS  
 DOCUMENT NUMBER: 84:164833  
 TITLE: Piperidinesulfonylurea derivatives  
 INVENTOR(S): Wiedermann, Hans E.  
 PATENT ASSIGNEE(S): Pfizer Inc., USA  
 SOURCE: U.S., 9 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3936455	A	19760203	US 1975-546003	19750131
US 3998828	A	19761221	US 1975-629771	19751107
US 4052507	A	19771004	US 1976-726126	19760924
PRIORITY APPLN. INFO.:			US 1975-546003	19750131
			US 1975-629771	19751107

GI



AB Piperidinesulfonylureas I (R = Me, Pr, R1 = Me, Et, R2 = endo-5-norbornen-2-ylmethyl, endo-2-norbornylmethyl, endo-7-oxabicyclo[2.2.1]hept-2-ylmethyl, cyclohexyl, 1-adamantyl), useful as antidiabetics, were obtained by treatment of an appropriate sulfamide with an org. isocyanate or a trisubstituted urea. Thus, pyrimidinecarbonyl chloride II heated with piperidinesulfonamide III 16 hr in THF gave a

09/939,872

sulfonamide which was treated with IV in DMF contg. NaH to give I (R = R1 = Me, R2 = endo-5-norbornen-2-ylmethyl).

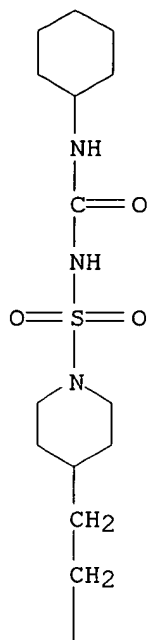
IT 58603-22-8P 58603-70-6P 58603-72-8P  
59077-17-7P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(prepn. and hypoglycemic activity of)

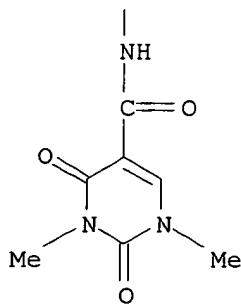
RN 58603-22-8 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo- (9CI)  
(CA INDEX NAME)

PAGE 1-A



PAGE 2-A

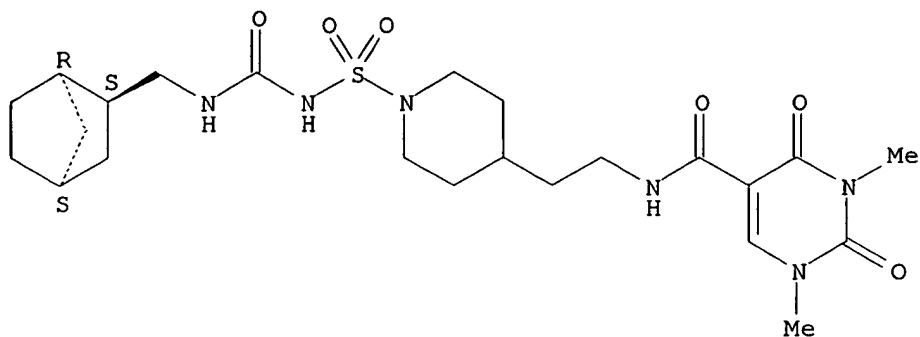


RN 58603-70-6 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo-, endo- (9CI) (CA INDEX NAME)

09/939,872

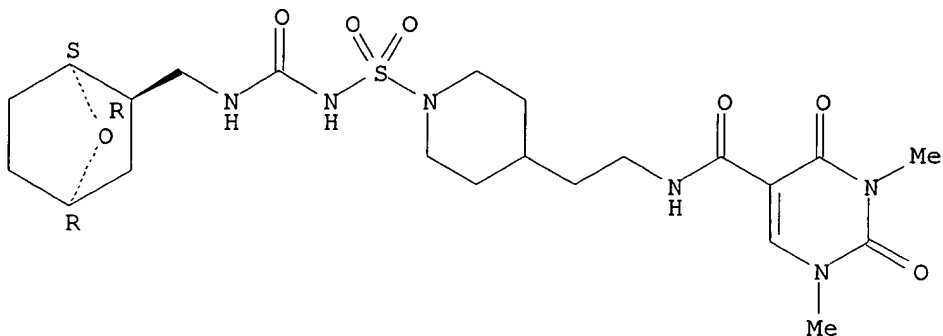
Relative stereochemistry.



RN 58603-72-8 CAPLUS

CN 5-Pyrimidinecarboxamide, 1,2,3,4-tetrahydro-1,3-dimethyl-N-[2-[1-[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2,4-dioxo-, endo- (9CI) (CA INDEX NAME)

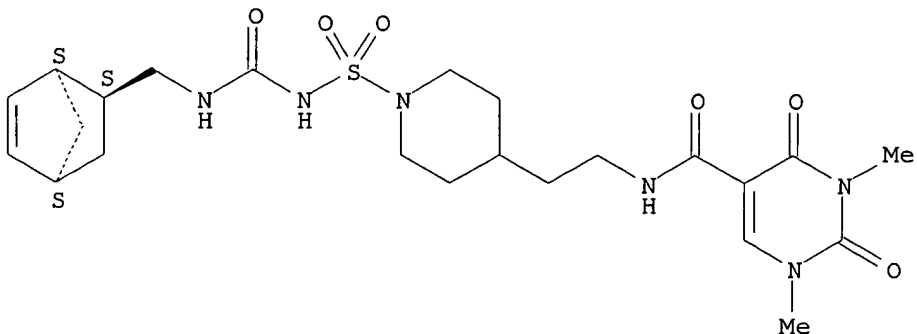
Relative stereochemistry.



RN 59077-17-7 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



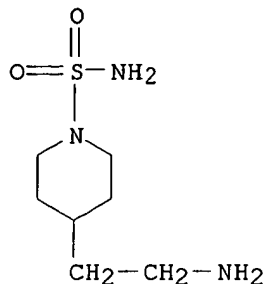
IT 53750-64-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and reaction with pyrimidinecarbonyl chlorides)

09/939,872

RN 53750-64-4 CAPLUS

CN 1-Piperidinesulfonamide, 4-(2-aminoethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



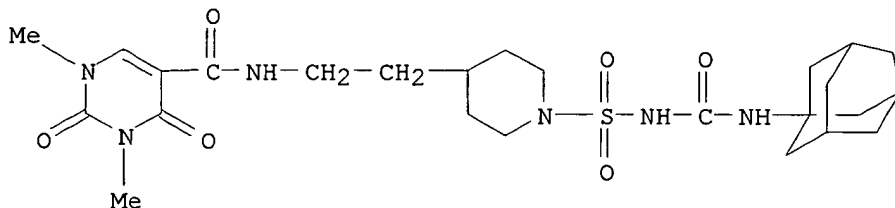
● HCl

IT 58603-77-3P 59077-18-8P 59077-19-9P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 58603-77-3 CAPLUS

CN 5-Pyrimidinecarboxamide, 1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo-N-[2-[1-[[[(tricyclo[3.3.1.1<sup>3,7</sup>]dec-1-ylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

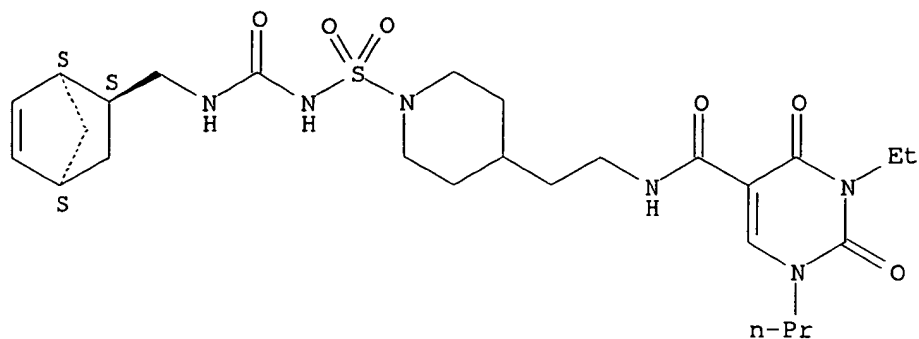


RN 59077-18-8 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-3-ethyl-1,2,3,4-tetrahydro-2,4-dioxo-1-propyl-, monosodium salt, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

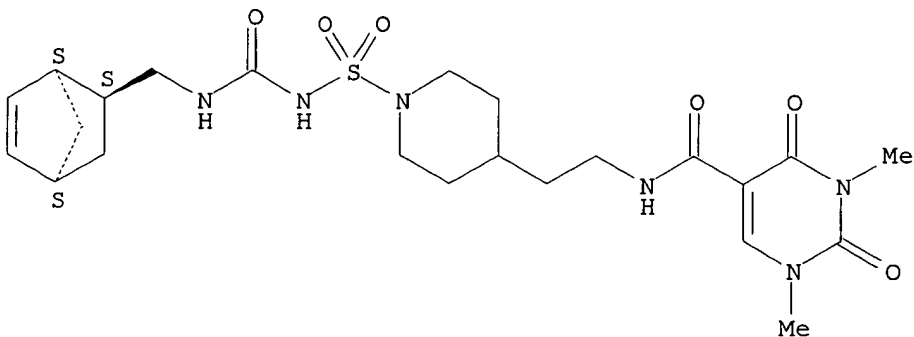
09/939,872



RN 59077-19-9 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinylethyl]-1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo-, monosodium salt, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT 58603-95-5 58604-20-9

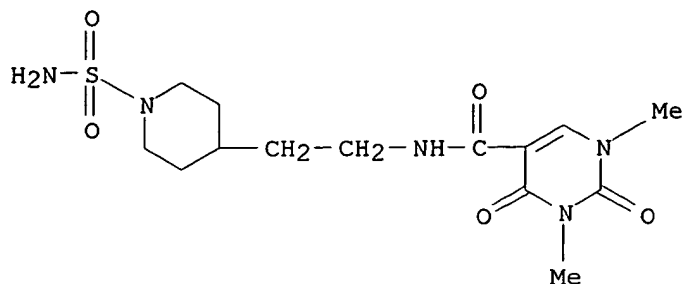
RL: RCT (Reactant)  
(reaction of, with ureas)

RN 58603-95-5 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinylethyl]-1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo- (9CI) (CA INDEX NAME)

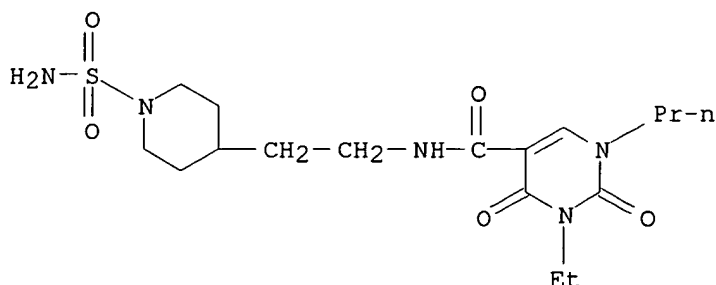


09/939,872



RN 58604-20-9 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-3-ethyl-1,2,3,4-tetrahydro-2,4-dioxo-1-propyl- (9CI) (CA INDEX NAME)



L4 ANSWER 38 OF 41 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1976:144565 CAPLUS

DOCUMENT NUMBER: 84:144565

TITLE: Sulfamylurea hypoglycemic agents. 6. High-potency derivatives

AUTHOR(S): Sarges, Reinhard; Kuhla, Donald E.; Wiedermann, Hans E.; Mayhew, Dale A.

CORPORATE SOURCE: Cent. Res., Pfizer Inc., Groton, Conn., USA

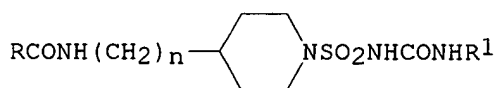
SOURCE: J. Med. Chem. (1976), 19(5), 695-709

CODEN: JMCMAR

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I

AB Of a series of 105 1-piperidiniosulfonylureas (I) prepd. and tested for hypoglycemic activity in fasted rats, gliamilide (I; RCO = 2-methoxynicotinoyl, n = 2, R1 = bicyclo[2.2.1]hept-5-en-2-yl-endo-methyl) [51876-98-3] was among the most active compds., was well tolerated in man, and had a short plasma half-life. Compds. with a methylene bridge (I, n = 1) were less potent than those with the ethylene bridge (I, n = 2). Optimal acyl substituents (R) are 5-chloro-2-methoxybenzoyl, substituted nicotinoyl, 2,3-ethylenedioxybenzoyl and

substituted quinoline-8-carboxyls. Optimal R1 groups are cyclohexyl, bicycloheptenylmethyl, and in certain cases propyl, 7-oxabicycloheptenylmethyl, and adamantyl.

IT 53750-69-9P 53750-70-2P 53750-71-3P

58603-84-2P 58603-85-3P 58603-86-4P

58603-87-5P 58603-88-6P 58603-89-7P

58603-90-0P 58603-91-1P 58603-92-2P

58603-93-3P 58603-94-4P 58603-95-5P

58603-96-6P 58603-97-7P 58603-98-8P

58603-99-9P 58604-00-5P 58604-01-6P

58604-02-7P 58604-03-8P 58604-04-9P

58604-05-0P 58604-06-1P 58604-07-2P

58604-08-3P 58604-09-4P 58604-10-7P

58604-11-8P 58604-12-9P 58604-13-0P

58604-14-1P 58604-15-2P 58604-16-3P

58604-17-4P 58604-18-5P 58604-19-6P

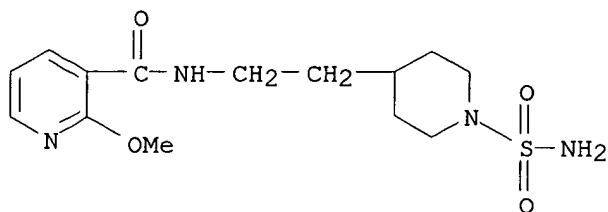
58604-20-9P 58604-21-0P 58604-22-1P

58604-23-2P 58604-24-3P 58604-25-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and N-carbamoylation of)

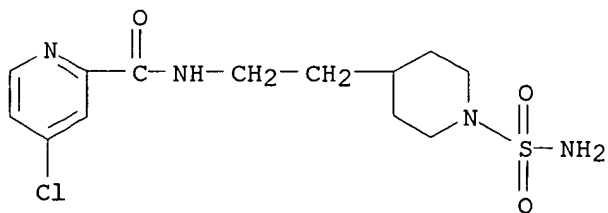
RN 53750-69-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-2-methoxy- (9CI) (CA INDEX NAME)



RN 53750-70-2 CAPLUS

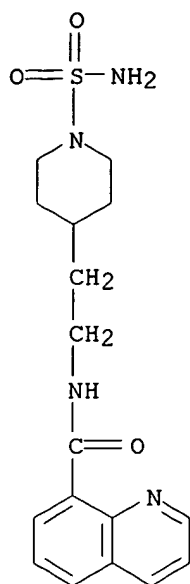
CN 2-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-4-chloro- (9CI) (CA INDEX NAME)



RN 53750-71-3 CAPLUS

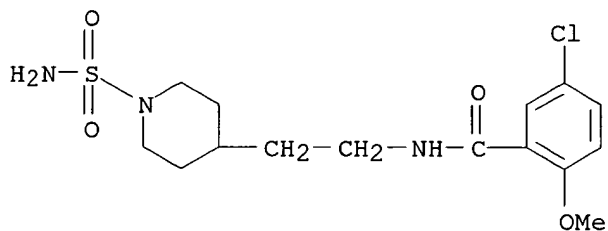
CN 8-Quinolinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

09/939,872



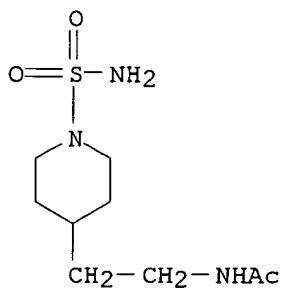
RN 58603-84-2 CAPLUS

CN Benzamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-5-chloro-2-methoxy-  
(9CI) (CA INDEX NAME)



RN 58603-85-3 CAPLUS

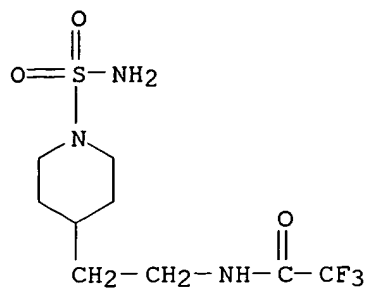
CN Acetamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX  
NAME)



RN 58603-86-4 CAPLUS

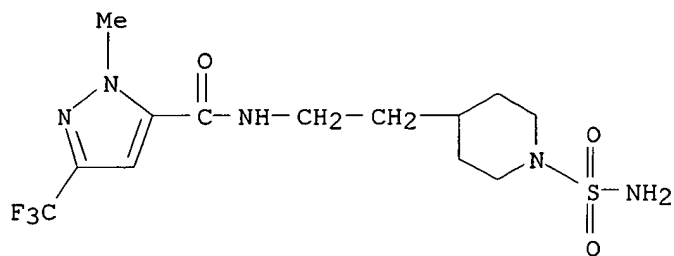
CN Acetamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-2,2,2-trifluoro-  
(9CI) (CA INDEX NAME)

09/939,872



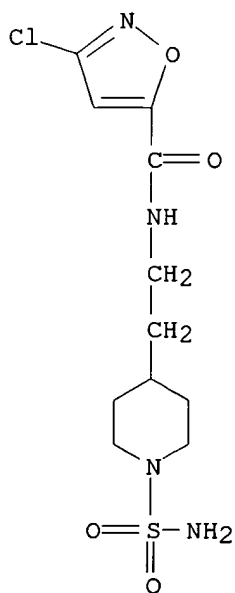
RN 58603-87-5 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-1-methyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 58603-88-6 CAPLUS

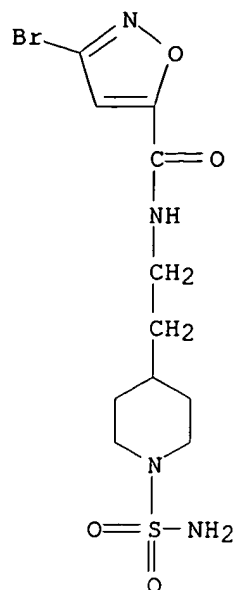
CN 5-Isioxazolecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-3-chloro- (9CI) (CA INDEX NAME)



RN 58603-89-7 CAPLUS

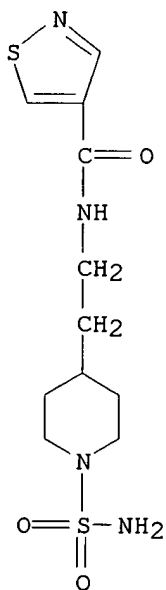
CN 5-Isioxazolecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-3-bromo- (9CI) (CA INDEX NAME)

09/939,872



RN 58603-90-0 CAPLUS

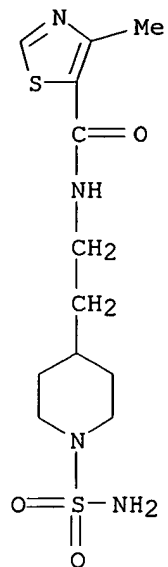
CN 4-Isouthiazolecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-  
(9CI) (CA INDEX NAME)



RN 58603-91-1 CAPLUS

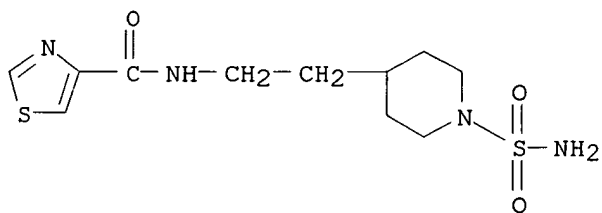
CN 5-Thiazolecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-4-  
methyl- (9CI) (CA INDEX NAME)

09/939,872



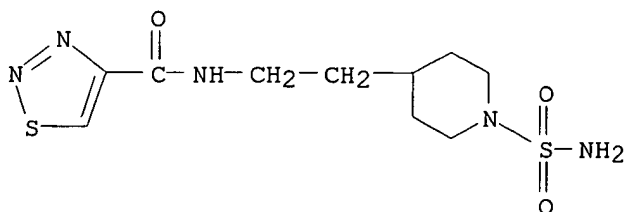
RN 58603-92-2 CAPLUS

CN 4-Thiazolecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]- (9CI)  
(CA INDEX NAME)



RN 58603-93-3 CAPLUS

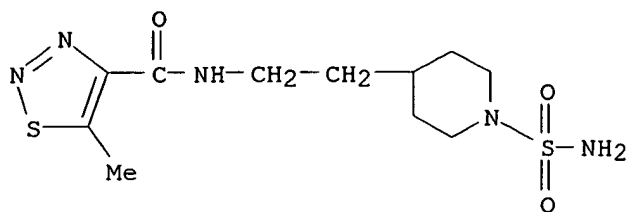
CN 1,2,3-Thiadiazole-4-carboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 58603-94-4 CAPLUS

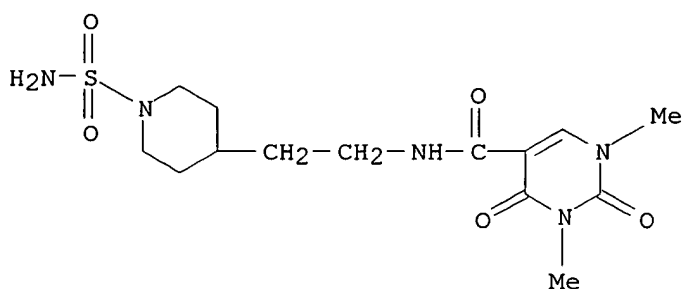
CN 1,2,3-Thiadiazole-4-carboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-5-methyl- (9CI) (CA INDEX NAME)

09/939,872



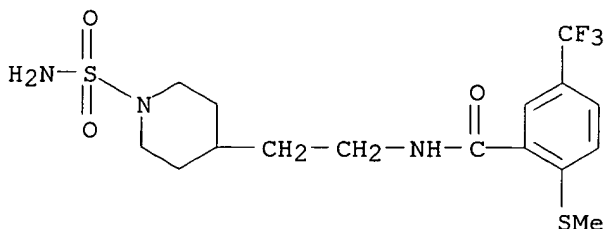
RN 58603-95-5 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo- (9CI) (CA INDEX NAME)



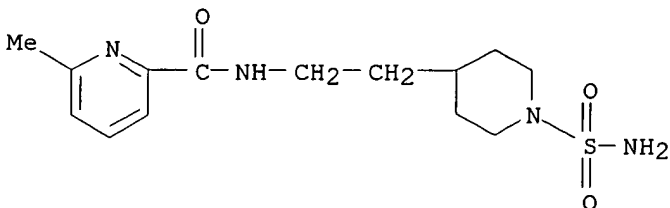
RN 58603-96-6 CAPLUS

CN Benzamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-2-(methylthio)-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 58603-97-7 CAPLUS

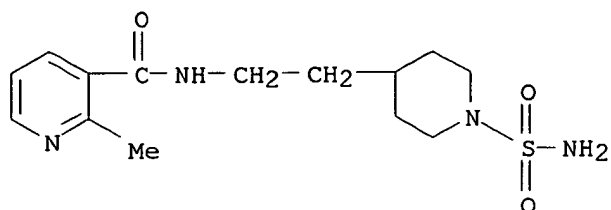
CN 2-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-6-methyl- (9CI) (CA INDEX NAME)



RN 58603-98-8 CAPLUS

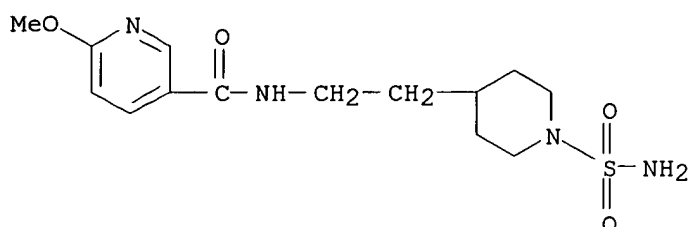
CN 3-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-2-methyl- (9CI) (CA INDEX NAME)

09/939,872



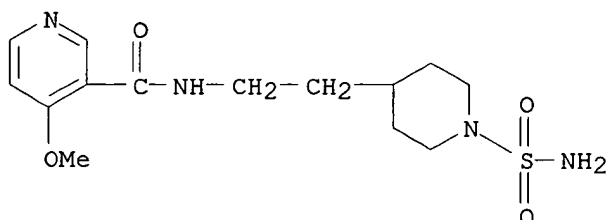
RN 58603-99-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-6-methoxy- (9CI) (CA INDEX NAME)



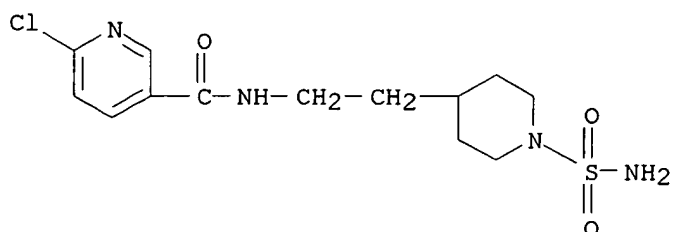
RN 58604-00-5 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-4-methoxy- (9CI) (CA INDEX NAME)



RN 58604-01-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-6-chloro- (9CI) (CA INDEX NAME)

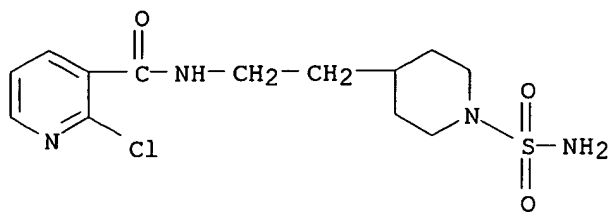


RN 58604-02-7 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-2-chloro- (9CI) (CA INDEX NAME)

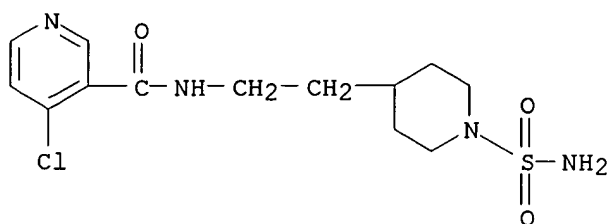


09/939,872



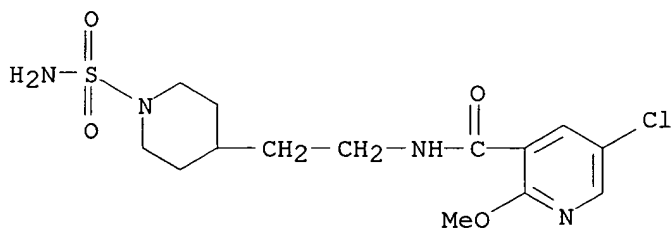
RN 58604-03-8 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-4-chloro- (9CI) (CA INDEX NAME)



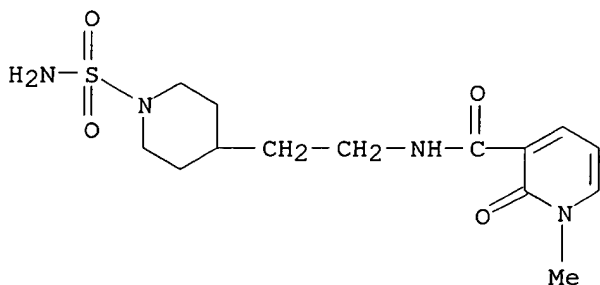
RN 58604-04-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-5-chloro-2-methoxy- (9CI) (CA INDEX NAME)



RN 58604-05-0 CAPLUS

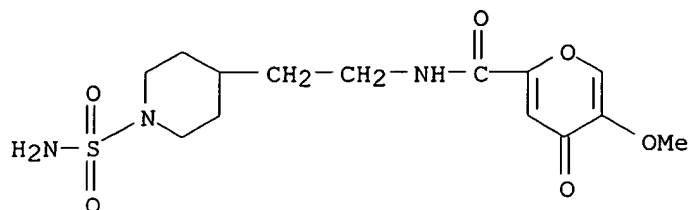
CN 3-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-1,2-dihydro-1-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 58604-06-1 CAPLUS

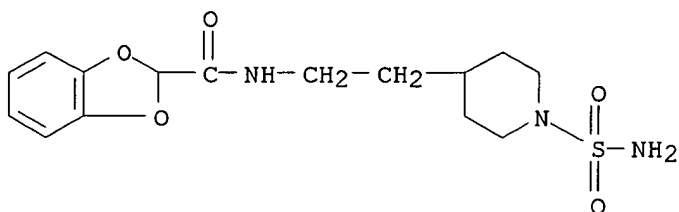
CN 4H-Pyran-2-carboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-5-methoxy-4-oxo- (9CI) (CA INDEX NAME)

09/939,872



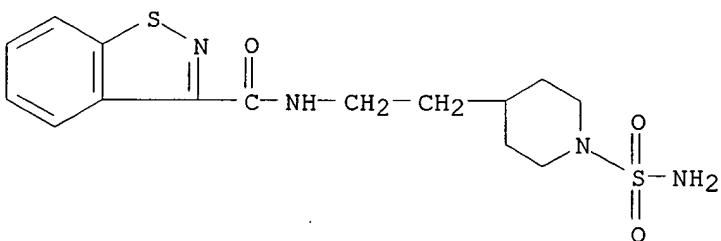
RN 58604-07-2 CAPLUS

CN 1,3-Benzodioxole-2-carboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



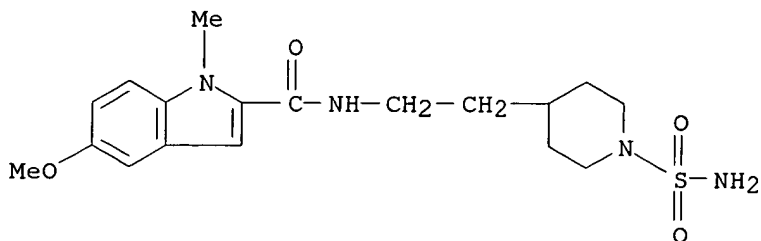
RN 58604-08-3 CAPLUS

CN 1,2-Benzisothiazole-3-carboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 58604-09-4 CAPLUS

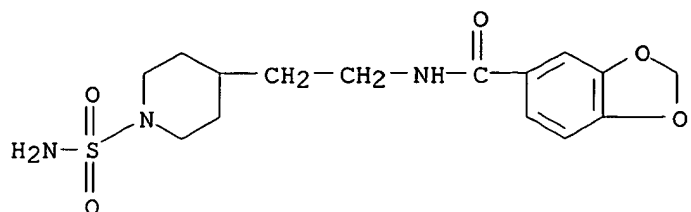
CN 1H-Indole-2-carboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-5-methoxy-1-methyl- (9CI) (CA INDEX NAME)



RN 58604-10-7 CAPLUS

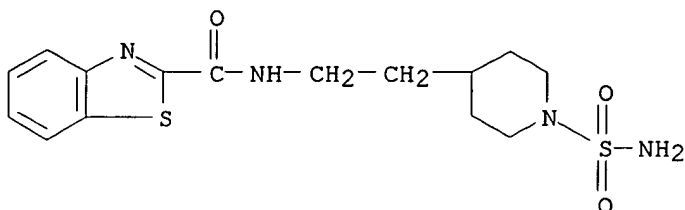
CN 1,3-Benzodioxole-5-carboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

09/939,872



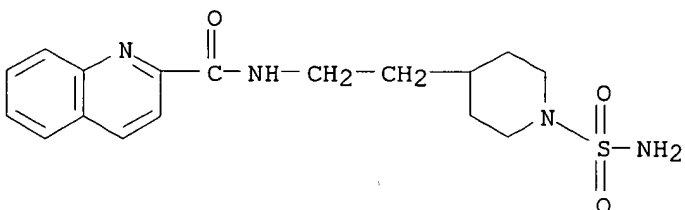
RN 58604-11-8 CAPLUS

CN 2-Benzothiazolecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-  
(9CI) (CA INDEX NAME)



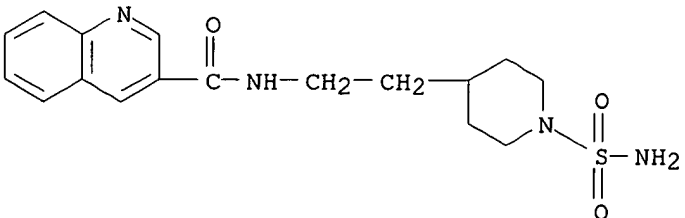
RN 58604-12-9 CAPLUS

CN 2-Quinolinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-  
(9CI) (CA INDEX NAME)



RN 58604-13-0 CAPLUS

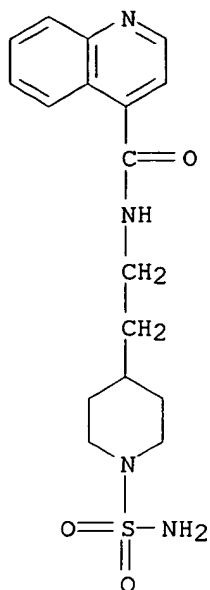
CN 3-Quinolinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-  
(9CI) (CA INDEX NAME)



RN 58604-14-1 CAPLUS

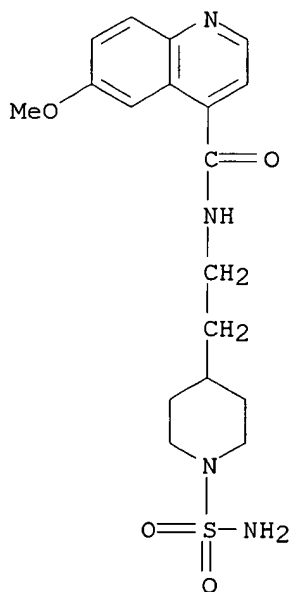
CN 4-Quinolinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-  
(9CI) (CA INDEX NAME)

09/939,872



RN 58604-15-2 CAPLUS

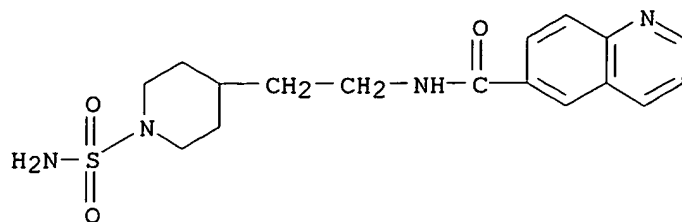
CN 4-Quinolincarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-6-methoxy- (9CI) (CA INDEX NAME)



RN 58604-16-3 CAPLUS

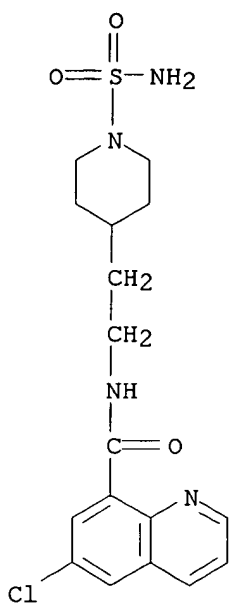
CN 6-Methoxy-4-Quinolincarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

09/939,872



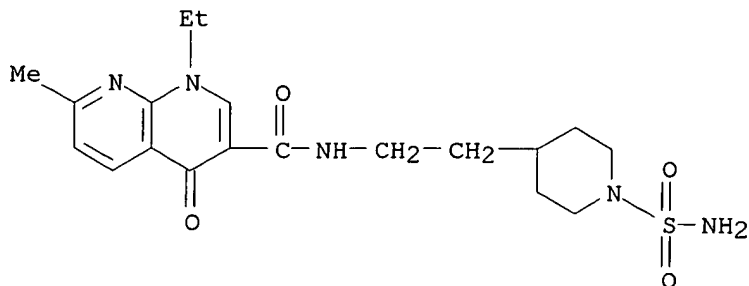
RN 58604-17-4 CAPLUS

CN 8-Quinolinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-6-chloro- (9CI) (CA INDEX NAME)



RN 58604-18-5 CAPLUS

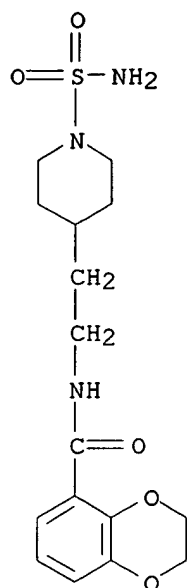
CN 1,8-Naphthyridine-3-carboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-1-ethyl-1,4-dihydro-7-methyl-4-oxo- (9CI) (CA INDEX NAME)



RN 58604-19-6 CAPLUS

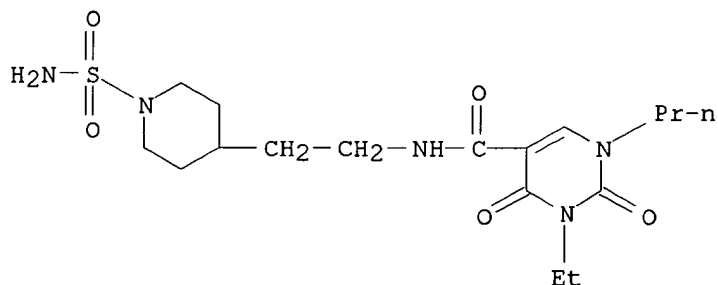
CN 1,4-Benzodioxin-5-carboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

09/939,872



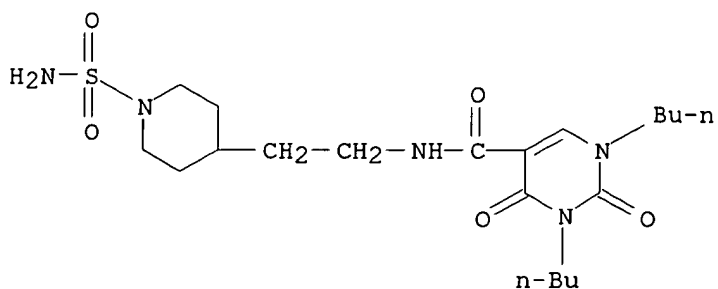
RN 58604-20-9 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-3-ethyl-1,2,3,4-tetrahydro-2,4-dioxo-1-propyl- (9CI) (CA INDEX NAME)



RN 58604-21-0 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-1,3-dibutyl-1,2,3,4-tetrahydro-2,4-dioxo- (9CI) (CA INDEX NAME)

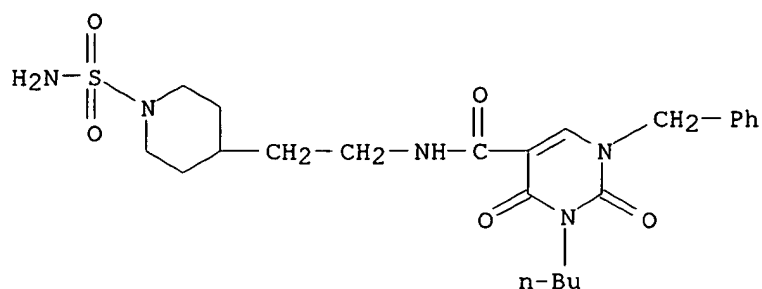


RN 58604-22-1 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-3-butyl-1,2,3,4-tetrahydro-2,4-dioxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

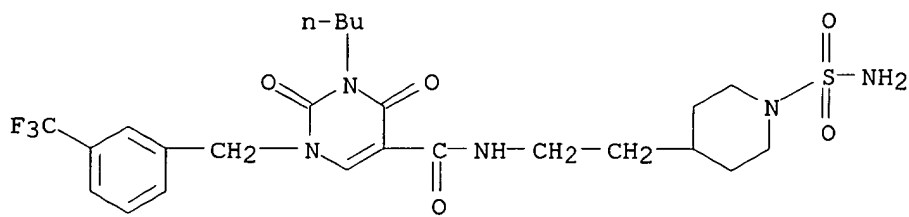
09/939,872

NAME)



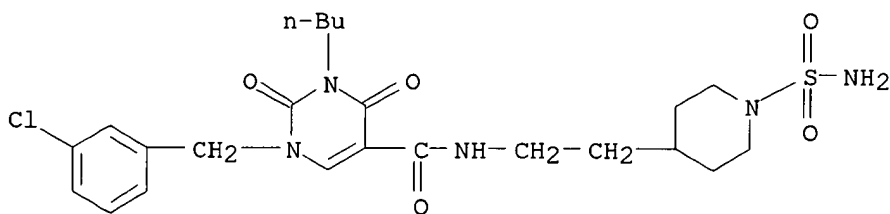
RN 58604-23-2 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-3-butyl-1,2,3,4-tetrahydro-2,4-dioxo-1-[[3-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 58604-24-3 CAPLUS

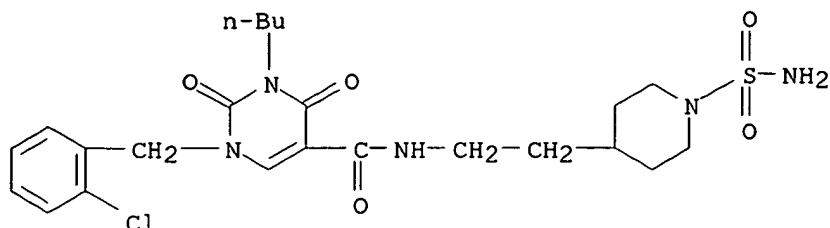
CN 5-Pyrimidinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-3-butyl-1-[(3-chlorophenyl)methyl]-1,2,3,4-tetrahydro-2,4-dioxo- (9CI) (CA INDEX NAME)



RN 58604-25-4 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-3-butyl-1-[(2-chlorophenyl)methyl]-1,2,3,4-tetrahydro-2,4-dioxo- (9CI) (CA INDEX NAME)

09/939,872

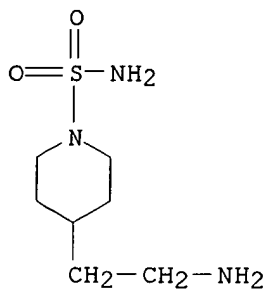


IT 53750-64-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and acylation of)

RN 53750-64-4 CAPLUS

CN 1-Piperidinesulfonamide, 4-(2-aminoethyl)-, monohydrochloride (9CI) (CA  
INDEX NAME)



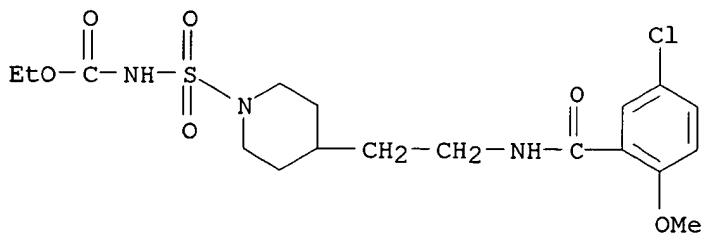
● HCl

IT 58604-31-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and amidation of)

RN 58604-31-2 CAPLUS

CN Carbamic acid, [[4-[2-[(5-chloro-2-methoxybenzoyl)amino]ethyl]-1-piperidinyl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



IT 51876-98-3P 53750-72-4P 53750-73-5P  
53750-74-6P 53750-75-7P 53750-76-8P  
53750-77-9P 53751-32-9P 53751-33-0P  
58602-96-3P 58602-97-4P 58602-98-5P  
58602-99-6P 58603-00-2P 58603-01-3P  
58603-02-4P 58603-03-5P 58603-04-6P  
58603-05-7P 58603-06-8P 58603-07-9P  
58603-08-0P 58603-09-1P 58603-10-4P



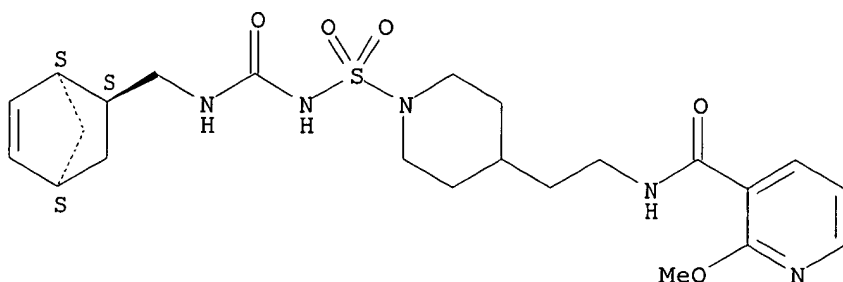
58603-11-5P 58603-12-6P 58603-13-7P  
 58603-14-8P 58603-15-9P 58603-16-0P  
 58603-17-1P 58603-18-2P 58603-19-3P  
 58603-20-6P 58603-21-7P 58603-22-8P  
 58603-23-9P 58603-24-0P 58603-25-1P  
 58603-26-2P 58603-27-3P 58603-28-4P  
 58603-29-5P 58603-30-8P 58603-31-9P  
 58603-32-0P 58603-33-1P 58603-34-2P  
 58603-35-3P 58603-36-4P 58603-37-5P  
 58603-38-6P 58603-39-7P 58603-40-0P  
 58603-41-1P 58603-42-2P 58603-43-3P  
 58603-44-4P 58603-45-5P 58603-46-6P  
 58603-47-7P 58603-48-8P 58603-49-9P  
 58603-50-2P 58603-51-3P 58603-52-4P  
 58603-53-5P 58603-54-6P 58603-55-7P  
 58603-56-8P 58603-57-9P 58603-58-0P  
 58603-59-1P 58603-60-4P 58603-61-5P  
 58603-62-6P 58603-63-7P 58603-64-8P  
 58603-65-9P 58603-66-0P 58603-67-1P  
 58603-68-2P 58603-69-3P 58603-70-6P  
 58603-71-7P 58603-72-8P 58603-73-9P  
 58603-74-0P 58603-75-1P 58603-76-2P  
 58603-77-3P 58603-78-4P 58603-79-5P  
 58603-80-8P 58603-81-9P 58603-82-0P  
 58603-83-1P 58636-12-7P 58636-13-8P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. and hypoglycemic activity of)

RN 51876-98-3 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-[[[[(1R,2R,4R)-bicyclo[2.2.1]hept-5-en-2-ylmethyl]amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-, rel- (9CI) (CA INDEX NAME)

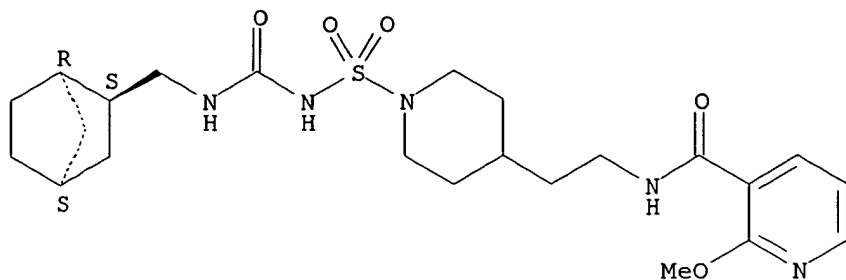
Relative stereochemistry.



RN 53750-72-4 CAPLUS

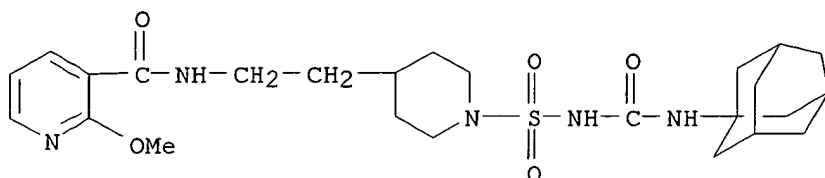
CN 3-Pyridinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 53750-73-5 CAPLUS

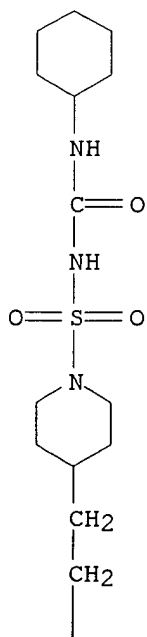
CN 3-Pyridinecarboxamide, 2-methoxy-N-[2-[1-[[[(tricyclo[3.3.1.1.3,7]dec-1-ylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

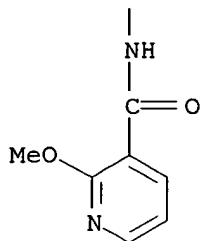


RN 53750-74-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy- (9CI) (CA INDEX NAME)

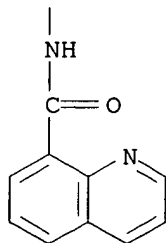
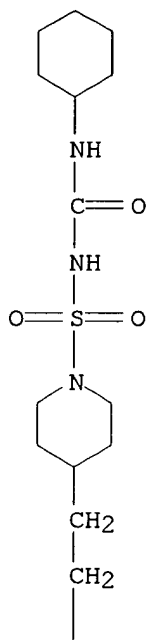
PAGE 1-A





RN 53750-75-7 CAPLUS

CN 8-Quinolinecarboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

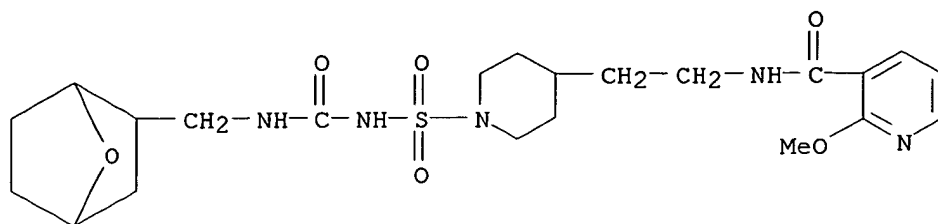


RN 53750-76-8 CAPLUS

CN 3-Pyridinecarboxamide, 2-methoxy-N-[2-[1-[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI)

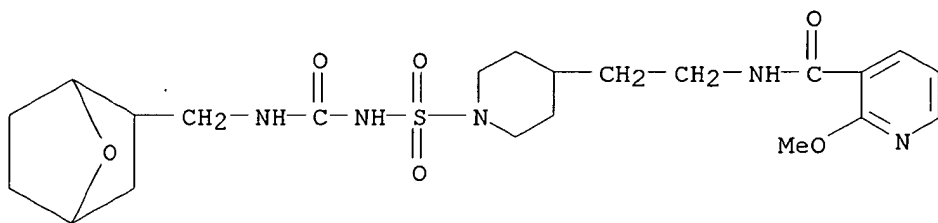
09/939,872

(CA INDEX NAME)



RN 53750-77-9 CAPLUS

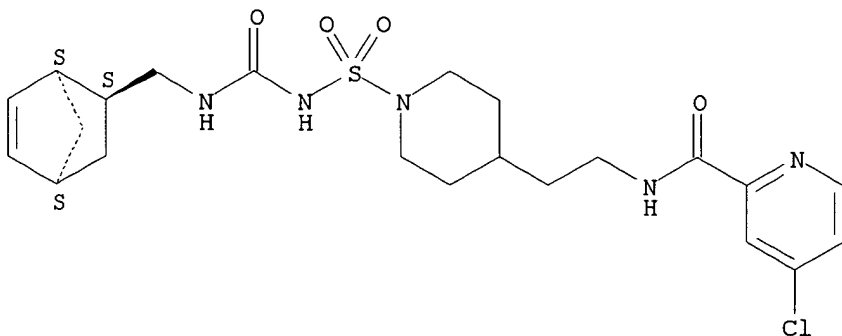
CN 3-Pyridinecarboxamide, 2-methoxy-N-[2-[1-[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, exo- (9CI)  
(CA INDEX NAME)



RN 53751-32-9 CAPLUS

CN 2-Pyridinecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-4-chloro-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

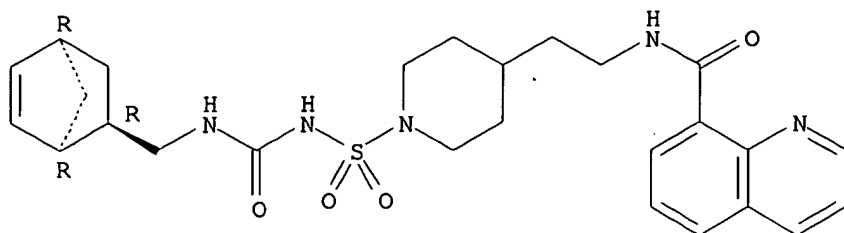


RN 53751-33-0 CAPLUS

CN 8-Quinolinecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI)  
(CA INDEX NAME)

Relative stereochemistry.

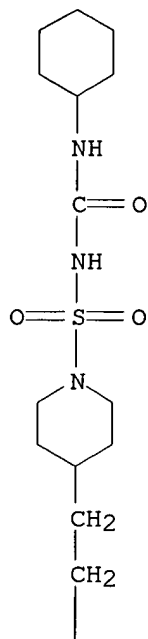
09/939,872



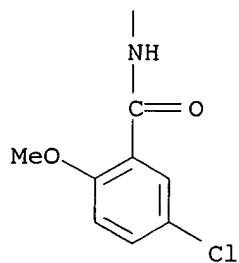
RN 58602-96-3 CAPLUS

CN Benzamide, 5-chloro-N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

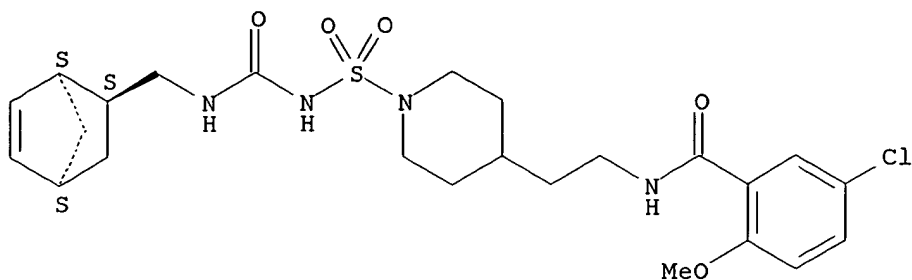


RN 58602-97-4 CAPLUS

CN Benzamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-5-chloro-2-methoxy-, endo- (9CI) (CA INDEX NAME)

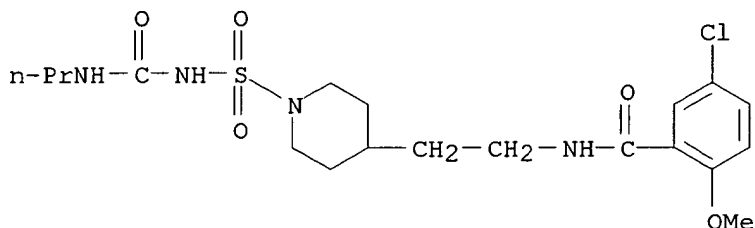
09/939,872

Relative stereochemistry.



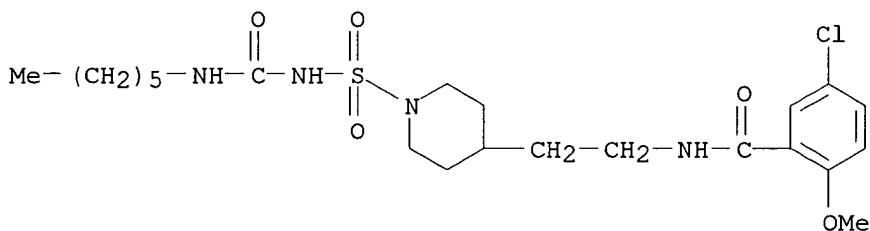
RN 58602-98-5 CAPLUS

CN Benzamide, 5-chloro-2-methoxy-N-[2-[1-[[[(propylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



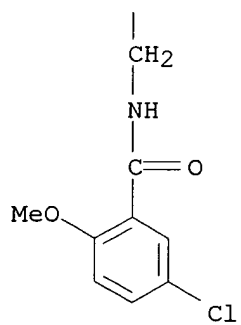
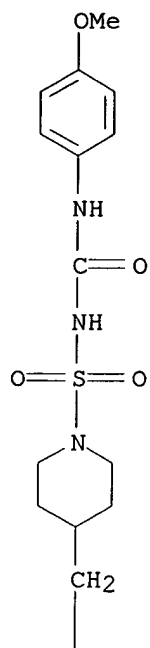
RN 58602-99-6 CAPLUS

CN Benzamide, 5-chloro-N-[2-[1-[[[(hexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy- (9CI) (CA INDEX NAME)

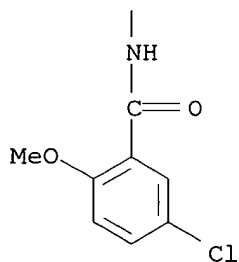
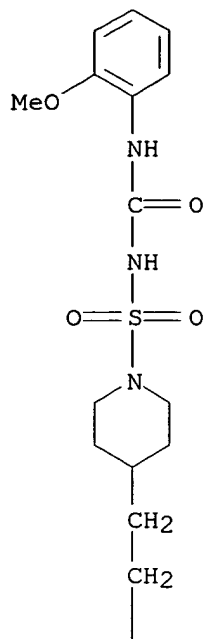


RN 58603-00-2 CAPLUS

CN Benzamide, 5-chloro-2-methoxy-N-[2-[1-[[[(4-methoxyphenyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

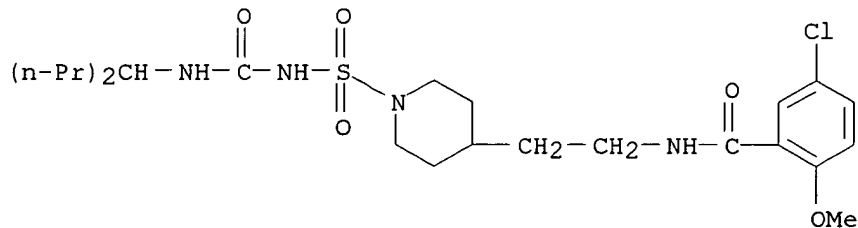


RN 58603-01-3 CAPLUS  
 CN Benzamide, 5-chloro-2-methoxy-N-[2-[1-[[[2-(4-methoxyphenyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 58603-02-4 CAPLUS

CN Benzamide, 5-chloro-2-methoxy-N-[2-[1-[[[(1-propylbutyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

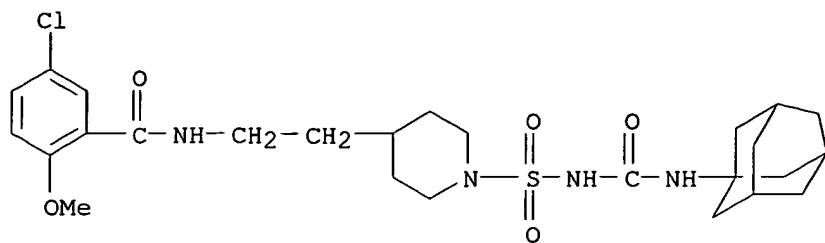


RN 58603-03-5 CAPLUS

CN Benzamide, 5-chloro-2-methoxy-N-[2-[1-[[[(tricyclo[3.3.1.1<sup>3,7</sup>]dec-1-ylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

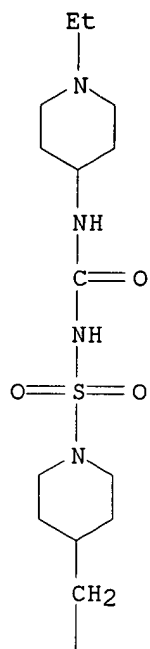


09/939,872

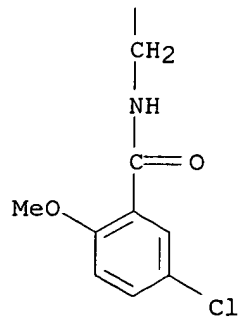


RN 58603-04-6 CAPLUS  
CN Benzamide, 5-chloro-N-[2-[1-[[[(1-ethyl-4-piperidiny]amino]carbonyl]amino]sulfonyl]-4-piperidiny]ethyl]-2-methoxy- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



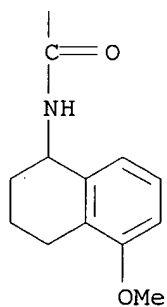
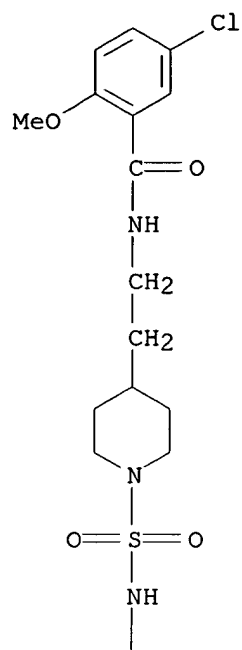
RN	58603-05-7	CAPLUS
CN	Benzamide, 5-chloro-2-methoxy-N-[2-[1-[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI)	
	(CA INDEX NAME)	

COC1=CC=C(C=C1C(=O)NCCCN2CCCCC2S(=O)(=O)NC(=O)N[C@H]3C[C@@H](S4C[C@H](C[C@H](C4)O3)R)R)R

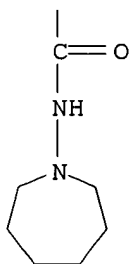
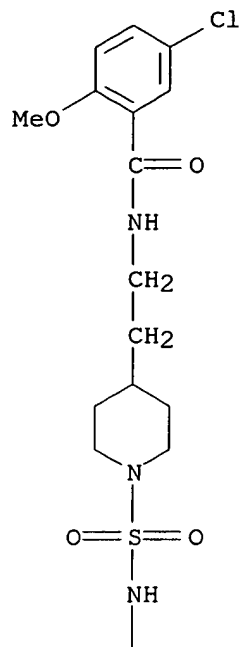
RN	58603-06-8	CAPLUS
CN	Benzamide, 5-chloro-2-methoxy-N-[2-[1-[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, exo- (9CI) (CA INDEX NAME)	

Clc1ccc(cc1OC)C(=O)NCCCN2CCCCN2S(=O)(=O)NC(=O)NCC3SCC(R)SC3

RN 58603-07-9 CAPLUS  
CN Benzamide, 5-chloro-2-methoxy-N-[2-[1-[[[(1,2,3,4-tetrahydro-5-methoxy-1-naphthalenyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI)  
(CA INDEX NAME)

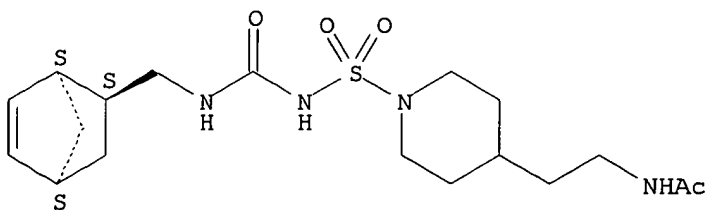


RN 58603-08-0 CAPLUS  
 CN Benzamide, 5-chloro-N-[2-[1-[[[4-(piperidin-1-yl)amino]carbonyl]amino]sulfonyl]-2-methoxyphenyl]amino]benzamide (9CI)  
 (CA INDEX NAME)



RN 58603-09-1 CAPLUS  
 CN Acetamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI)  
 (CA INDEX NAME)

Relative stereochemistry.



RN 58603-10-4 CAPLUS  
 CN Acetamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2,2,2-trifluoro- (9CI) (CA INDEX NAME)

FC(F)(F)C(=O)NCCN1CCCCC1NS(=O)(=O)NC(=O)N2CCCCC2

CN 5-Isoxazolecarboxamide, 3-chloro-N-[2-[1-[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI)  
(CA INDEX NAME)

Clc1cc(C(=O)NCCCN2CCCCC2NS(=O)(=O)NC(=O)N[C@H]3C[C@@H](S4C[C@H](C[C@H]4O)C[C@H]3R)C[C@H]5C[C@H](R)C[C@H](R)C5)cnc1O

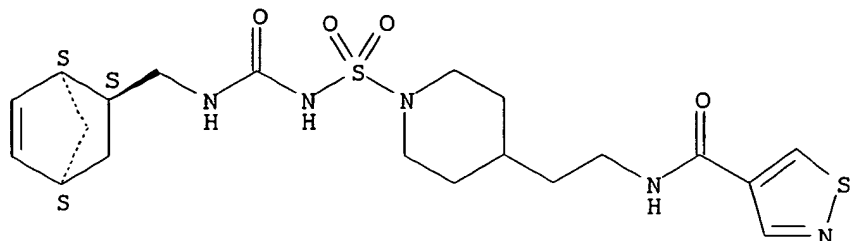
CN 5-Isoxazolecarboxamide, 3-bromo-N-[2-[1-[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino)sulfonyl]-4-piperidinyl]ethyl)-, endo- (9CI)  
(CA INDEX NAME)

BrC1=CN(C=C1C(=O)NCCC2CCCN2S(=O)(=O)NC(=O)N[C@H]3C[C@@H](S)C[C@H]3R)C(=O)N

CN 4-Isouthiazolecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino)sulfonyl]-4-piperidinyl]ethyl)-, endo- (9CI)  
(CA INDEX NAME)

Relative stereochemistry.

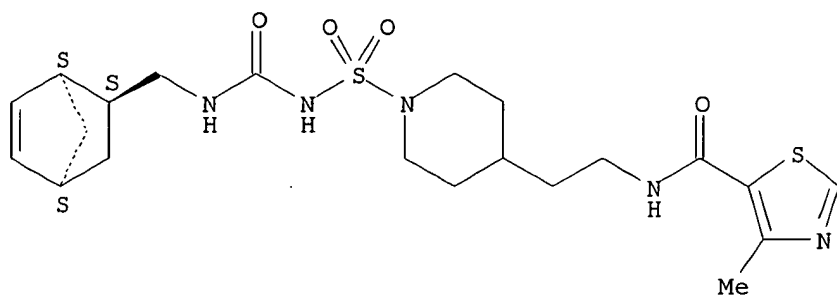
09/939,872



RN 58603-14-8 CAPLUS

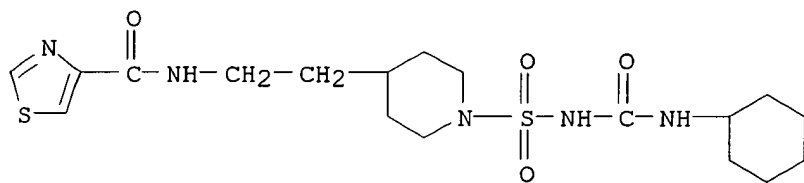
CN 5-Thiazolecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-4-methyl-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 58603-15-9 CAPLUS

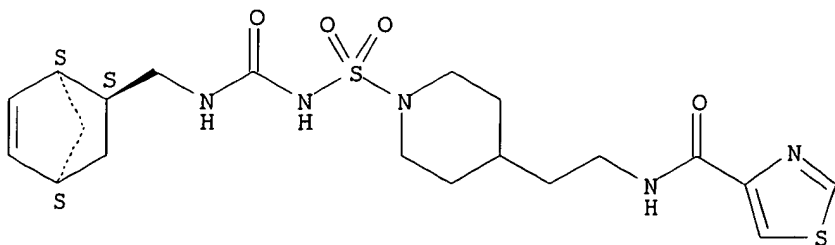
CN 4-Thiazolecarboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 58603-16-0 CAPLUS

CN 4-Thiazolecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI) (CA INDEX NAME)

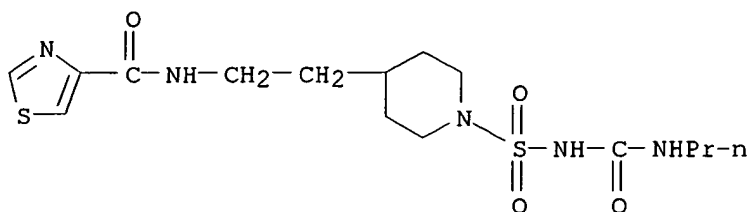
Relative stereochemistry.



09/939,872

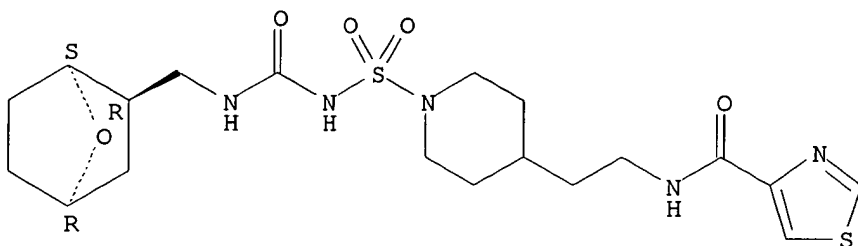
RN 58603-17-1 CAPLUS

CN 4-Thiazolecarboxamide, N-[2-[1-[[[(propylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



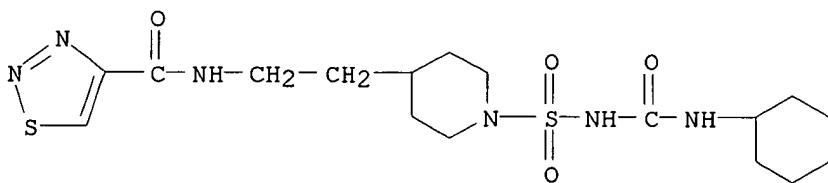
RN 58603-18-2 CAPLUS

CN 4-Thiazolecarboxamide, N-[2-[1-[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI) (CA INDEX NAME)



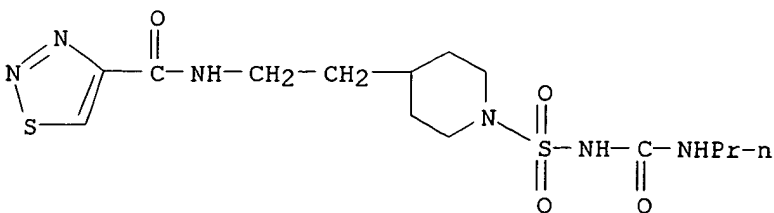
RN 58603-19-3 CAPLUS

CN 1,2,3-Thiadiazole-4-carboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 58603-20-6 CAPLUS

CN 1,2,3-Thiadiazole-4-carboxamide, N-[2-[1-[[[(propylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

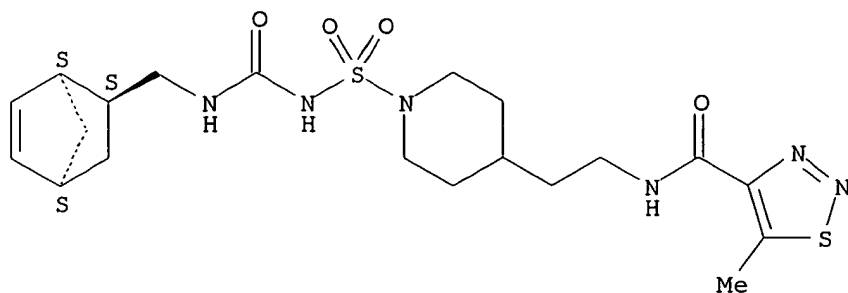


09/939,872

RN 58603-21-7 CAPLUS

CN 1,2,3-Thiadiazole-4-carboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-5-methyl-, endo- (9CI) (CA INDEX NAME)

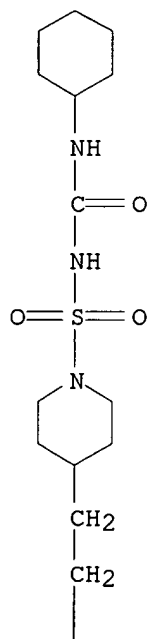
Relative stereochemistry.



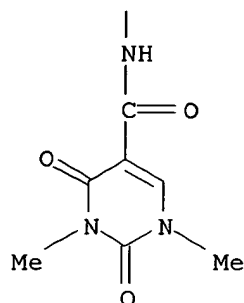
RN 58603-22-8 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo- (9CI) (CA INDEX NAME)

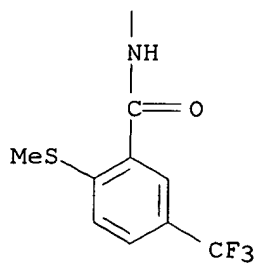
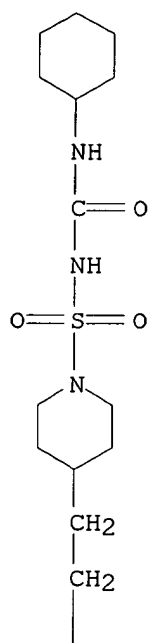
PAGE 1-A







RN 58603-23-9 CAPLUS  
 CN Benzamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-(methylthio)-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

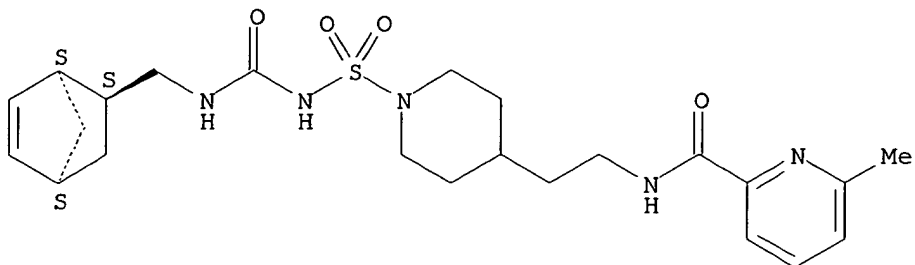


09/939,872

RN 58603-24-0 CAPLUS

CN 2-Pyridinecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-6-methyl-, endo- (9CI) (CA INDEX NAME)

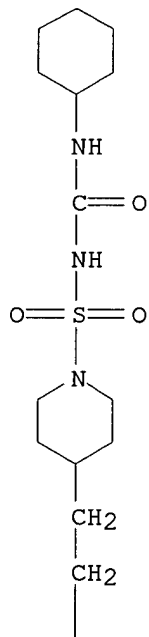
Relative stereochemistry.

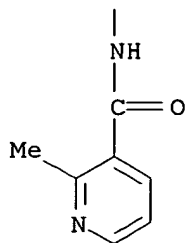


RN 58603-25-1 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methyl- (9CI) (CA INDEX NAME)

PAGE 1-A

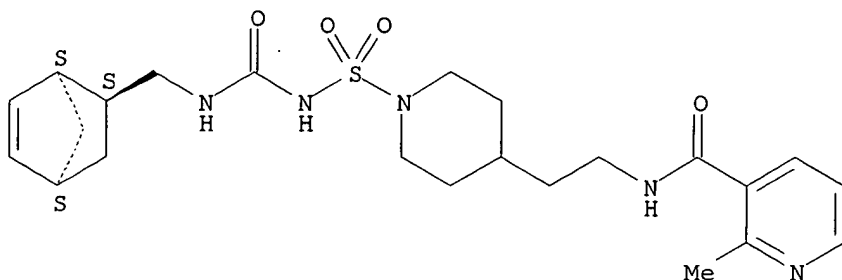




RN 58603-26-2 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methyl-, endo- (9CI) (CA INDEX NAME)

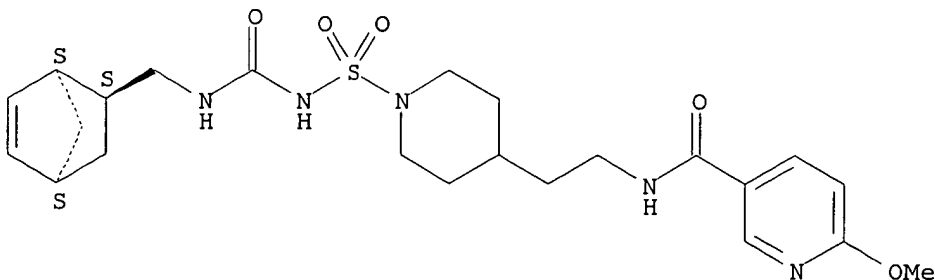
Relative stereochemistry.



RN 58603-27-3 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-6-methoxy-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

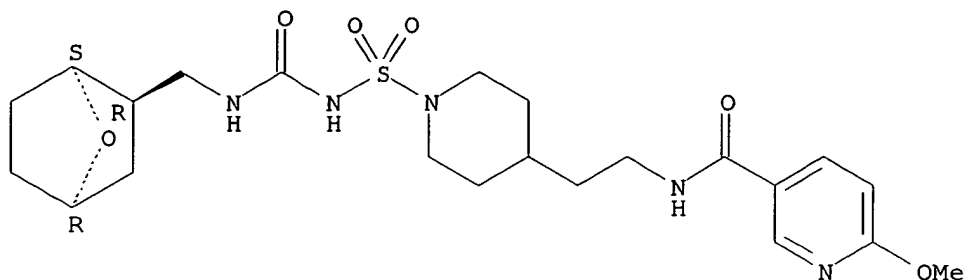


RN 58603-28-4 CAPLUS

CN 3-Pyridinecarboxamide, 6-methoxy-N-[2-[1-[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

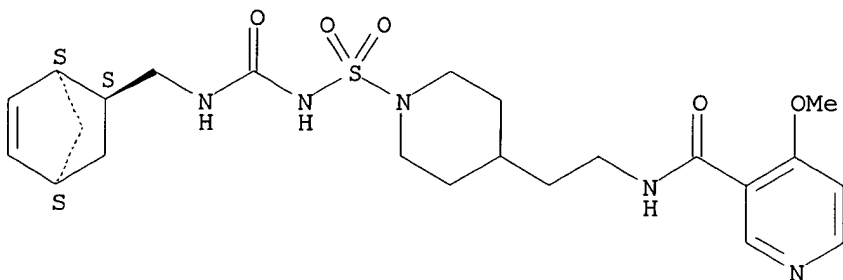
09/939,872



RN 58603-29-5 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-4-methoxy-, endo- (9CI) (CA INDEX NAME)

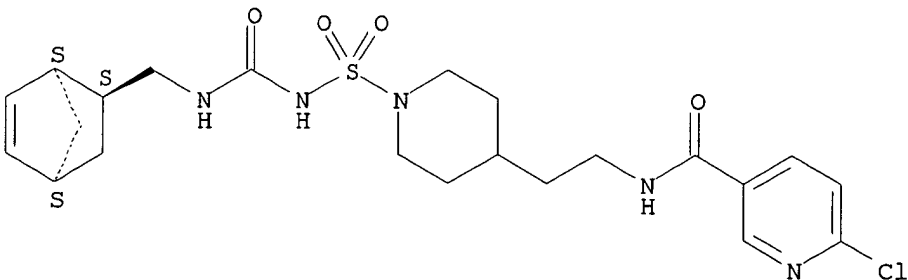
Relative stereochemistry.



RN 58603-30-8 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-6-chloro-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

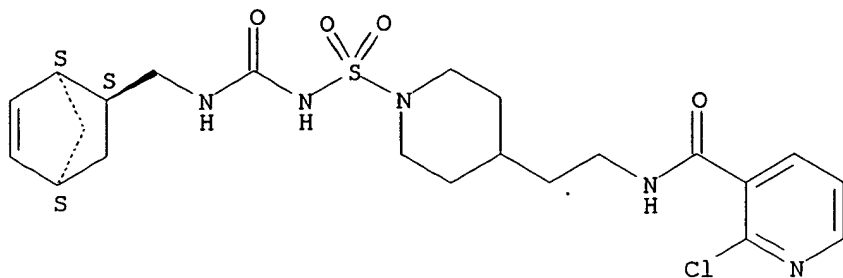


RN 58603-31-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-chloro-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

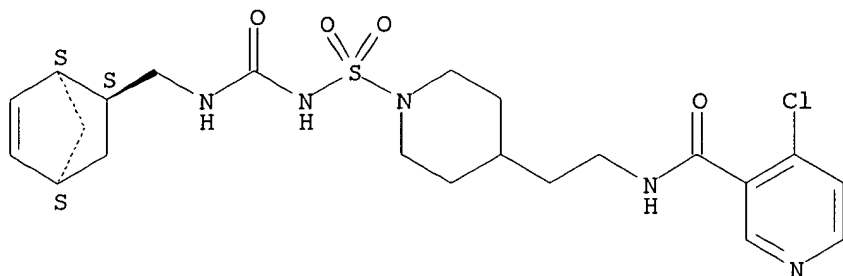
09/939,872



RN 58603-32-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidiny]ethyl]-4-chloro-, endo- (9CI) (CA INDEX NAME)

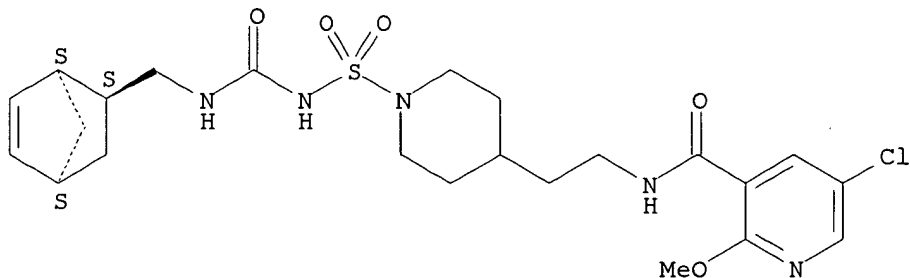
Relative stereochemistry.



RN 58603-33-1 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidiny]ethyl]-5-chloro-2-methoxy-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

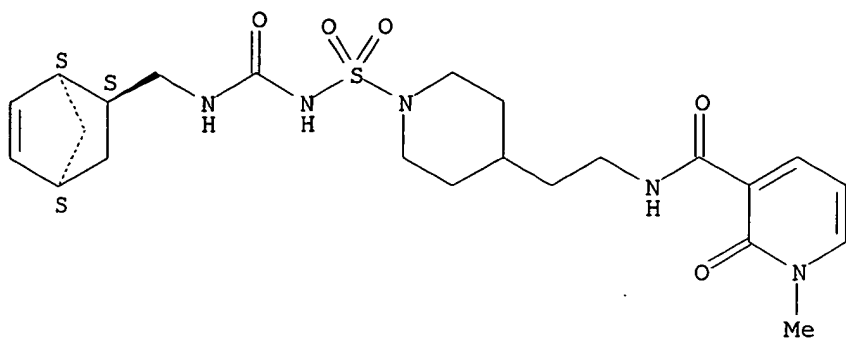


RN 58603-34-2 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidiny]ethyl]-1,2-dihydro-1-methyl-2-oxo-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

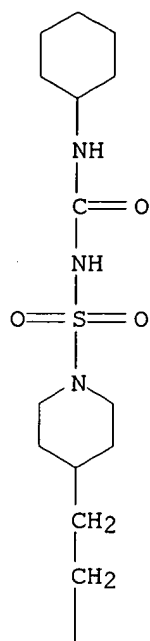
09/939,872

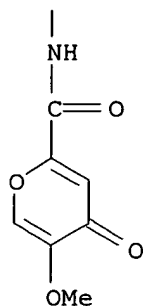


RN 58603-35-3 CAPLUS

CN 4H-Pyran-2-carboxamide, N-[2-[1-[[[(cyclohexylamino) carbonyl] amino] sulfonyl]-4-piperidinyl]ethyl]-5-methoxy-4-oxo- (9CI) (CA INDEX NAME)

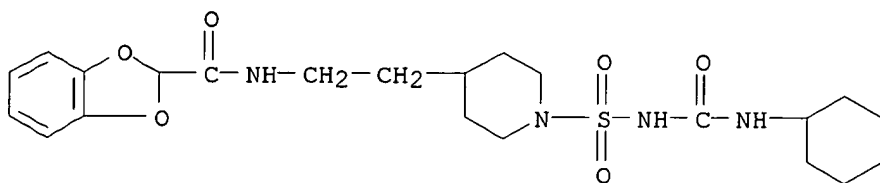
PAGE 1-A





RN 58603-36-4 CAPLUS

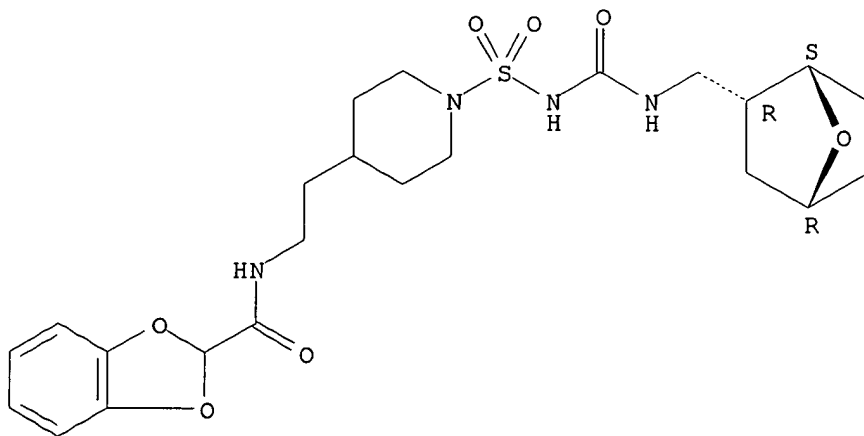
CN 1,3-Benzodioxole-2-carboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 58603-37-5 CAPLUS

CN 1,3-Benzodioxole-2-carboxamide, N-[2-[1-[[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI) (CA INDEX NAME)

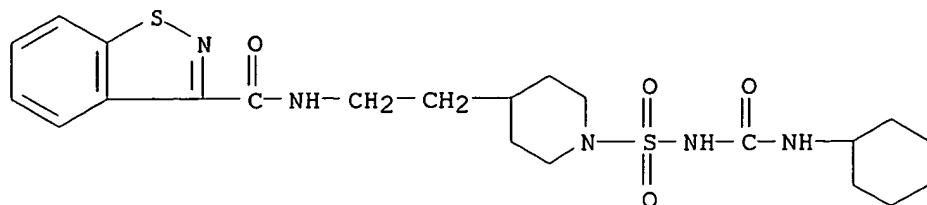
Relative stereochemistry.



RN 58603-38-6 CAPLUS

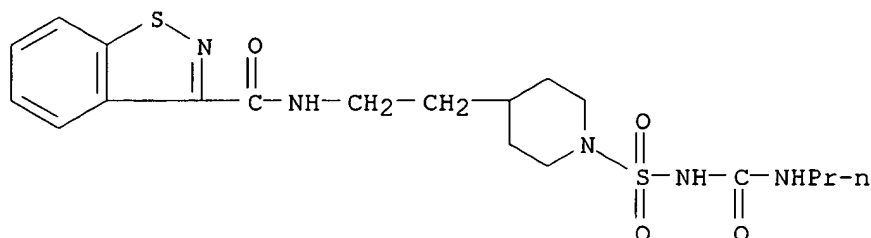
CN 1,2-Benzisothiazole-3-carboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

09/939,872



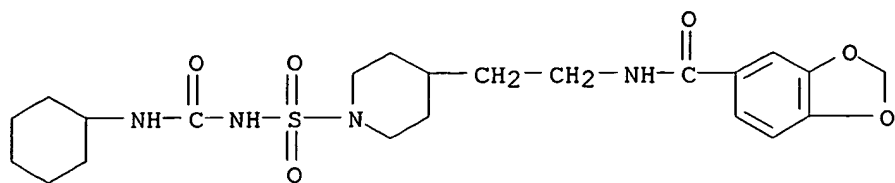
RN 58603-39-7 CAPLUS

CN 1,2-Benzisothiazole-3-carboxamide, N-[2-[1-[[[(propylamino) carbonyl] amino] sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



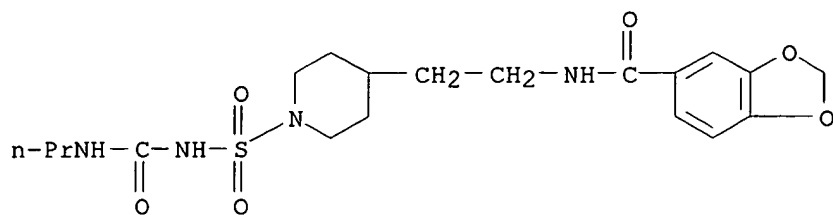


09/939,872



RN 58603-43-3 CAPLUS

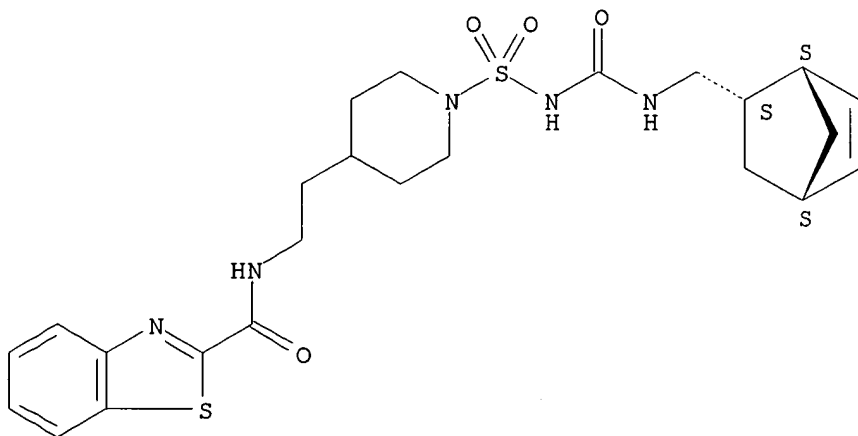
CN 1,3-Benzodioxole-5-carboxamide, N-[2-[1-[[[(propylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 58603-44-4 CAPLUS

CN 2-Benzothiazolecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

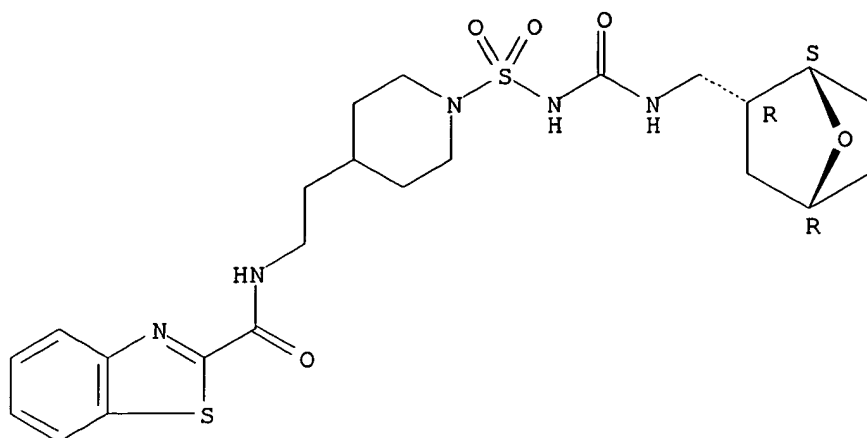


RN 58603-45-5 CAPLUS

CN 2-Benzothiazolecarboxamide, N-[2-[1-[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI) (CA INDEX NAME)

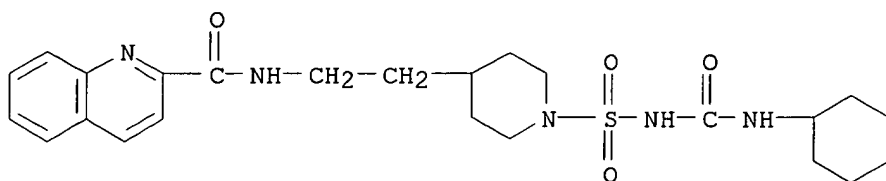
Relative stereochemistry.

09/939,872



RN 58603-46-6 CAPLUS

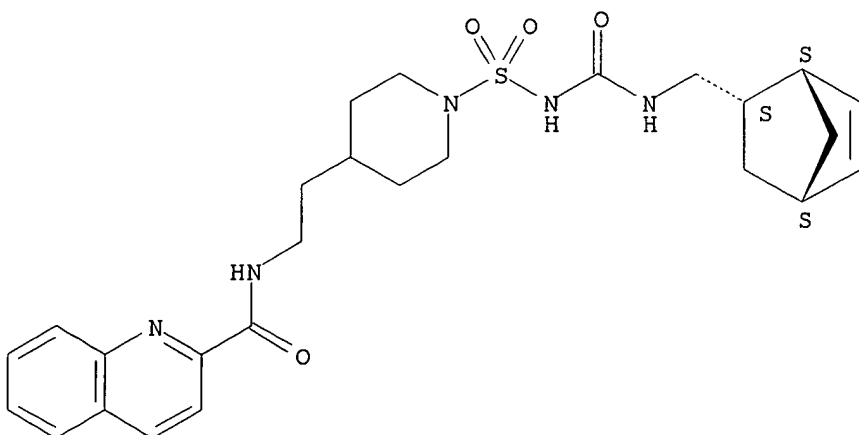
CN 2-Quinolinecarboxamide, N-[2-[1-[[[(cyclohexylamino) carbonyl] amino] sulfonyl] 1]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 58603-47-7 CAPLUS

CN 2-Quinolinecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl) amino] carbonyl] amino] sulfonyl] 1]-4-piperidinyl]ethyl]-, endo- (9CI) (CA INDEX NAME)

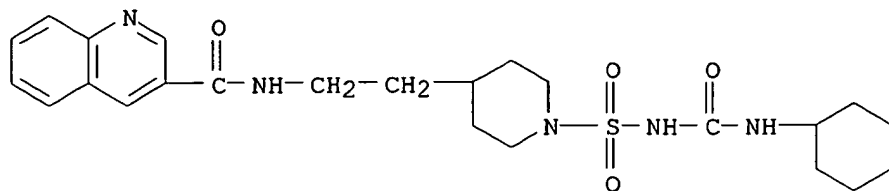
Relative stereochemistry.



RN 58603-48-8 CAPLUS

CN 3-Quinolinecarboxamide, N-[2-[1-[[[(cyclohexylamino) carbonyl] amino] sulfonyl] 1]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

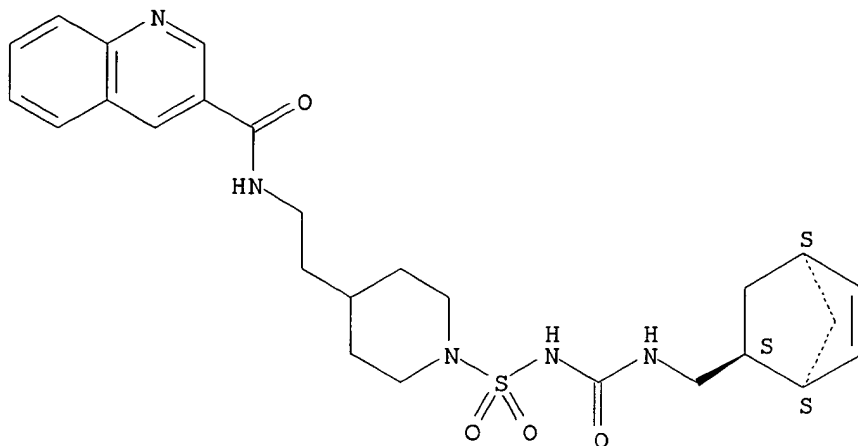
09/939,872



RN 58603-49-9 CAPLUS

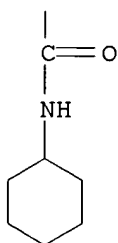
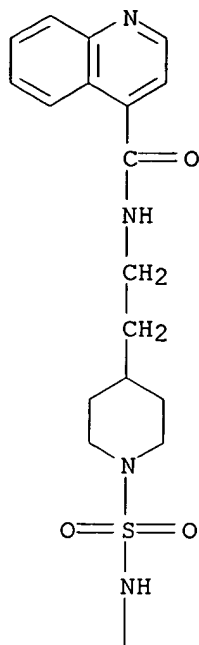
CN 3-Quinolinecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI)  
(CA INDEX NAME)

Relative stereochemistry.



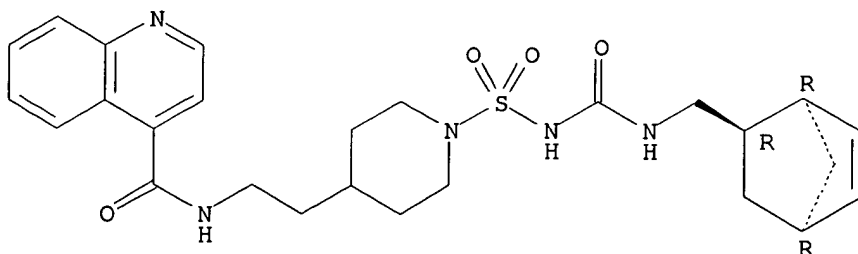
RN 58603-50-2 CAPLUS

CN 4-Quinolinecarboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 58603-51-3 CAPLUS  
 CN 4-Quinolinecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI)  
 (CA INDEX NAME)

Relative stereochemistry.

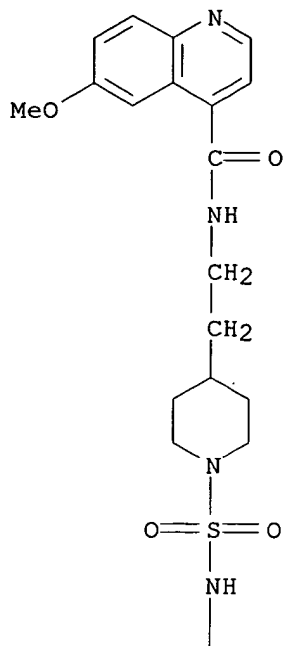


RN 58603-52-4 CAPLUS

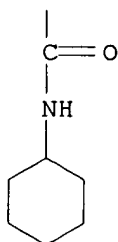
09/939,872

CN 4-Quinolinecarboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-6-methoxy- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

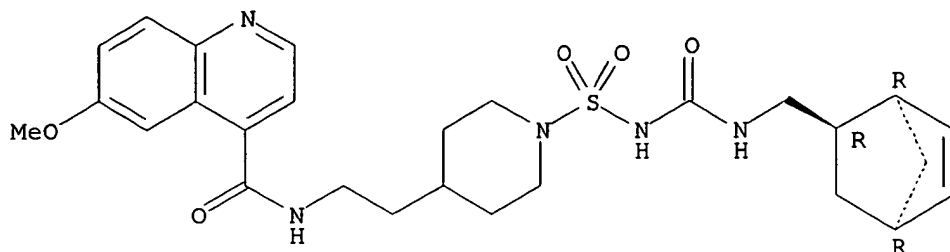


RN 58603-53-5 CAPLUS

CN 4-Quinolinecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-6-methoxy-, endo- (9CI) (CA INDEX NAME)

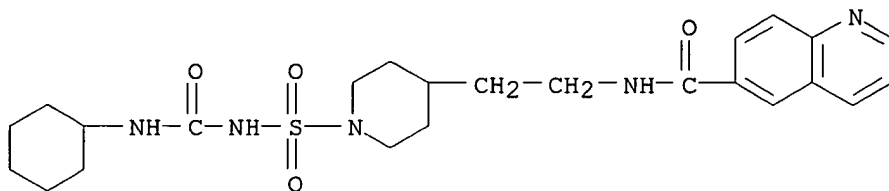
Relative stereochemistry.

09/939, 872



RN 58603-54-6 CAPLUS

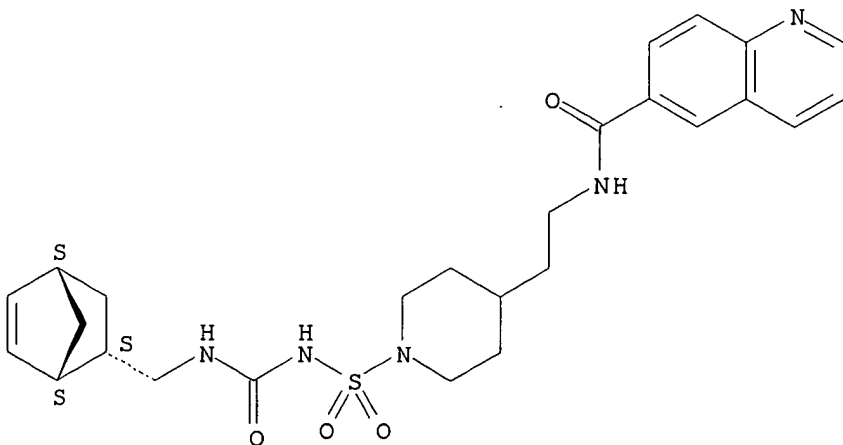
CN 6-Quinolinecarboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 58603-55-7 CAPLUS

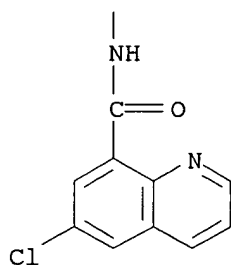
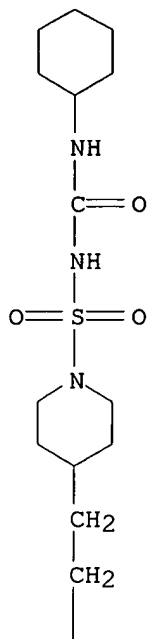
CN 6-Quinolinecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 58603-56-8 CAPLUS

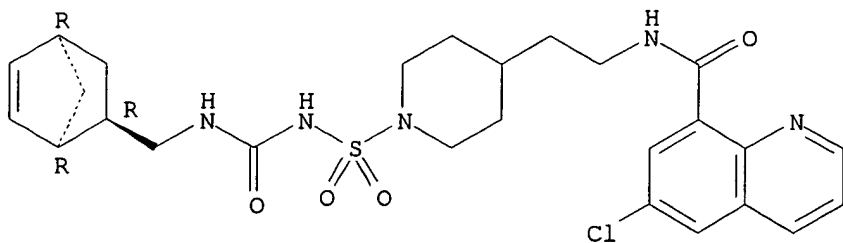
CN 8-Quinolinecarboxamide, 6-chloro-N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 58603-57-9 CAPLUS

CN 8-Quinolinecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-6-chloro-, endo- (9CI) (CA INDEX NAME)

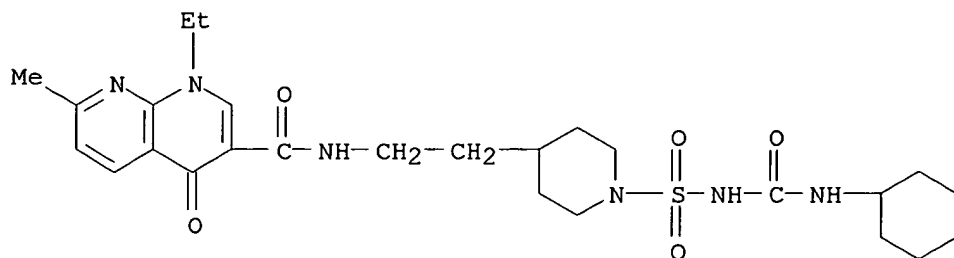
Relative stereochemistry.



RN 58603-58-0 CAPLUS

09/939,872

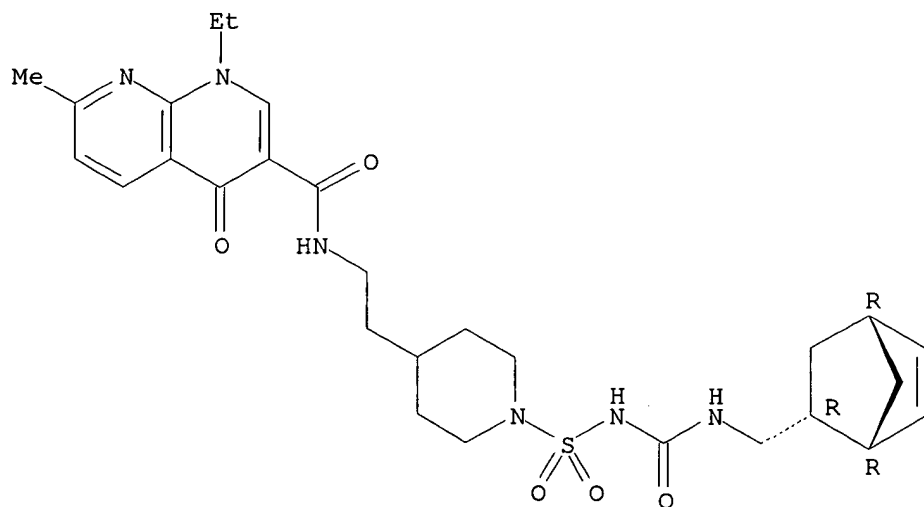
CN 1,8-Naphthyridine-3-carboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1-ethyl-1,4-dihydro-7-methyl-4-oxo- (9CI)  
(CA INDEX NAME)



RN 58603-59-1 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1-ethyl-1,4-dihydro-7-methyl-4-oxo-, endo- (9CI) (CA INDEX NAME)

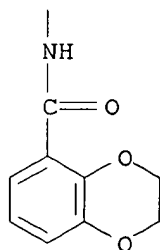
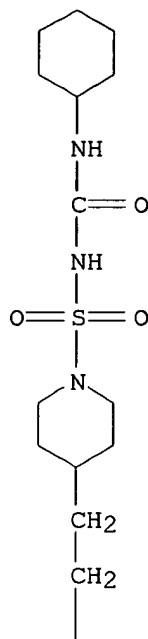
Relative stereochemistry.



RN 58603-60-4 CAPLUS

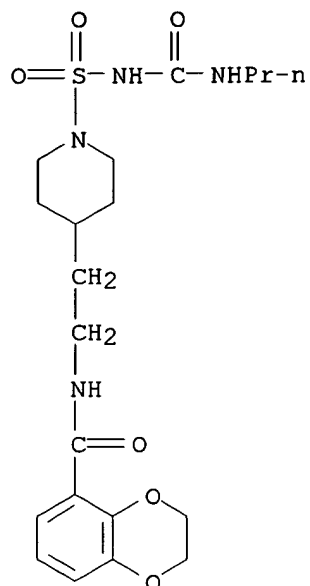
CN 1,4-Benzodioxin-5-carboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2,3-dihydro- (9CI) (CA INDEX NAME)





RN 58603-61-5 CAPLUS  
 CN 1,4-Benzodioxin-5-carboxamide, 2,3-dihydro-N-[2-[1-  
 [[[propylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA  
 INDEX NAME)

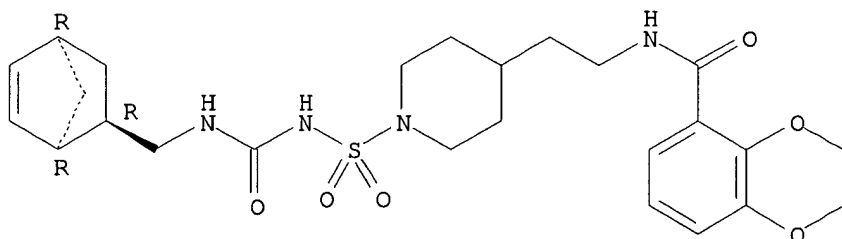
09/939,872



RN 58603-62-6 CAPLUS

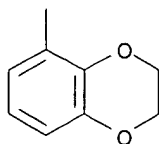
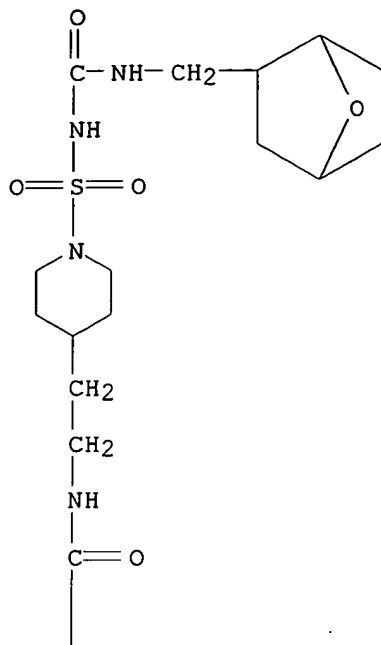
CN 1,4-Benzodioxin-5-carboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2,3-dihydro-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

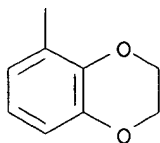
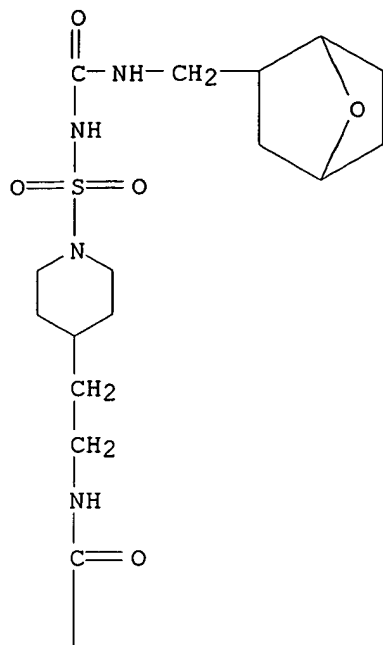


RN 58603-63-7 CAPLUS

CN 1,4-Benzodioxin-5-carboxamide, 2,3-dihydro-5-[2-[1-[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI) (CA INDEX NAME)



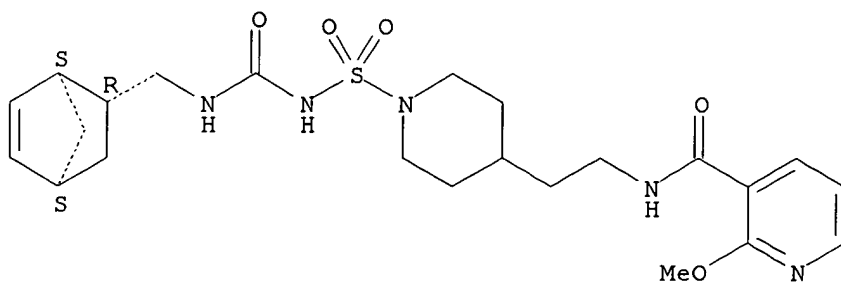
RN 58603-64-8 CAPLUS  
 CN 1,4-Benzodioxin-5-carboxamide, 2,3-dihydro-5-[2-[1-[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, exo- (9CI) (CA INDEX NAME)



RN 58603-65-9 CAPLUS

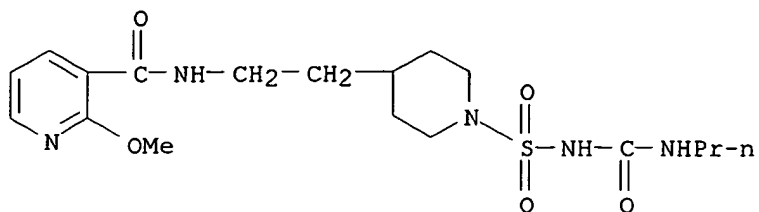
CN 3-Pyridinecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-,  
exo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



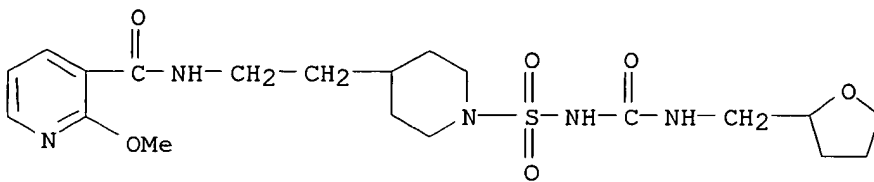
RN 58603-66-0 CAPLUS

CN 3-Pyridinecarboxamide, 2-methoxy-N-[2-[1-[[[(propylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 58603-67-1 CAPLUS

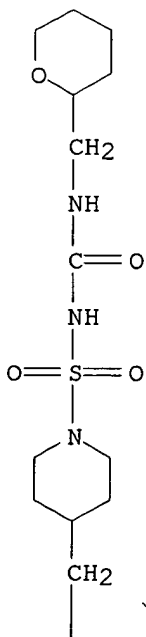
CN 3-Pyridinecarboxamide, 2-methoxy-N-[2-[1-[[[4-(4-piperidinylethyl)phenyl]methyl]amino]carbonyl]amino]sulfonyl]-4-piperidinylethyl]- (9CI)  
(CA INDEX NAME)

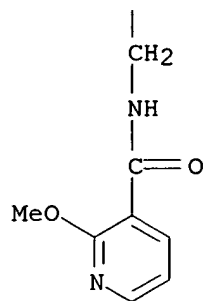


RN 58603-68-2 CAPLUS

CN 3-Pyridinecarboxamide, 2-methoxy-N-[2-[1-[[[4-(4-piperidinylethyl)phenyl]methyl]amino]carbonyl]amino]sulfonyl]-4-piperidinylethyl]- (9CI) (CA INDEX NAME)

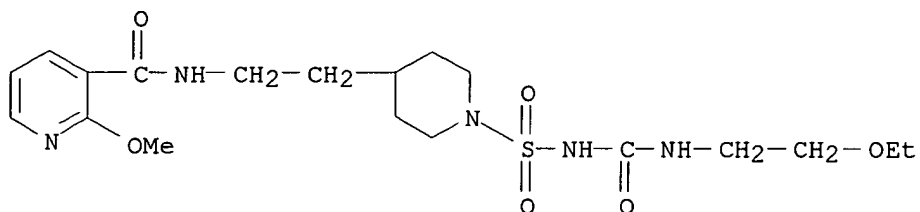
PAGE 1-A





RN 58603-69-3 CAPLUS

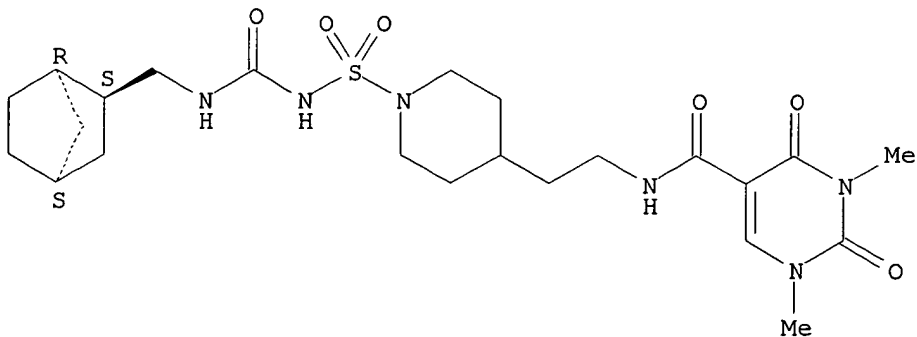
CN 3-Pyridinecarboxamide, N-[2-[1-[[[(2-ethoxyethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy- (9CI) (CA INDEX NAME)



RN 58603-70-6 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo-, endo- (9CI) (CA INDEX NAME)

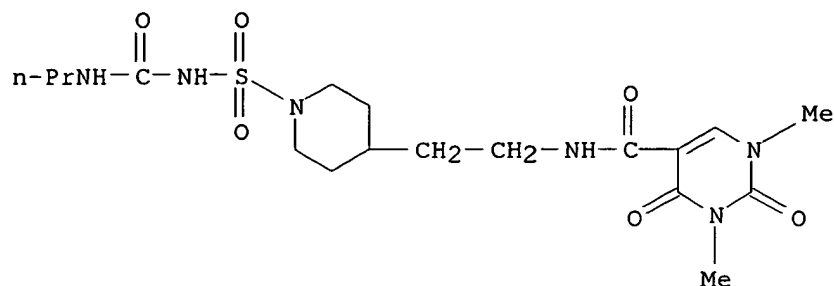
Relative stereochemistry.



RN 58603-71-7 CAPLUS

CN 5-Pyrimidinecarboxamide, 1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo-N-[2-[1-[[[(propylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

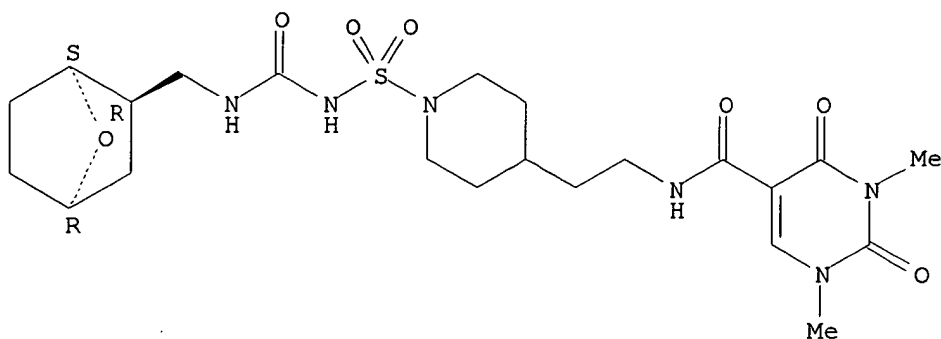
09/939,872



RN 58603-72-8 CAPLUS

CN 5-Pyrimidinecarboxamide, 1,2,3,4-tetrahydro-1,3-dimethyl-N-[2-[1-[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2,4-dioxo-, endo- (9CI) (CA INDEX NAME)

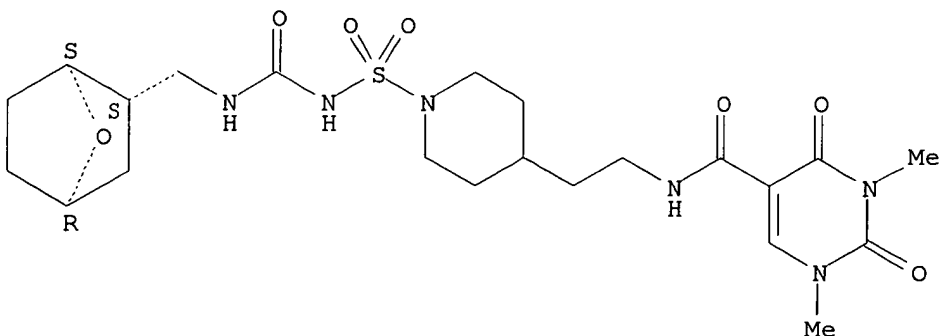
Relative stereochemistry.



RN 58603-73-9 CAPLUS

CN 5-Pyrimidinecarboxamide, 1,2,3,4-tetrahydro-1,3-dimethyl-N-[2-[1-[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2,4-dioxo-, exo- (9CI) (CA INDEX NAME)

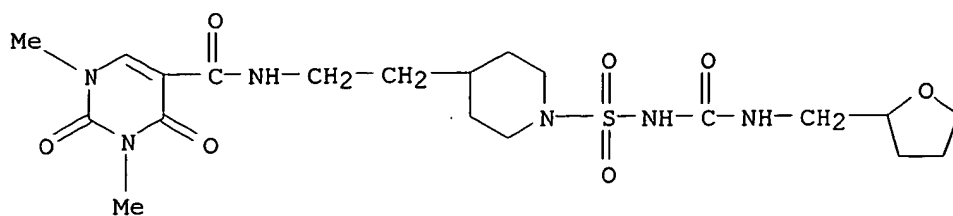
Relative stereochemistry.



RN 58603-74-0 CAPLUS

CN 5-Pyrimidinecarboxamide, 1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo-N-[2-[1-[[[(tetrahydro-2-furanyl)methyl]amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

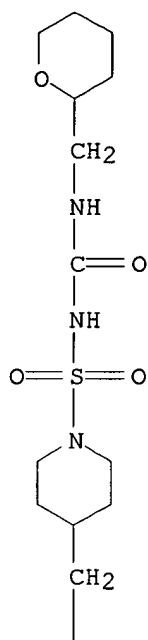
09/939,872



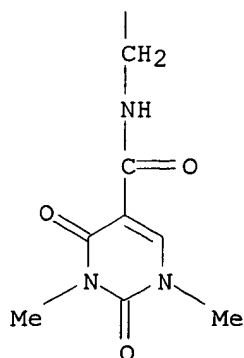
RN 58603-75-1 CAPLUS

CN 5-Pyrimidinecarboxamide, 1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo-N-[2-[1-[[[[(tetrahydro-2H-pyran-2-yl)methyl]amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

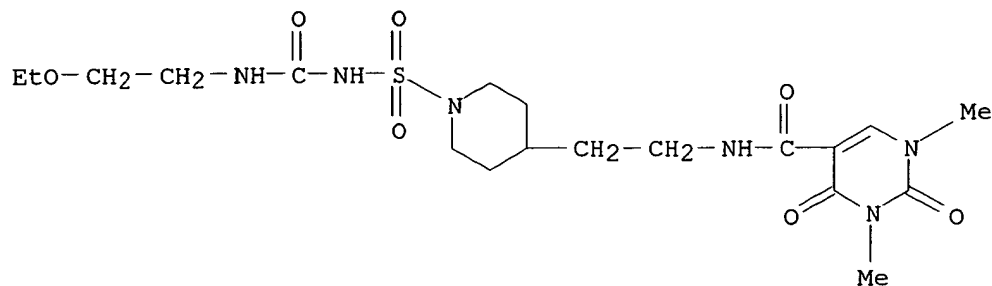


RN 58603-76-2 CAPLUS



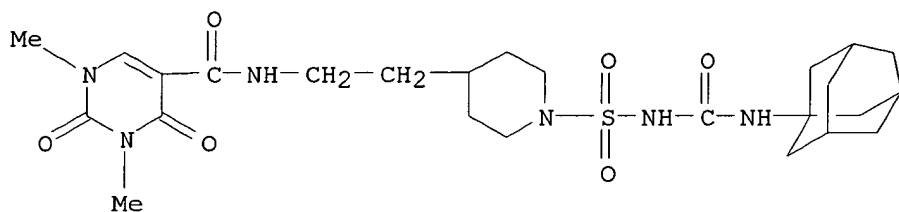
09/939,872

CN 5-Pyrimidinecarboxamide, N-[2-[1-[[[(2-ethoxyethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo- (9CI) (CA INDEX NAME)



RN 58603-77-3 CAPLUS

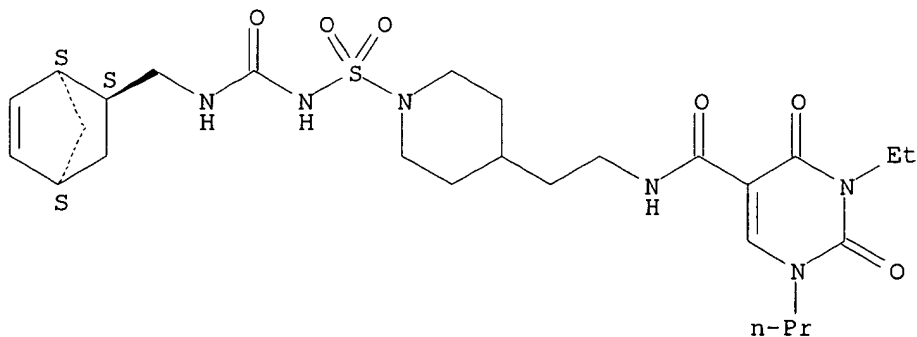
CN 5-Pyrimidinecarboxamide, 1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo-N-[2-[1-[[[(tricyclo[3.3.1.1<sup>3,7</sup>]dec-1-ylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 58603-78-4 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-3-ethyl-1,2,3,4-tetrahydro-2,4-dioxo-1-propyl-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

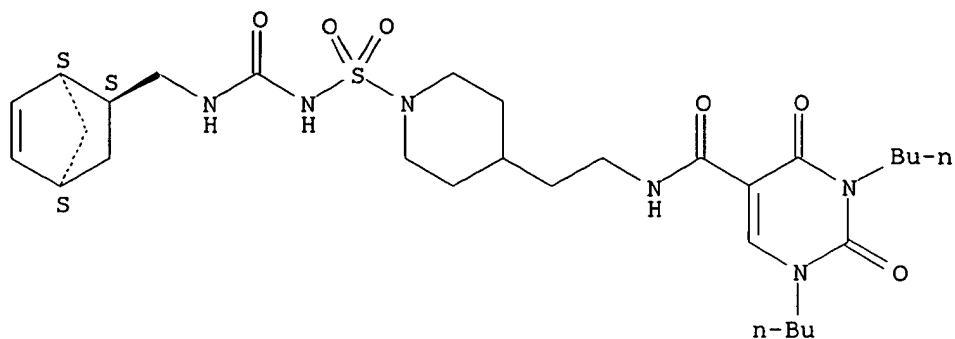


RN 58603-79-5 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-1,3-dibutyl-1,2,3,4-tetrahydro-2,4-dioxo-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

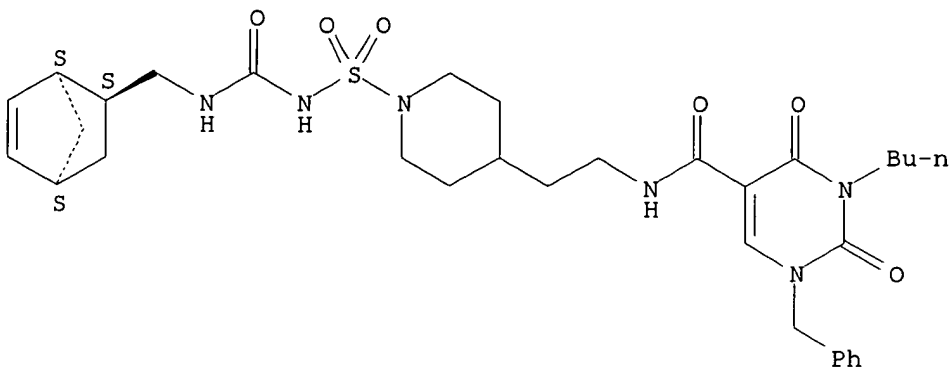
09/939,872



RN 58603-80-8 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-3-butyl-1,2,3,4-tetrahydro-2,4-dioxo-1-(phenylmethyl)-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

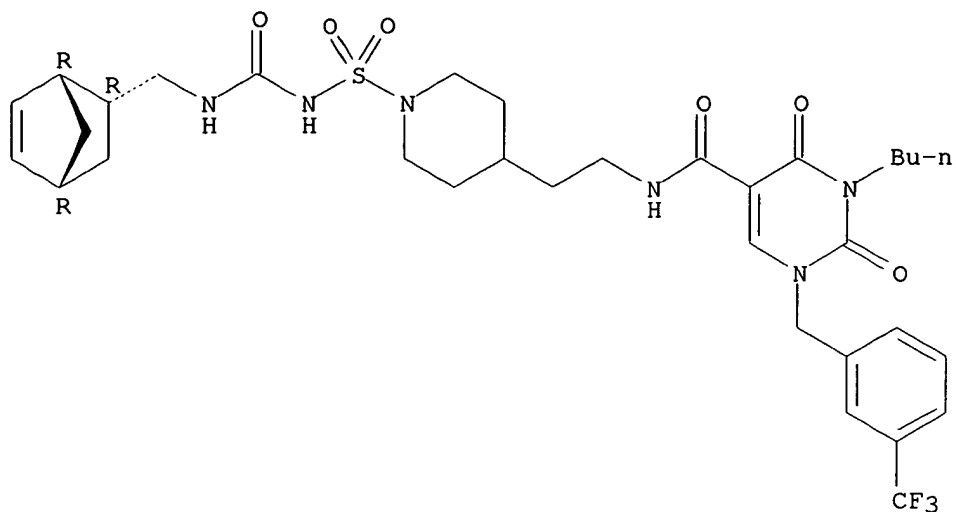


RN 58603-81-9 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-3-butyl-1,2,3,4-tetrahydro-2,4-dioxo-1-[[3-(trifluoromethyl)phenyl]methyl]-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

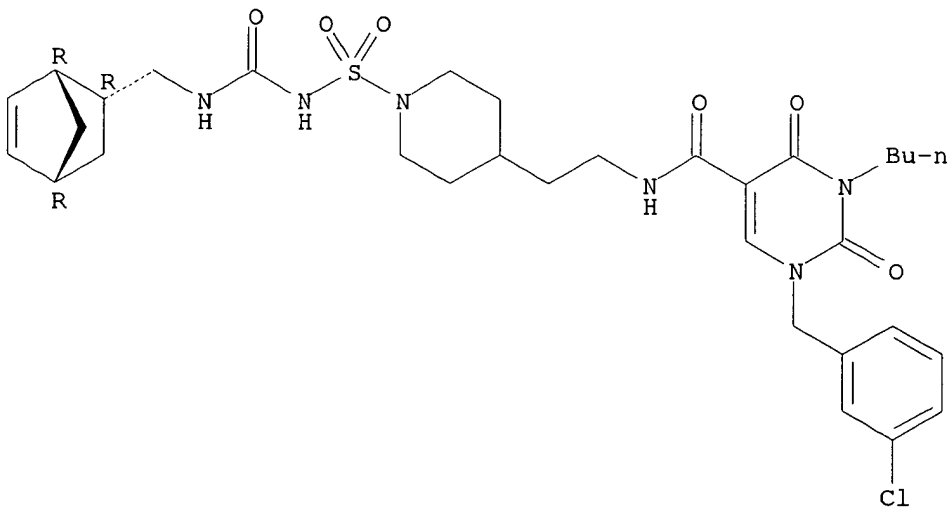
09/939,872



RN 58603-82-0 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-3-butyl-1-[(3-chlorophenyl)methyl]-1,2,3,4-tetrahydro-2,4-dioxo-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

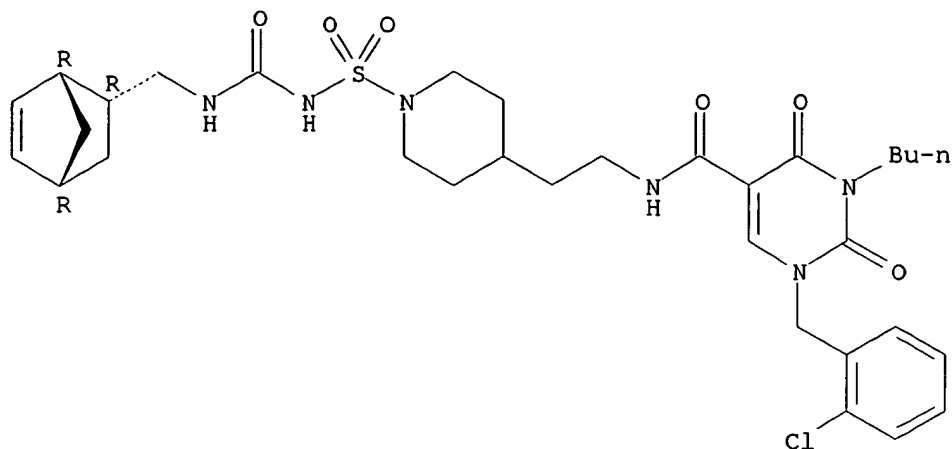


RN 58603-83-1 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-3-butyl-1-[(2-chlorophenyl)methyl]-1,2,3,4-tetrahydro-2,4-dioxo-, endo- (9CI) (CA INDEX NAME)

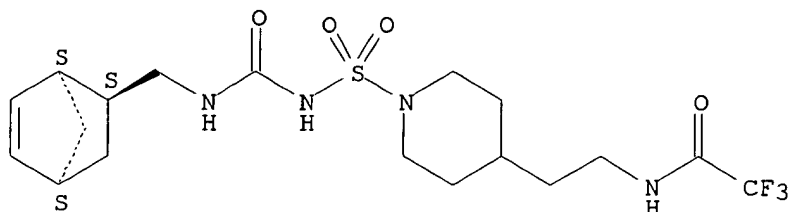
Relative stereochemistry.

09/939,872

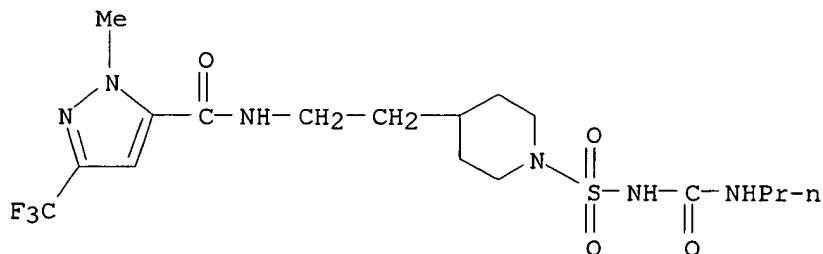


RN 58636-12-7 CAPLUS  
CN Acetamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2,2,2-trifluoro-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 58636-13-8 CAPLUS  
CN 1H-Pyrazole-5-carboxamide, 1-methyl-N-[2-[1-[[[(propylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 39 OF 41 CAPLUS COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 1976:135485 CAPLUS  
DOCUMENT NUMBER: 84:135485  
TITLE: 4-(2-Pyridylamido ethyl)piperidines  
INVENTOR(S): Barth, Wayne E.; Kuhla, Donald E.  
PATENT ASSIGNEE(S): Pfizer Inc., USA  
SOURCE: U.S., 7 pp.

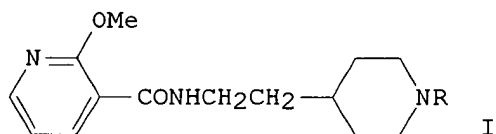
09/939,872

CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3933830	A	19760120	US 1974-504826	19740910
GB 1475428	A	19770601	GB 1975-30698	19750722
NL 7510320	A	19760312	NL 1975-10320	19750902
BE 833008	A1	19760303	BE 1975-1006859	19750903
DK 7503953	A	19760311	DK 1975-3953	19750903
FR 2287447	A1	19760507	FR 1975-27050	19750903
DE 2539364	A1	19760325	DE 1975-2539364	19750904
DE 2539364	C3	19790809		
DE 2539364	B2	19781130		
JP 51054568	A2	19760513	JP 1975-107490	19750904
JP 54034737	B4	19791029		
US 3992388	A	19761116	US 1975-623351	19751017
			US 1974-504826	19740910

PRIORITY APPLN. INFO.:

GI



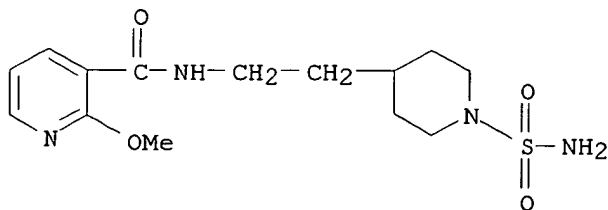
AB Piperidine (I, R = H) (II) was prepd. by acylating 4-(2-aminoethyl)pyridine with 2-methoxynicotinoyl chloride, and hydrogenating the resultant nicotinamide (III) in MeOH contg. aq. HCl under 80 psi pressure using PtO<sub>2</sub> catalysts. Alternatively III was quaternized with PhCH<sub>2</sub>Br to 1-benzyl-4-[2-(2-methoxynicotinamido)ethyl]pyridinium bromide, which was reduced with H in combination with NaBH<sub>4</sub> to give II. II was heated with sulfamide in pyridine at reflux and the product (I, R = NH<sub>2</sub>SO<sub>2</sub>) was converted to hypoglycemic (no data) 1-(bicyclo[2.2.1]hept-5-en-2-yl-endo-methyl)-3-[4-[2-(2-methoxynicotinamido)ethyl]piperidinosulfonyl]urea Na salt.

IT **53750-69-9P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and conversion of, to urea deriv.)

RN 53750-69-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-2-methoxy- (9CI) (CA INDEX NAME)

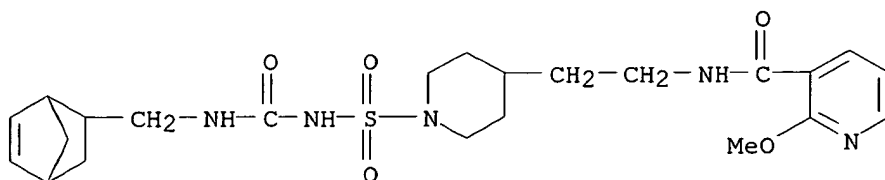


IT **58804-15-2P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 58804-15-2 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-(9CI) (CA INDEX NAME)



L4 ANSWER 40 OF 41 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1976:43868 CAPLUS

DOCUMENT NUMBER: 84:43868

TITLE: Piperidinylsulfonylurea derivatives for lowering blood sugar levels

INVENTOR(S): Evanega, George R.; Kuhla, Donald E.; Sarges, Reinhard

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: U.S., 10 pp. Division of U.S. 3,829,434.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3914426	A	19751021	US 1974-464331	19740426
US 3829434	A	19740813	US 1972-305594	19721110
GB 1414031	A	19751112	GB 1973-4464	19730129
GB 1414032	A	19751112	GB 1974-21414	19730129
AU 7361904	A1	19750501	AU 1973-61904	19731026
NL 7315133	A	19740514	NL 1973-15133	19731105
NL 171707	B	19821201		
NL 171707	C	19830502		
DE 2366237	C2	19820401	DE 1973-2366237	19731106
JP 49133378	A2	19741221	JP 1973-125054	19731108
JP 56002556	B4	19810120		
AT 7309411	A	19770915	AT 1973-9411	19731108
BE 807097	A1	19740509	BE 1973-1005494	19731109
FR 2206095	A1	19740607	FR 1973-39906	19731109
ES 420412	A1	19760416	ES 1973-420412	19731109
SE 389672	B	19761115	SE 1973-15247	19731109
DK 138390	C	19790205	DK 1973-6061	19731109
DK 138390	B	19780828		
IN 140590	A	19761204	IN 1973-CA2617	19731128
US 3987172	A	19761019	US 1975-598746	19750725
PRIORITY APPLN. INFO.:			US 1972-305594	19721110
			US 1974-464331	19740426

GI For diagram(s), see printed CA Issue.

AB Ureas I (R = 2-methoxy-3-pyridyl, 4-chloro-2-pyridyl, 8-quinolyl; R1 = bicyclo[2.2.1]hept-5-en-2-ylmethyl, bicyclo[2.2.1]hept-2-ylmethyl, cyclohexyl, 1-adamantyl, 7-oxabicyclo[2.2.1]hept-2-ylmethyl) were prepd. by treating 4-aminoethylpiperidinesulfonamide (II) with RCOCl and R1NHCONPh2. II was prepd. by reducing 4-phthalimidoethylpyridine,

09/939,872

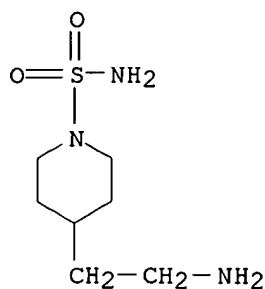
treating with  $\text{SO}_2(\text{NH}_2)_2$ , and cleavage of the imide group. At 5 mg/kg i.p. in rats I gave 23-38% decrease in blood sugar level.

IT **53750-64-4P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and acylation of)

RN 53750-64-4 CAPLUS

CN 1-Piperidinesulfonamide, 4-(2-aminoethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT **53750-72-4P 53750-73-5P 53750-74-6P**

**53750-75-7P 53750-76-8P 53750-77-9P**

**53751-32-9P 53751-33-0P**

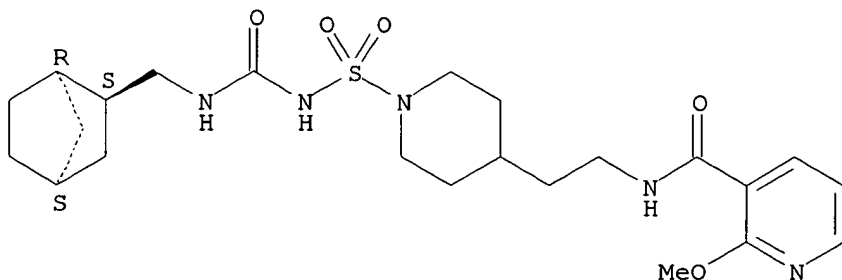
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. and antidiabetic activity of)

RN 53750-72-4 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

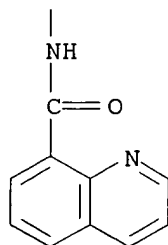
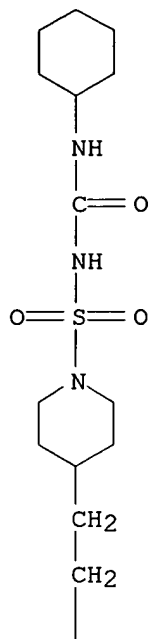


RN 53750-73-5 CAPLUS

CN 3-Pyridinecarboxamide, 2-methoxy-N-[2-[1-[[[(tricyclo[3.3.1.1<sup>3,7</sup>]dec-1-ylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

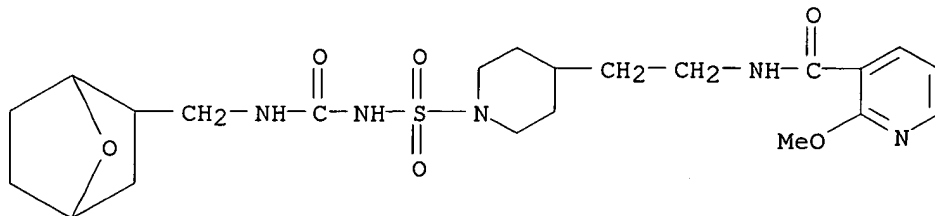






RN 53750-76-8 CAPLUS

CN 3-Pyridinecarboxamide, 2-methoxy-N-[2-[1-[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl) amino] carbonyl] amino] sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI)  
(CA INDEX NAME)

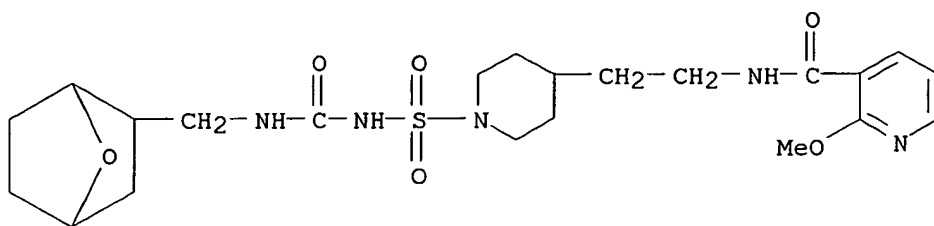


RN 53750-77-9 CAPLUS

CN 3-Pyridinecarboxamide, 2-methoxy-N-[2-[1-[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl) amino] carbonyl] amino] sulfonyl]-4-piperidinyl]ethyl]-, exo- (9CI)

09/939,872

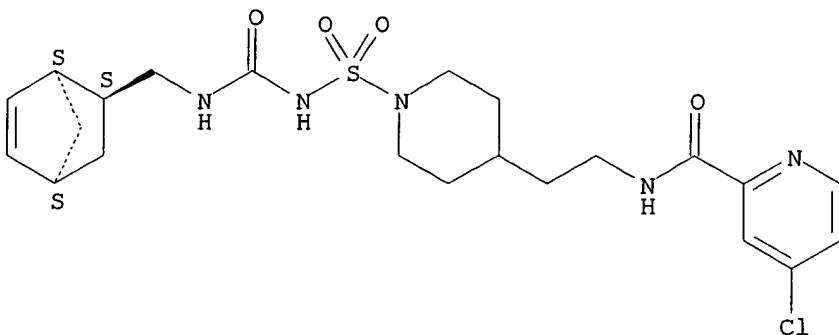
(CA INDEX NAME)



RN 53751-32-9 CAPLUS

CN 2-Pyridinecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-4-chloro-, endo- (9CI) (CA INDEX NAME)

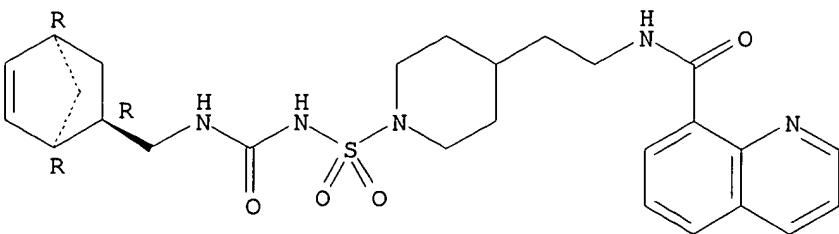
Relative stereochemistry.



RN 53751-33-0 CAPLUS

CN 8-Quinolinecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



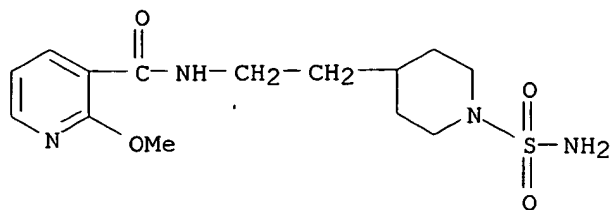
IT 53750-69-9P 53750-70-2P 53750-71-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and reaction of, with urea derivs.)

RN 53750-69-9 CAPLUS

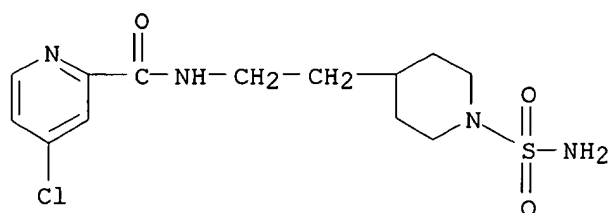
CN 3-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-2-methoxy- (9CI) (CA INDEX NAME)

09/939,872



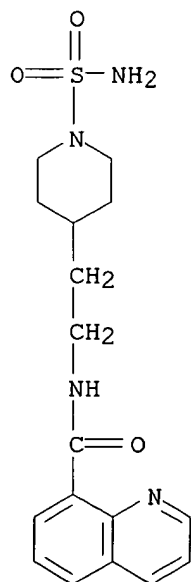
RN 53750-70-2 CAPLUS

CN 2-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-4-chloro- (9CI) (CA INDEX NAME)



RN 53750-71-3 CAPLUS

CN 8-Quinolinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



IT 53750-78-0P

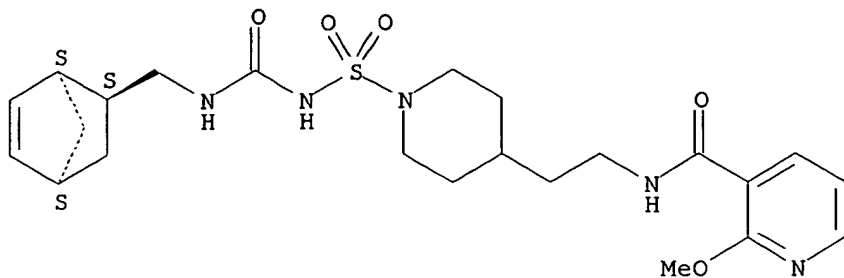
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 53750-78-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-, monosodium salt, endo- (9CI) (CA INDEX NAME)

09/939,872

Relative stereochemistry.



● Na

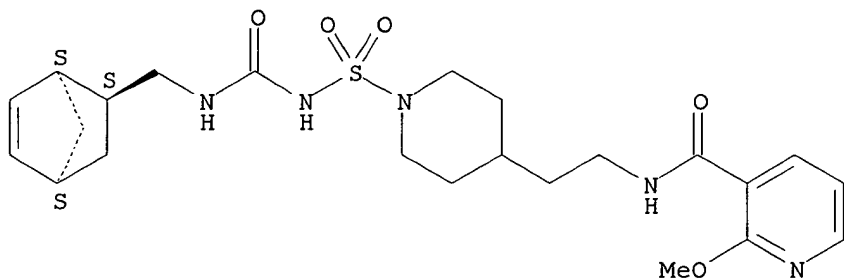
IT 51876-98-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn., redn., and antidiabetic activity of)

RN 51876-98-3 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-[[[[(1R,2R,4R)-bicyclo[2.2.1]hept-5-en-2-ylmethyl]amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-,  
rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 41 OF 41 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1974:449582 CAPLUS

DOCUMENT NUMBER: 81:49582

TITLE: Antidiabetic [[4-[2-(acylamino)ethyl]piperidino]sulfonyl]ureas

INVENTOR(S): Evanega, George R.; Kuhla, Donald E.

PATENT ASSIGNEE(S): Pfizer Inc.

SOURCE: Ger. Offen., 37 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2355262	A1	19740522	DE 1973-2355262	19731106
DE 2355262	B2	19810716		
DE 2355262	C3	19820527		
US 3829434	A	19740813	US 1972-305594	19721110

GB 1414031	A	19751112	GB 1973-4464	19730129
GB 1414032	A	19751112	GB 1974-21414	19730129
AU 7361904	A1	19750501	AU 1973-61904	19731026
NL 7315133	A	19740514	NL 1973-15133	19731105
NL 171707	B	19821201		
NL 171707	C	19830502		
DE 2366237	C2	19820401	DE 1973-2366237	19731106
JP 49133378	A2	19741221	JP 1973-125054	19731108
JP 56002556	B4	19810120		
AT 7309411	A	19770915	AT 1973-9411	19731108
BE 807097	A1	19740509	BE 1973-1005494	19731109
FR 2206095	A1	19740607	FR 1973-39906	19731109
ES 420412	A1	19760416	ES 1973-420412	19731109
SE 389672	B	19761115	SE 1973-15247	19731109
DK 138390	C	19790205	DK 1973-6061	19731109
DK 138390	B	19780828		
IN 140590	A	19761204	IN 1973-CA2617	19731128

## PRIORITY APPLN. INFO.:

US 1972-305594 19721110

GI For diagram(s), see printed CA Issue.

AB About ten ureas [I; R = 2-methoxy-3-pyridyl, 4-chloro-2-pyridyl, or 8-quinolyl; R1 = e.g. 1-adamantyl, cyclohexyl, or endo-bicyclo[2.2.1]hept-5-en-2-ylmethyl] and their Na or Ca salts were prepd. and used as anti-diabetics. Thus, 2-methoxynicotinoyl chloride reacted with 4-(2-aminoethyl)-1-piperidinesulfonamide-HCl in CHCl<sub>3</sub> in the presence of Na<sub>2</sub>CO<sub>3</sub> to give 71% 4-[2-(2-methoxynicotinamido)-ethyl]-1-piperidinesulfonamide (II). II reacted with Ph<sub>2</sub>NCO-NHR<sub>2</sub> (R<sub>2</sub> = endo-bicyclo[2.2.1]hept-5-en-2-ylmethyl) and NaH in DMF to give 64% I (R = 2-methoxy-3-pyridyl, R1 = R<sub>2</sub>), which was hydrogenated over Pd/C to give the bicycloheptyl deriv. Reaction of II with cyclohexyl isocyanate and NaH in DMF gave 38% I (R = 2-methoxy-3-pyridyl, R1 = cyclohexyl).

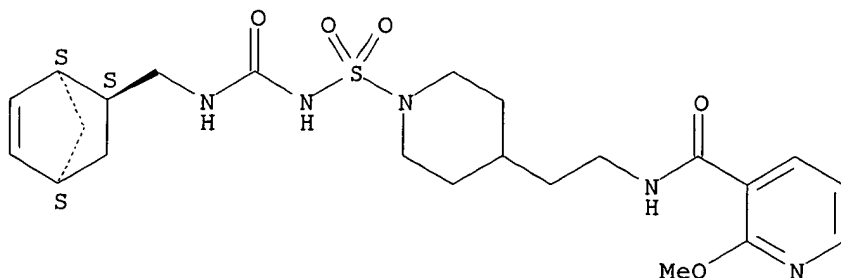
IT 51876-98-3P 53750-64-4P 53750-69-9P  
 53750-70-2P 53750-71-3P 53750-72-4P  
 53750-73-5P 53750-74-6P 53750-75-7P  
 53750-76-8P 53750-77-9P 53750-78-0P  
 53750-79-1P 53750-80-4P 53751-32-9P  
 53751-33-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)

RN 51876-98-3 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-[[[[(1R,2R,4R)-bicyclo[2.2.1]hept-5-en-2-ylmethyl]amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-, rel- (9CI) (CA INDEX NAME)

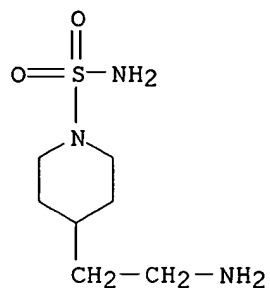
Relative stereochemistry.



RN 53750-64-4 CAPLUS

CN 1-Piperidinesulfonamide, 4-(2-aminoethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

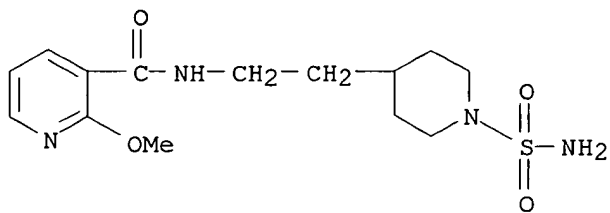
09/939,872



● HCl

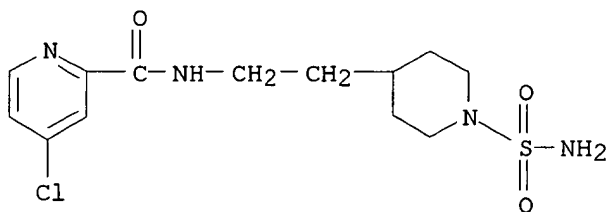
RN 53750-69-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-2-methoxy- (9CI) (CA INDEX NAME)



RN 53750-70-2 CAPLUS

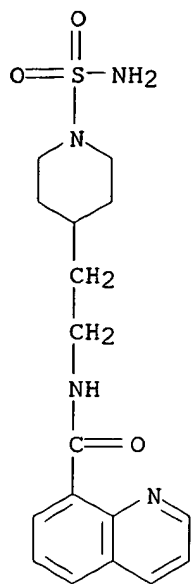
CN 2-Pyridinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]-4-chloro- (9CI) (CA INDEX NAME)



RN 53750-71-3 CAPLUS

CN 8-Quinolinecarboxamide, N-[2-[1-(aminosulfonyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

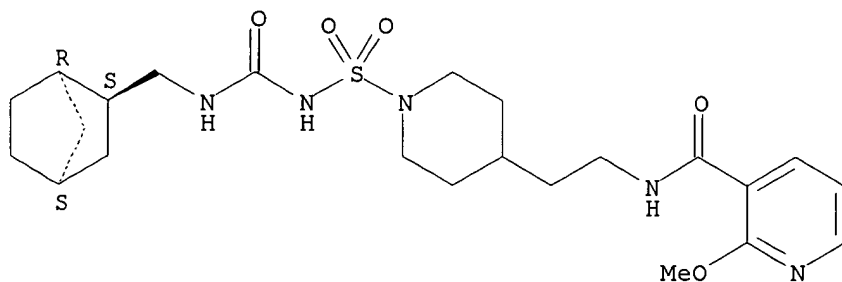
09/939,872



RN 53750-72-4 CAPLUS

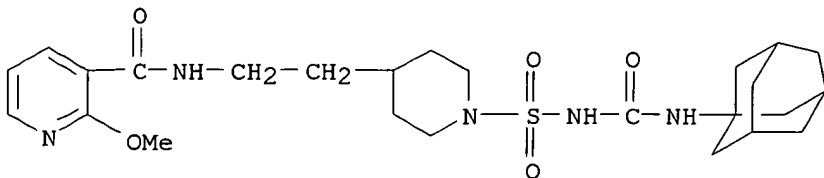
CN 3-Pyridinecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



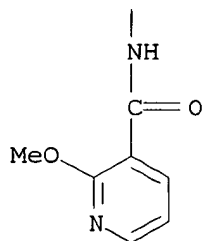
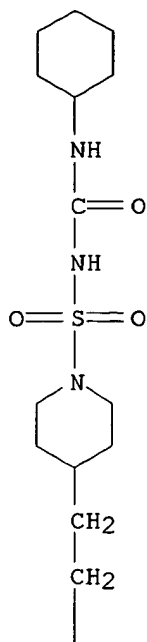
RN 53750-73-5 CAPLUS

CN 3-Pyridinecarboxamide, 2-methoxy-N-[2-[1-[[[(tricyclo[3.3.1.1<sup>3,7</sup>]dec-1-ylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



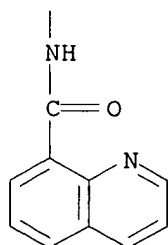
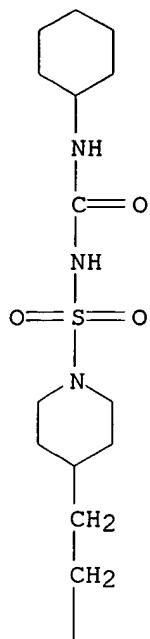
RN 53750-74-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy- (9CI) (CA INDEX NAME)

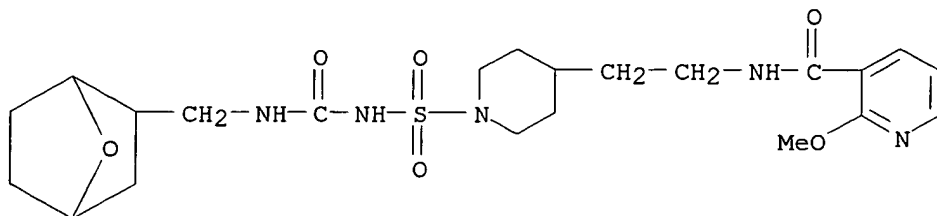


RN 53750-75-7 CAPLUS  
 CN 8-Quinolinecarboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)





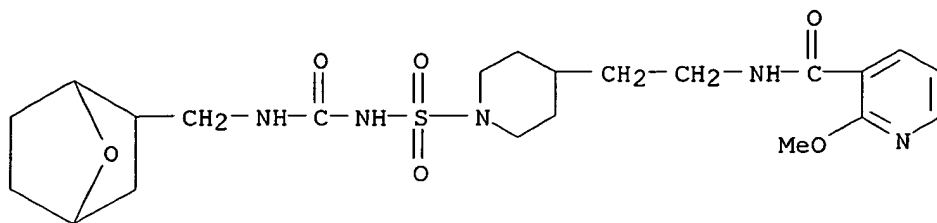
RN 53750-76-8 CAPLUS  
CN 3-Pyridinecarboxamide, 2-methoxy-N-[2-[1-[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI)  
(CA INDEX NAME)



RN 53750-77-9 CAPLUS  
CN 3-Pyridinecarboxamide, 2-methoxy-N-[2-[1-[[[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, exo- (9CI)

09/939,872

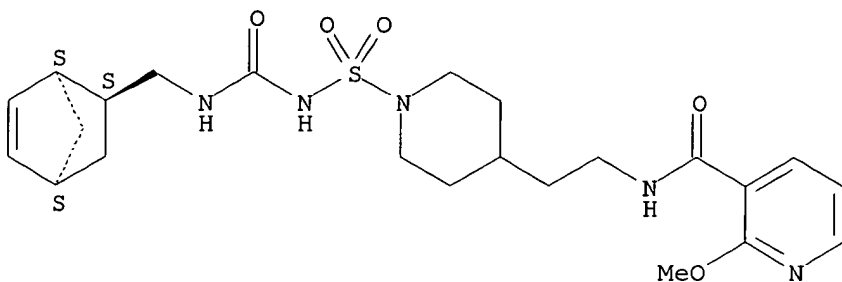
(CA INDEX NAME)



RN 53750-78-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-2-methoxy-, monosodium salt, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

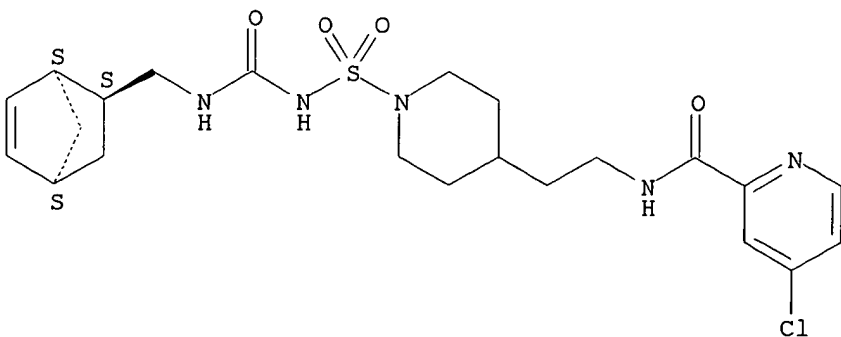


● Na

RN 53750-79-1 CAPLUS

CN 2-Pyridinecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-4-chloro-, monosodium salt, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



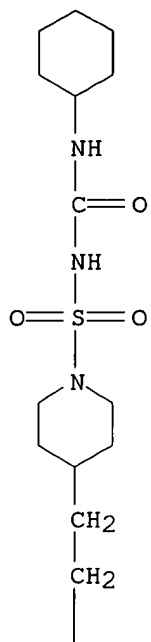
● Na

09/939,872

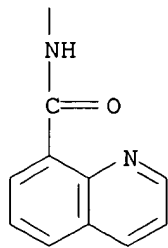
RN 53750-80-4 CAPLUS

CN 8-Quinolinecarboxamide, N-[2-[1-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, calcium salt (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



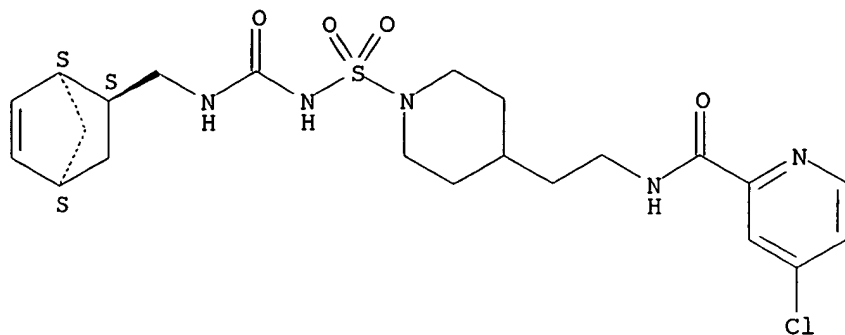
●x Ca

RN 53751-32-9 CAPLUS

CN 2-Pyridinecarboxamide, N-[2-[1-[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-4-chloro-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

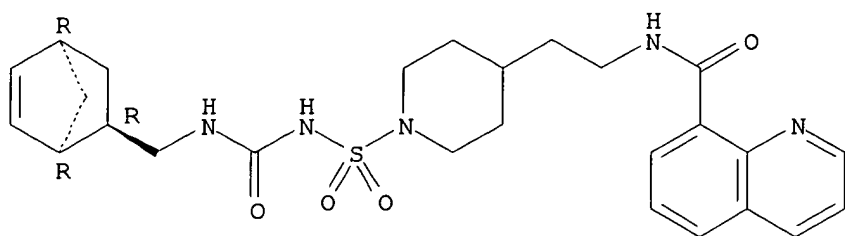
09/939,872



RN 53751-33-0 CAPLUS

CN 8-Quinolincarboxamide, N-[2-[1-[[[[(bicyclo[2.2.1]hept-5-en-2-ylmethyl)amino]carbonyl]amino]sulfonyl]-4-piperidinyl]ethyl]-, endo- (9CI)  
(CA INDEX NAME)

Relative stereochemistry.



=> d his

(FILE 'HOME' ENTERED AT 09:54:23 ON 20 FEB 2002)

FILE 'REGISTRY' ENTERED AT 09:54:30 ON 20 FEB 2002

L1 STRUCTURE UPLOADED

L2 1 S L1

L3 254 S L1 FULL

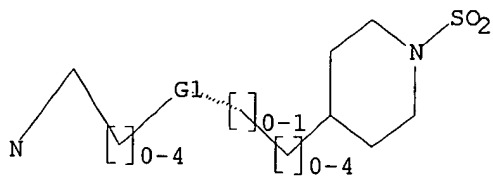
FILE 'CAPLUS' ENTERED AT 09:55:55 ON 20 FEB 2002

L4 41 S L3

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 C,0

Structure attributes must be viewed using STN Express query preparation.